

2ND Search

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(FILE 'HOME' ENTERED AT 16:21:07 ON 18 NOV 2004)

FILE 'REGISTRY' ENTERED AT 16:21:24 ON 18 NOV 2004

L1 251 .CYWKVCT/SOSP  
L2 93 L1 AND C6-C6/ES

FILE 'HCAPLUS' ENTERED AT 16:25:29 ON 18 NOV 2004  
L3 431 L2

FILE 'REGISTRY' ENTERED AT 16:25:41 ON 18 NOV 2004  
SAVE TEMP L1 AUD087F0/A

FILE 'HCAPLUS' ENTERED AT 16:25:57 ON 18 NOV 2004

E SHALABY S/AU  
L4 109 E3,E10  
E SHALABY SHALABY/AU  
L5 182 E4-6  
E JACKSON S/AU  
L6 149 E3-4  
E JACKSON STEV/AU  
L7 17 E4,E6-8  
E IGNATIUS J/AU  
E IGNATIUS F/AU  
L8 30 E3-5  
E MORREAU J/AU  
L9 3 E3  
L10 7 E7  
E RUSSELL R/AU  
L11 78 E3,E27-28  
E RUSSELL RUTH/AU  
L12 4 E3,E6-7  
L13 114 (KINERTON OR BIOMEASURE OR BIO (1A) MEASURE)/CS,PA  
L14 34 L3 AND L4=L3  
L15 397 L3 NOT L14  
L16 205 L15 AND (PY<=1998 OR PRY<=1998 OR AY<=1998 OR PD<19981009 OR AD  
L17 58 L16 AND P/DT  
L18 37 L17 AND US/PC  
L19 11 L17 AND US/PS,B

=> b hcap

FILE 'HCAPLUS' ENTERED AT 16:30:51 ON 18 NOV 2004

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FILE COVERS 1907 - 18 Nov 2004 VOL 141 ISS 21  
FILE LAST UPDATED: 17 Nov 2004 (20041117/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all L14 tot

L14 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:875153 HCAPLUS  
DN 139:369779  
ED Entered STN: 07 Nov 2003  
TI Multifaceted endovascular stent copolyester coating for preventing restenosis  
IN Shalaby, Shalaby W.  
PA Poly-Med, Inc., USA  
SO PCT Int. Appl., 18 pp.

Search done by Noble Jarrell

CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61L031-10  
 ICS A61L031-16  
 CC 63-7 (Pharmaceuticals)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003090807	A1	20031106	WO 2003-US12831	20030423
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2002-375182P	P	20020424		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003090807	ICM	A61L031-10
	ICS	A61L031-16

AB This invention deals with a carboxyl-bearing, amphiphilic, solid copolyester stent coating composition for multifaceted prevention of vascular restenosis through a plurality of physicochemical modes. The composition includes one or more bioactive compounds and a copolymer product of polyalkylene glycol, end-grafted with one or more cyclic monomer and treated further to introduce carboxyl-bearing end or side groups. The invention also deals with bioactive agents in an ionically conjugated form. The present coating may be applied to a metallic or an absorbable polymeric stent for use in preventing vascular restenosis. For example, an absorbable, amphiphilic copolyester with carboxy-bearing side group was prepared by polyethylene glycol end-grafting with epsilon-caprolactone and L-lactide by a ring-opening mechanism and maleation in the presence of free radical initiator. The copolyester was then ionically conjugated with lanreotide acetate and trapidil hydrochloride and lyophilized to yield solid conjugates.

ST polyester drug stent coating vascular restenosis

IT Peptides, biological studies  
 RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antiangiogenic; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Polyesters, biological studies  
 RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (block; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Artery, disease  
 (coronary, restenosis; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Angiogenesis inhibitors  
 Antitumor agents  
 Coating materials  
 Platelet aggregation inhibitors  
 (multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Polyesters, biological studies  
 RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Anti-inflammatory agents  
 (nonsteroidal; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Polyoxyalkylenes, biological studies  
 RL: DEV (Device component use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (polyester-, block; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Polyoxyalkylenes, biological studies

RL: DEV (Device component use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (polyester-, multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Polyesters, biological studies  
 RL: DEV (Device component use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (polyoxyalkylene-, block; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Polyesters, biological studies  
 RL: DEV (Device component use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (polyoxyalkylene-, multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Artery, disease  
 (restenosis; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT Medical goods  
 (stents; multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT 188626-10-ODP, maleated  
 RL: DEV (Device component use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

IT 9034-40-6D, LHRH, analogs 22204-53-1, Naproxen 26852-64-2, Trapidil hydrochloride 33069-62-4, Paclitaxel 51110-01-1D, Somatostatin, analogs 127984-74-1, Lanreotide acetate  
 RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (multifaceted endovascular stent coating comprising bioactive compound and copolyester for preventing restenosis)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 RE

- (1) Angiotech Pharm Inc; WO 9921908 A 1999 HCAPLUS
- (2) Ivan, B; US 5073381 A 1991 HCAPLUS
- (3) Jarr, E; PROCEEDINGS OF THE INTERNATIONAL SYMPOSIUM ON CONTROLLED RELEASE BIOACTIVE MATERIALS 1999, V26, P631
- (4) Kuzma, J; US 5993972 A 1999 HCAPLUS
- (5) Poly Med Inc; EP 0737703 A 1996 HCAPLUS
- (6) Poly Med Inc; EP 0952171 A 1999 HCAPLUS
- (7) Scimed Life Systems Inc; WO 0101890 A 2001 HCAPLUS
- (8) Seok, K; US 6210717 B1 2001 HCAPLUS
- (9) Shalaby, S; US 2002164365 A1 2002
- (10) Stanslaski, J; US 2001032014 A1 2001
- (11) William, L; WO 02055122 A 2002 HCAPLUS

L14 ANSWER 2 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:850147 HCAPLUS

DN 137:358135

ED Entered STN: 08 Nov 2002

TI Multifaceted compositions for post-surgical adhesion prevention

IN Shalaby, Shalaby W.; Shalaby, Waleed S. W.; Shalaby, Marc

PA USA

SO U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U. S. 6,413,539.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61F002-00

NCL 424426000

CC 63-6 (Pharmaceuticals)

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002164365	A1	20021107	US 2002-131657	20020424
	US 6551610	B2	20030422		
	US 6413539	B1	20020702	US 1998-16439	19980129
PRAI	US 1995-421222	A3	19950413		
	US 1996-740646	A2	19961031		
	US 1998-16439	A2	19980129		

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

Search done by Noble Jarrell

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US 2002164365 ICM A61F002-00  
NCL 424426000

US 2002164365 ECLA A61K006/087; A61L031/04B; A61L031/06; A61L031/06;  
A61L031/14F; C08G063/4; C08G063/664; A61K009/00M4;  
A61K047/34; A61K047/48K6; A61K047/48W8; A61L024/04R;  
A61L; A61L026/00B4; A61L026/00H7

US 6413539 ECLA A61L031/06; C08G063/664

AB This invention deals with an absorbable, gel-forming composition for  
multifaceted prevention of post-operative surgical adhesion through a  
plurality of physico-pharmacol. modes, comprising a solution of one or more  
bioactive compds. in a liquid copolymeric vehicle made by end-grafting one  
or more cyclic monomer onto a polyalkylene glycol. More specifically, the  
bioactive drugs can display one or more pharmacol. activity associated with  
antiangiogenic, antineoplastic, anti-inflammatory, and anti-proliferative  
effects.

ST post surgical adhesion prevention

IT Polyoxyalkylenes, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(grafts; multifaceted compns. for post-surgical adhesion prevention)

IT Adhesion, biological  
Antitumor agents  
(multifaceted compns. for post-surgical adhesion prevention)

IT Polyoxyalkylenes, biological studies  
Proteins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(multifaceted compns. for post-surgical adhesion prevention)

IT Anti-inflammatory agents  
(nonsteroidal; multifaceted compns. for post-surgical adhesion  
prevention)

IT Peptides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(octapeptides, cyclic; multifaceted compns. for post-surgical adhesion  
prevention)

IT Polyethers, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(polyester-, aliphatic; multifaceted compns. for post-surgical adhesion  
prevention)

IT Polyesters, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(polyether-, aliphatic; multifaceted compns. for post-surgical adhesion  
prevention)

IT 9034-40-6D, Lhrh, analogs 15421-84-8, Tapidil 22204-53-1, Naproxen  
25322-68-3, Polyethylene glycol 33069-62-4, Paclitaxel 51110-01-1D,  
Somatostatin, analogs 108736-35-2, Lanreotide 113497-66-8  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(multifaceted compns. for post-surgical adhesion prevention)

L14 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2004 ACS. on STN

AN 2002:555963 HCAPLUS

DN 137:114538

ED Entered STN: 26 Jul 2002

TI Ionic molecular conjugates of N-acylated derivatives of  
poly(2-amino-2-deoxy-D-glucose) and polypeptides

IN Shalaby, Shalaby W.; Jackson, Steven A.;  
Ignatious, Francis X.; Moreau, Jacques-Pierre; Russell, Ruth  
M.

PA USA

SO U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S. Ser. No. 929,363.  
CODEN: USXXCO

DT Patent

LA English

IC ICM A61K009-00

NCL 424400000

CC 63-6 (Pharmaceuticals)  
Section cross-reference(s): 34

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002098206	A1	20020725	US 1998-169423	19981009
US 6479457	B2	20021112		
US 5665702	A	19970909	US 1995-468947	19950606
US 5821221	A	19981013	US 1997-929363	19970909
CA 2346066	AA	20000420	CA 1999-2346066	19991008
WO 2000021567	A1	20000420	WO 1999-US23406	19991008

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1123112 A1 20010816 EP 1999-954780 19991008  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002527533 T2 20020827 JP 2000-575539 19991008  
NO 2001001744 A 20010606 NO 2001-1744 20010406  
US 2003092800 A1 20030515 US 2002-251018 20020920  
US 6794364 B2 20040921

PRAI US 1995-468947 A3 19950606  
US 1997-929363 A2 19970909  
US 1998-169423 A 19981009  
WO 1999-US23406 W 19991008

CLASS  
PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

US 2002098206 ICM A61K009-00  
NCL 424400000

US 2002098206 ECLA A61K038/31; C08B037/00M3B2  
US 2003092800 ECLA A61K038/31; A61K047/48K8; C08B037/00M3B2; C08L005/08

AB A copolymer comprising an N-acylated derivative, and a composition comprising said copolymer and a polypeptide, said polypeptide comprising at least one effective ionogenic amine, wherein at least 50 %, by weight, of said polypeptide present in said composition is ionically bound to said polymer. Conjugates were prepared from chitosan derivs. and a somatostatin polypeptide analog Somatuline.

ST peptide acyl glucosamine polymer deriv conjugate; chitosan peptide conjugate drug delivery

IT Peptides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(conjugates; oral pharmaceutical dosage forms for pulsatile delivery of an antiarrhythmic agent)

IT Drug delivery systems  
(oral pharmaceutical dosage forms for pulsatile delivery of an antiarrhythmic agent)

IT 9012-76-4, Chitosan 9012-76-4D, Chitosan, N-succinylated  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(ionic mol. conjugates of N-acylated derivs. of poly(2-amino-2-deoxy-D-glucose) and polypeptides)

IT 108-30-5DP, Succinic anhydride, reaction products with depolymd. chitosan  
108-55-4DP, Glutaric anhydride, reaction products with depolymd. chitosan  
123-62-6DP, Propionic anhydride, reaction products with depolymd. chitosan  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(ionic mol. conjugates of N-acylated derivs. of poly(2-amino-2-deoxy-D-glucose) and polypeptides)

IT 9012-76-4DP, Chitosan, depolymd., acyl derivs., conjugates with peptides  
35110-26-0DP, acyl derivs., conjugates with peptides 53714-56-0DP, conjugates 57773-63-4DP, conjugates 57773-65-6DP, conjugates 57982-77-1DP, conjugates 64717-45-9DP, conjugates 65807-02-5DP, conjugates 66866-63-5DP, conjugates 76712-82-8DP, conjugates 78115-75-0DP, conjugates 127984-74-1DP, Somatuline, conjugates with acyl chitosan derivs. 132609-33-7DP, conjugates 148440-40-8DP, conjugates 204388-13-6DP, conjugates 204388-14-7DP, conjugates 215937-92-1DP, conjugates 215945-52-1DP, conjugates  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(ionic mol. conjugates of N-acylated derivs. of poly(2-amino-2-deoxy-D-glucose) and polypeptides)

IT 51110-01-1D, Somatostatin, analogs  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(oral pharmaceutical dosage forms for pulsatile delivery of an antiarrhythmic agent)

IT 9002-64-6, Parathyroid hormone  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(oral pharmaceutical dosage forms for pulsatile delivery of an antiarrhythmic agent)

L14 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:560059 HCAPLUS  
 DN 135:132468  
 ED Entered STN: 03 Aug 2001  
 TI Method of inhibiting fibrosis with a somatostatin or somatostatin agonist  
 IN Culler, Michael D.; Kasprzyk, Philip G.  
 PA Biomeasure Inc., USA  
 SO U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 705,790, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K038-00  
 ICS C07K005-00; C07K007-00  
 NCL 514012000  
 CC 1-12 (Pharmacology)  
 Section cross-reference(s): 2

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6268342	B1	20010731	US 1999-254097	19990510
	WO 9808529	A1	19980305	WO 1997-US14154	19970827
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 2001011072	A1	20010802	US 2001-761605	20010116
	US 6787521	B2	20040907		
PRAI	US 1996-705790	B2	19960830		
	WO 1997-US14154	W	19970827		
	US 1999-254097	A3	19990510		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6268342	ICM	A61K038-00
	ICS	C07K005-00; C07K007-00
	NCL	514012000

OS MARPAT 135:132468

AB The invention discloses a method of inhibiting fibrosis in a patient. The method comprises administering a therapeutically effective amount of a somatostatin, a somatostatin agonist, or a pharmaceutically acceptable salt thereof, to the patient.

ST somatostatin agonist fibrosis inhibition

IT Human immunodeficiency virus

Kidney, disease

(HIV nephropathy; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Somatostatin receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(SSTR1; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Somatostatin receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(SSTR2; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Somatostatin receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(SSTR3; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Somatostatin receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(SSTR4; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Somatostatin receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(SSTR5; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Transplant rejection

(allotransplant; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Nervous system

(central, disease, fibrosis; somatostatin or somatostatin agonist for fibrosis inhibition)

Search done by Noble Jarrell

IT Kidney, disease  
(diabetic nephropathy; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Toxicity  
(drug, fibrosis; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Eosinophilia  
(eosinophilia-myalgia syndrome; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Environment  
(fibrosis induced by environmental factor; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Immune system  
(fibrosis induced by immune reaction; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Chemotherapy  
Disease, animal  
Drugs  
Radiation  
Wound  
(fibrosis induced by; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Bone, disease  
Cardiovascular system  
Digestive tract  
Endocrine system  
Kidney, disease  
Liver, disease  
Lung, disease  
Skin, disease  
(fibrosis; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Drugs  
(gastrointestinal; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Kidney, disease  
(glomerulonephritis; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Environment  
(industrial, fibrosis induced by industrial factor; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Fibrosis  
(intraocular; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Myeloproliferative disorders  
(myelofibrosis; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Drug delivery systems  
(oral; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Drug delivery systems  
(parenterals; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Burn  
(scar; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Cardiovascular agents  
Cirrhosis  
Drug delivery systems  
Fibrosis  
Keloid  
Nervous system agents  
(somatostatin or somatostatin agonist for fibrosis inhibition)

IT Drug delivery systems  
(sustained-release; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Skin, disease  
(systemic skin sclerosis; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Drug delivery systems  
(topical; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Liver, disease  
(veno-occlusive disease; somatostatin or somatostatin agonist for fibrosis inhibition)

IT Transforming growth factors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(.beta.-, overexpression inhibition; somatostatin or somatostatin

agonist for fibrosis inhibition)

IT 51110-01-1, Somatostatin 72127-57-2 72127-59-4 72127-61-8  
 72127-62-9 75037-27-3, Somatostatin-28 76080-70-1 76587-47-8  
 76587-65-0 76587-78-5 77236-35-2 77236-36-3 77236-39-6  
 77236-42-1 77236-46-5 77286-22-7 77286-23-8 79775-25-0  
 79775-28-3 79814-97-4 81377-02-8 83150-76-9 85466-72-4  
 85466-73-5 85466-74-6 85549-65-1 87778-83-4 87781-70-2  
 90836-21-8 95310-74-0 98044-71-4 98044-76-9 99660-13-6  
 99685-66-2 103140-93-8 103222-11-3 103335-28-0 103335-29-1  
 103429-37-4 105407-44-1 108736-35-2 109605-18-7  
 109790-92-3 109790-93-4 109985-46-8 111857-96-6 116861-48-4  
 117580-23-1 117580-24-2 117603-43-7 120796-12-5 123619-62-5  
 129357-01-3 129357-02-4 129357-03-5 129357-04-6 129357-05-7  
 129357-06-8 129357-07-9 129357-08-0 129357-09-1 129357-10-4  
 129357-11-5 129357-12-6 129357-14-8 129357-16-0 129357-17-1  
 129357-18-2 129385-19-9 129385-20-2 129385-21-3 129385-22-4  
 133073-82-2 133073-83-3 133073-84-4 138248-88-1 138248-89-2  
 144776-53-4 147159-51-1 150155-54-7 150155-55-8 150155-57-0  
 150155-64-9 150155-66-1 163687-44-3 168016-90-8 168017-04-7  
 181650-80-6 182153-96-4, BIM-23190 184841-24-5 204388-00-1  
 204388-01-2 204388-02-3 204388-03-4 204388-05-6 204388-06-7  
 204388-07-8 204388-08-9 204388-10-3 204388-11-4 216259-56-2  
 216259-57-3 216259-58-4 216259-59-5 216259-60-8 216259-61-9  
 216259-62-0 216259-63-1 216259-64-2 216259-65-3 216259-66-4  
 216259-67-5 216300-25-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(somatostatin or somatostatin agonist for fibrosis inhibition)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Border; Nature 1992, V360, P361 HCAPLUS
- (2) Border, W; Exp Nephrol 1994, V2, P13 HCAPLUS
- (3) Border, W; The New England J of Med 1994, V331(19), P1286 HCAPLUS
- (4) Coy; US 4904642 1990 HCAPLUS
- (5) Lundergan, C; Atherosclerosis 1989, V80, P49 HCAPLUS
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- (11) Tracy; Am J Pathol 1993, V143(6), P1574 HCAPLUS
- (12) Tracy, T; Am J Pathol 1993, V143(6), P1574 HCAPLUS
- (13) Tsukamoto; Endocrine Journal 1994, V41(4), P437 MEDLINE
- (14) Tsukamoto; Endocrine Journal 1994, V41(4), P437 MEDLINE
- (15) Wahl, S; Kidney International 1997, V51, P1370 HCAPLUS

L14 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:297646 HCAPLUS

DN 134:311586

ED Entered STN: 26 Apr 2001

TI Ionic molecular conjugates of biodegradable polyesters and bioactive polypeptides

IN Shalaby, Shalaby Wahba; Jackson, Steven A.; Moreau, Jacques-Pierre

PA Societe de Conseils de Recherches et d'Applications Scientifiques (SCRAS), Fr.

SO U.S., 17 pp., Cont.-in-part of U.S. 5,863,985.

CODEN: USXXAM

DT Patent

LA English

IC A61K009-16; A61K009-62; A61K037-02

NCL 525054100

CC 35-8 (Chemistry of Synthetic High Polymers)

Section cross-reference(s): 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6221958	B1	20010424	US 1999-237405	19990126
	WO 9415587	A2	19940721	WO 1994-US148	19940105
	WO 9415587	A3	19940901		
	W: AU, CA, CZ, FI, HU, JP, NO, PL, RU, SK, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP	1203591	A1	20020508	EP 2002-1064	19940105
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	US 5672659	A	19970930	US 1995-464735	19950629



US 5863985	A	19990126	US 1997-867308	19970602
CA 2358829	AA	20000727	CA 2000-2358829	20000126
WO 2000043435	A1	20000727	WO 2000-US1753	20000126
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000007742	A	20011023	BR 2000-7742	20000126
EP 1159328	A1	20011205	EP 2000-905719	20000126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002535426	T2	20021022	JP 2000-594849	20000126
JP 3476775	B2	20031210		
JP 2003183364	A2	20030703	JP 2002-253916	20000126
NZ 513072	A	20031031	NZ 2000-513072	20000126
AU 769658	B2	20040129	AU 2000-27362	20000126
NZ 527337	A	20040827	NZ 2000-527337	20000126
RU 2237681	C2	20041010	RU 2001-123690	20000126
PRAI IE 1993-5	A	19930106		
WO 1994-US148	A1	19940105		
US 1995-464735	A1	19950629		
US 1997-867308	A2	19970602		
EP 1994-906037	A3	19940105		
US 1999-237405	A	19990126		
JP 2000-594849	A3	20000126		
NZ 2000-513072	A1	20000126		
WO 2000-US1753	W	20000126		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES		
US 6221958	IC	A61K009-161C	A61K009-621C	A61K037-02
	NCL	525054100		
US 6221958	ECLA	A61K047/48K6; C07K007/23; C07K014/655		
WO 9415587	ECLA	A61K047/48H6D; C07K007/06C; C07K014/655		
EP 1203591	ECLA	A61K047/48K6; C07K007/23; C07K014/655		
US 5863985	ECLA	C07K014/655		

AB Disclosed is a sustained release pharmaceutical composition. The composition includes a polyester containing a free COOH group ionically conjugated with a bioactive polypeptide comprising at least one effective ionogenic amine, wherein at least 50% by weight of the polypeptide present in the composition is ionically conjugated to the polyester. The polyesters contain citric acid or tartaric acid.

ST biodegradable polyester polypeptide ionic mol conjugate; sustained release pharmaceutical

IT Polyesters, preparation  
 RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (conjugates with bioactive polypeptides; ionic mol. conjugates of biodegradable polyesters and bioactive polypeptides)

IT Enkephalins  
 Peptides, preparation  
 Tachykinins  
 RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (conjugates with polyesters; ionic mol. conjugates of biodegradable polyesters and bioactive polypeptides)

IT Drug delivery systems  
 (sustained-release; ionic mol. conjugates of biodegradable polyesters and bioactive polypeptides)

IT 105953-91-1P, Neuromedin  
 RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (conjugates with polyesters; ionic mol. conjugates of biodegradable polyesters and bioactive polypeptides)

IT 58-82-2DP, Bradykinin, conjugates with polyesters 1393-25-5DP, Secretin, conjugates with polyesters 9002-60-2DP, ACTH, conjugates with polyesters 9002-64-6DP, PTH, conjugates with polyesters 9002-71-5DP, TSH, conjugates with polyesters 9002-79-3DP, MSH, conjugates with polyesters

9007-12-9DP, Calcitonin, conjugates with polyesters 9007-92-5DP, Glucagon, conjugates with polyesters, preparation 9034-40-6DP, LHRH, conjugates with polyesters 33507-63-0DP, Substance P, conjugates with polyesters 37221-79-7DP, VIP, conjugates with polyesters 39379-15-2DP, Neurotensin, conjugates with polyesters 51110-01-1DP, Somatostatin, conjugates with polyesters 52906-92-0DP, Motilin, conjugates with polyesters 80043-53-4DP, Gastrin-releasing peptide, conjugates with polyesters 83652-28-2DP, CGRP, conjugates with polyesters 106602-62-4DP, Amylin, conjugates with polyesters 108736-35-2DP, conjugates with polyesters 119418-04-1DP, Galanin, conjugates with polyesters 129418-54-8DP, conjugates with polyesters 137061-48-4DP, PACAP, conjugates with polyesters 335379-62-9DP, conjugates with bioactive polypeptides 335379-64-1DP, conjugates with bioactive polypeptides, preparation

RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(ionic mol. conjugates of biodegradable polyesters and bioactive polypeptides)

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Anon; EP 0107591 A2 1984 HCAPLUS
- (2) Anon; EP 0426055 A3 1991 HCAPLUS
- (3) Anon; EP 0467389 A2 1992
- (4) Anon; EP 0483429 A1 1992 HCAPLUS
- (5) Anon; WO 9211844 1992 HCAPLUS
- (6) Anon; WO 9317668 1993 HCAPLUS
- (7) Anon; WO 9415587 1994 HCAPLUS
- (8) Boswell; US 3773919 1973 HCAPLUS
- (9) Dean; US 5162505 1992 HCAPLUS
- (10) Hess; US 5084553 1992 HCAPLUS
- (11) Hutchinson; US 4767628 1988 HCAPLUS
- (12) Mehta, R; J of Controlled Release 1994, V29, P375 HCAPLUS
- (13) Nowinski; US 4609707 1986 HCAPLUS
- (14) Pappin; US 5071909 1991 HCAPLUS
- (15) Peterson; US 4356166 1982 HCAPLUS
- (16) Ruiz; US 5569467 1996 HCAPLUS
- (17) Shah; J of Controlled Release 1992, V18, P261 HCAPLUS
- (18) Shalaby; US 5672659 1997 HCAPLUS
- (19) Shalaby; US 5863985 1999 HCAPLUS

L14 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:513736 HCAPLUS

DN 133:140235

ED Entered STN: 28 Jul 2000

TI Preparation of ionic molecular conjugates of biodegradable polyesters and bioactive peptides

IN Shalaby, Shalaby W.; Jackson, Steven A.; Moreau, Jacques-Pierre

PA Biomeasure Incorporated, USA; Poly-Med

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C08G063-08

ICS C08G063-64; A61K047-48

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 37

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000043435	A1	20000727	WO 2000-US1753	20000126
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6221958	B1	20010424	US 1999-237405	19990126
CA 2358829	AA	20000727	CA 2000-2358829	20000126
BR 2000007742	A	20011023	BR 2000-7742	20000126
EP 1159328	A1	20011205	EP 2000-905719	20000126
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

Search done by Noble Jarrell

	IE, SI, LT, LV, FI, RO				
JP 2002535426	T2	20021022	JP 2000-594849	20000126	
JP 3476775	B2	20031210			
NZ 513072	A	20031031	NZ 2000-513072	20000126	
AU 769658	B2	20040129	AU 2000-27362	20000126	
RU 2237681	C2	20041010	RU 2001-123690	20000126	
PRAI US 1999-237405	A1	19990126			
IE 1993-5	A	19930106			
WO 1994-US148	A1	19940105			
US 1995-464735	A1	19950629			
US 1997-867308	A2	19970602			
WO 2000-US1753	W	20000126			

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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WO 2000043435	ICM	C08G063-08
	ICS	C08G063-64; A61K047-48
US 6221958	ECLA	A61K047/48K6; C07K007/23; C07K014/655
AB	A sustained-release pharmaceutical composition includes a polyester containing a free COOH group ionically conjugated with a bioactive peptide comprising at least 1 effective ionogenic amine, wherein at least 50% by weight of the peptide present in the composition is ionically conjugated to the polyester. Thus, a rod delivery system was obtained by synthesizing the citric acid ester of .epsilon.-caprolactone-glycolide copolymer followed by treatment with the peptide, LHRH acetate. The ionic conjugate and the polymer were melted and the melted materials was extruded into rods.	
ST	ionic conjugate polyester bioactive peptide prepn	
IT	Peptides, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (conjugates; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Polyesters, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dilactone-based; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Peptides, biological studies RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (growth hormone releasing; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Polyesters, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (hydroxycarboxylic acid-based; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Drug delivery systems (implants, rods; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Polyesters, biological studies Polyesters, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (polycarbonate-; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Polycarbonates, biological studies Polycarbonates, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (polyester-; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Polyesters, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Enkephalins Tachykinins RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	Drug delivery systems (sustained-release; preparation of ionic mol. conjugates of biodegradable polyesters and bioactive peptides)	
IT	9034-40-6DP, LHRH, polymer conjugates 34346-01-5P, Glycolic acid-lactic acid copolymer 286411-58-3P, Glycolic acid-L-lactide-malic acid	

copolymer salt with D-Trp6[LHRH] 286411-59-4P.  
 Glycolide-L-lactide-malic acid copolymer salt with BIM 23014  
 286427-72-3P, Glycolic acid-L-lactide copolymer ester with malic acid  
 286427-74-5P, Glycolide-L-lactide copolymer ester with malic acid  
 286427-75-6P, Glycolide-DL-lactide copolymer ester with citric acid  
 286427-77-8P, Glycolide-DL-lactide copolymer ester with 1,6-hexanediol  
 286427-80-3P, Glycolic acid-L-lactic acid-malic acid copolymer salt with  
 D-Trp6[LHRH] 286427-81-4P, Glycolic acid-L-lactic acid-malic  
 acid copolymer salt with BIM 23014 286427-84-7P, .epsilon.-Caprolactone-  
 Glycolide copolymer ester with citric acid salt with LHRH acetate  
 RL: DEV (Device component use); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of ionic mol. conjugates of biodegradable polyesters and  
 bioactive peptides)

IT 286427-82-5P, .epsilon.-Caprolactone-Glycolide copolymer ester with citric  
 acid 286427-85-8P, .epsilon.-Caprolactone-Trimethylene carbonate  
 copolymer ester with tartaric acid 286427-87-0P, .epsilon.-Caprolactone-  
 glycolide copolymer ester with tartaric acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)

(preparation of ionic mol. conjugates of biodegradable polyesters and  
 bioactive peptides)

IT 286427-86-9P, .epsilon.-Caprolactone-Trimethylene carbonate copolymer  
 ester with tartaric acid salt with LHRH acetate 286427-88-1P,  
 .epsilon.-Caprolactone-glycolide copolymer ester with tartaric acid salt  
 with LHRH acetate  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)

(preparation of ionic mol. conjugates of biodegradable polyesters and  
 bioactive peptides)

IT 58-82-2, Bradykinin 1393-25-5, Secretin 9002-60-2, ACTH, biological  
 studies 9002-64-6, PTH 9002-71-5, TSH 9002-79-3, MSH 9007-12-9,  
 Calcitonin 9007-92-5, Glucagon, biological studies 9034-39-3, Growth  
 hormone releasing factor 33507-63-0, Substance P 37221-79-7, VIP  
 39379-15-2, Neurotensin 51110-01-1, Somatostatin 52906-92-0, Motilin  
 80043-53-4, Gastrin-releasing peptide 82785-45-3, Neuropeptide Y  
 83652-28-2, CGRP 103370-86-1, PTH-related protein 105953-91-1,  
 Neuromedin 106388-42-5, Peptide YY 106602-62-4, Amylin 119418-04-1,  
 Galanin 137061-48-4, PACAP 286411-57-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of ionic mol. conjugates of biodegradable polyesters and  
 bioactive peptides)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Touraud Franck Jean Claude; WO 9739738 A 1997 HCAPLUS
- (2) Touraud Frank Jean Claude; WO 9740085 A 1997 HCAPLUS

L14 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:314581 HCAPLUS

DN 132:339360

ED Entered STN: 15 May 2000

TI Lactone-bearing absorbable polymers for drug sustained release

IN Ignatious, Francis X.

PA Biomeasure Incorporated, USA

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K047-48

ICS C08G064-00; C08G067-04; C08G079-02; C08G063-08

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 37

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000025826	A1	20000511	WO 1999-US25706	19991102
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,			

Search done by Noble Jarrell

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2349346 AA 20000511 CA 1999-2349346 19991102  
 EP 1144013 A1 20011017 EP 1999-958738 19991102  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 JP 2002528602 T2 20020903 JP 2000-579264 19991102  
 NO 2001002161 A 20010629 NO 2001-2161 20010502  
 PRAI US 1998-106708P P 19981102  
 US 1998-184413 A1 19981102  
 WO 1999-US25706 W 19991102

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000025826	ICM	A61K047-48
	ICS	C08G064-00; C08G067-04; C08G079-02; C08G063-08
WO 2000025826	ECLA	C08G063/08; C08G064/00; C08G067/04
AB		The present invention pertains to biodegradable polymers comprising a non-polymerizable lactone, biodegradable compns. comprising the polymer and a therapeutic agent, the use of the compns. for the sustained release of therapeutic agents, wherein the therapeutic agent is reversibly immobilized on the polymer matrix using ionic complexation between the latent carboxylic groups present on the lactone bearing polymer matrix and a cationic group on the therapeutic agent. A polymer was obtained by reacting isocitric acid lactone with propanediol followed by treatment with dl-lactide and glycolide in the presence of stannous octoate. Lanreotide was immobilized on this polymer for later release from the drug.
ST		sustained release drug polymer lactone prep
IT		Polymers, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (biodegradable; preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Polyesters, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dilactone-based; preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Polyesters, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (lactide; preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Drug delivery systems (microparticles, sustained-release; preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Drug delivery systems (microspheres, sustained-release; preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Polyethers, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (ortho ester group-containing; preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Tachykinins RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Polyanhydrides RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Polycarbonates, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Polyesters, biological studies RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of lactone-bearing absorbable polymers for drug sustained release)
IT		Polyphosphazenes RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

study); PREP (Preparation); USES (Uses)  
 (preparation of lactone-bearing absorbable polymers for drug sustained release)

IT Lactones  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of lactone-bearing absorbable polymers for drug sustained release)

IT Drug delivery systems  
 (sustained-release; preparation of lactone-bearing absorbable polymers for drug sustained release)

IT 58-82-2, Bradykinin 1393-25-5, Secretin 9002-60-2, ACTH, biological studies 9002-64-6, PTH 9002-71-5, TSH 9002-79-3, MSH 9007-12-9, Calcitonin 9007-92-5, Glucagon, biological studies 9034-40-6, LHRH 31362-50-2, Bombesin 39379-15-2, Neurotensin 51110-01-1, Somatostatin 57773-63-4, 80043-53-4, Gastrin-releasing peptide 83652-28-2, CGRP 103370-86-1, Humoral hypercalcemic factor 105953-91-1, Neuromedin 106388-42-5, Peptide YY 106602-62-4, Amylin 108736-35-2 119418-04-1, Galanin 182153-96-4 234752-56-8  
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (preparation of lactone-bearing absorbable polymers for drug sustained release)

IT 26023-30-3DP, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)], ester with isopropylidene lactone 267893-40-3P 267893-41-4P 267893-42-5P 267893-43-6P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of lactone-bearing absorbable polymers for drug sustained release)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Kansai Paint Co Ltd; EP 0400108 A 1991

L14 ANSWER 8 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:260068 HCAPLUS

DN 132:284253

ED Entered STN: 21 Apr 2000

TI Ionic molecular conjugates of N-acylated derivatives of poly(2-amino-2-deoxy-D-glucose) and polypeptides

IN Shalaby, Shalaby W.; Jackson, Steven A.;

Ignatious, Francis X.; Moreau, Jacques-Pierre; Russell, Ruth M.

PA Societe De Conseils De Recherches Et D'applications Scientifiques S.A., Fr.

SO PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K047-36

ICS A61K038-00; C08L005-08; C08B037-08

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 2, 33, 34

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000021567	A1	20000420	WO 1999-US23406	19991008
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002098206	A1	20020725	US 1998-169423	19981009
US 6479457	B2	20021112		
CA 2346066	AA	20000420	CA 1999-2346066	19991008
EP 1123112	A1	20010816	EP 1999-954780	19991008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527533	T2	20020827	JP 2000-575539	19991008
NO 2001001744	A	20010606	NO 2001-1744	20010406
PRAI US 1998-169423	A1	19981009		
US 1995-468947	A3	19950606		
US 1997-929363	A2	19970909		

Search done by Noble Jarrell

WO 1999-US23406 W 19991008

## CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

WO 2000021567 ICM A61K047-36  
ICS A61K038-00; C08L005-08; C08B037-08  
US 2002098206 ECLA A61K038/31; C08B037/00M3B2

AB A copolymer comprises an N-acylated derivative, and a composition comprising said copolymer and a polypeptide, said polypeptide comprising at least one effective ionogenic amine, wherein at least 50 percent, by weight, of said polypeptide present in said composition is ionically bound to said polymer. Chitosan was depolymd., succinylated, , acetylated, and conjugated to the somatostatin peptide analog Somatuline.

ST aminodeoxyglucose polymer peptide conjugate

IT Drug delivery systems  
(ionic mol. conjugates of N-acylated derivs. of poly(2-amino-2-deoxy-D-glucose) and polypeptides)

IT 127984-74-1DP, Somatuline, conjugates with poly(N-acyl-D-glucosamine)s  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(ionic mol. conjugates of N-acylated derivs. of poly(2-amino-2-deoxy-D-glucose) and polypeptides)

IT 108-30-5D, Succinic anhydride, reaction products with depolymd.chitosan, conjugates with peptides 108-55-4D, Glutaric anhydride, reaction products with depolymd.chitosan, conjugates with peptides 123-62-6D, Propionic anhydride, reaction products with depolymd.chitosan, conjugates with peptides 9012-76-4D, Chitosan, depolymd., acyl derivs., conjugates with peptides 35110-26-0D, D-Glucose, 2-amino-2-deoxy-, homopolymer, N-acyl derivs., conjugates with peptides 38234-21-8D, Fertirelin, conjugates with poly(N-acyl-D-glucosamine)s 53714-56-0D, Leuprorelin, conjugates with poly(N-acyl-D-glucosamine)s 57773-63-4D, Tryptorelin, conjugates with poly(N-acyl-D-glucosamine)s 57773-65-6D, Deslorelin, conjugates with poly(N-acyl-D-glucosamine)s 57982-77-1D, Buserelin, conjugates with poly(N-acyl-D-glucosamine)s 65807-02-5D, Goserelin, conjugates with poly(N-acyl-D-glucosamine)s 66866-63-5D, Lutrelin, conjugates with poly(N-acyl-D-glucosamine)s 76712-82-8D, Histrelin, conjugates with poly(N-acyl-D-glucosamine)s 76932-56-4D, Nafarelin, conjugates with poly(N-acyl-D-glucosamine)s 113294-82-9D, conjugates with poly(N-acyl-D-glucosamine)s 204388-13-6D, conjugates with poly(N-acyl-D-glucosamine)s 215937-92-1D, conjugates with poly(N-acyl-D-glucosamine)s  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(ionic mol. conjugates of N-acylated derivs. of poly(2-amino-2-deoxy-D-glucose) and polypeptides)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

## RE

- (1) Biomeasure Inc; WO 9504752 A 1995 HCAPLUS
- (2) Kent, J; US 4675189 A 1987 HCAPLUS
- (3) McNeil Ppc Inc; EP 0643963 A 1995 HCAPLUS
- (4) Shalaby, S; WO 9639160 A 1996 HCAPLUS
- (5) Song, Y; JOURNAL OF CONTROLLED RELEASE V42(1), P93

L14 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:133567 HCAPLUS

DN 132:185418

ED Entered STN: 25 Feb 2000

TI Phosphorylated polymers and conjugates thereof

IN Shalaby, Shalaby Wahba; Corbett, Joel Thomas

PA Poly-Med, Inc., USA

SO PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K047-48

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 34, 35

## FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009166	A2	20000224	WO 1999-US18146	19990810
WO 2000009166	A3	20001109		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK,

SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2339143 AA 20000224 CA 1999-2339143 19990810  
 AU 9954755 A1 20000306 AU 1999-54755 19990810  
 EP 1105161 A2 20010613 EP 1999-941024 19990810  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 JP 2002522596 T2 20020723 JP 2000-564667 19990810  
 RU 2202563 C2 20030420 RU 2001-106640 19990810  
 NO 2001000682 A 20010327 NO 2001-682 20010209  
 PRAI US 1998-131472 A1 19980810  
 US 1998-95875P P 19980810  
 WO 1999-US18146 W 19990810

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000009166	ICM	A61K047-48

AB The present invention is directed to absorbable polyesters comprising one or more monophosphate functionality; a conjugate comprising the foregoing polyester and a peptide and/or a bioactive agent; microparticles comprising an absorbable polyester; a conjugate comprising the microparticles and a peptide and/or a bioactive agent; an acylated or alkylated polysaccharide having one or more monophosphate functionality; a conjugate comprising the acylated or alkylated polysaccharide and a peptide and/or a bioactive agent and pharmaceutical compns. thereof. A polyester was prepared from caprolactone and diethylene glycol, phosphorylated and conjugated to a LHRH analog p-Glu-His-Trp-Ser-Tyr-D-Trp-Leu-Arg-Pro-Gly-NH<sub>2</sub>.

ST polyester phosphate peptide conjugate absorbable

IT Adhesives  
 Adhesives  
 (biol. tissue; absorbable phosphorylated polyester-peptide conjugates for pharmaceuticals)

IT Peptides, biological studies  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (conjugates, with phosphorylated polyesters; absorbable phosphorylated polyester-peptide conjugates for pharmaceuticals)

IT Drug delivery systems  
 (controlled-release; absorbable phosphorylated polyester-peptide conjugates for pharmaceuticals)

IT Polyesters, biological studies  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (phosphorylated, conjugates with peptides; absorbable phosphorylated polyester-peptide conjugates for pharmaceuticals)

IT Medical goods  
 (tissue adhesives; absorbable phosphorylated polyester-peptide conjugates for pharmaceuticals)

IT 2466-09-3DP, Diphosphoric acid, reaction products with polyesters, conjugates with peptides 17465-86-0DP, .gamma.-Cyclodextrin, phosphorylated, conjugates with peptides 26202-08-4DP, ester 26780-50-7DP, phosphorylated, conjugates with peptides 30846-39-0DP, phosphorylated, conjugates with peptides 52305-30-3DP, phosphorylated, conjugates with peptides 57773-63-4DP, conjugates with phosphorylated polyesters 75035-33-5DP, phosphorylated, conjugates with peptides 108736-35-2DP, conjugates with phosphorylated polyesters 182153-96-4DP, conjugates with phosphorylated polyesters 234752-56-8DP, conjugates with phosphorylated polyesters 261921-43-1DP, phosphorylated, conjugates with peptides 287197-62-0DP, phosphorylated, conjugates with peptides  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (absorbable phosphorylated polyester-peptide conjugates for pharmaceuticals)

L14 ANSWER 10 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:98356 HCAPLUS  
 DN 132:132776  
 ED Entered STN: 11 Feb 2000  
 TI Methods of using a somatostatin analogue in therapy  
 IN Moreau, Jacques-Pierre  
 PA Biomeasure Incorporated, USA



SO PCT Int. Appl., 11 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K038-00  
 CC 2-5 (Mammalian Hormones)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006185	A2	20000210	WO 1999-US17294	19990729
	WO 2000006185	A3	20000803		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6150333	A	20001121	US 1999-361394	19990726
	CA 2335654	AA	20000210	CA 1999-2335654	19990729
	AU 9952447	A1	20000221	AU 1999-52447	19990729
	AU 770193	B2	20040212		
	BR 9912609	A	20010502	BR 1999-12609	19990729
	EP 1100532	A2	20010523	EP 1999-937658	19990729
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002521456	T2	20020716	JP 2000-562039	19990729
	NZ 509348	A	20040227	NZ 1999-509348	19990729
	NO 2001000481	A	20010321	NO 2001-481	20010129
	ZA 2001000793	A	20010502	ZA 2001-793	20010129
	EP 1291022	A1	20030312	EP 2002-78746	20020905
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
PRAI	US 1998-126525	A1	19980730		
	US 1998-94693P	P	19980730		
	EP 1999-937658	A3	19990729		
	WO 1999-US17294	W	19990729		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000006185	ICM	A61K038-00
EP 1291022	ECLA	A61K038/12; A61K038/31

AB A method of treating one or more diseases and/or conditions using the somatostatin analog, H-.beta.-D-Nal-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH<sub>2</sub> (where the cysteines are bonded by a disulfide bond) or a pharmaceutically acceptable salt thereof, most preferably the acetate salt of the compound. Diseases and conditions such as gastroenterol. conditions and/or diseases, endocrinol. diseases and/or conditions, various types of cancers and conditions associated with cancer such as cancer cachexia, hypotension and panic attacks can be treated.

ST somatostatin analog therapeutic use

IT Bone, disease  
 (Paget's; methods of using a somatostatin analog in treatment of various diseases or disorders)

IT Pancreas, neoplasm  
 (VIPoma; methods of using a somatostatin analog in treatment of various diseases or disorders)

IT Pancreas, neoplasm  
 (Zollinger-Ellison syndrome; methods of using a somatostatin analog in treatment of various diseases or disorders)

IT Pituitary gland  
 (adenoma, gonadotropinoma; methods of using a somatostatin analog in treatment of various diseases or disorders)

IT Cachexia  
 (cancerous; methods of using a somatostatin analog in treatment of various diseases or disorders)

IT Nerve, disease  
 (diabetic neuropathy; methods of using a somatostatin analog in treatment of various diseases or disorders)

IT Digestive tract  
 (disease, duodenogastric reflux; methods of using a somatostatin analog in treatment of various diseases or disorders)

IT Neoplasm  
 (gastrinoma; methods of using a somatostatin analog in treatment of

- various diseases or disorders)
- IT Digestive tract  
(hemorrhage; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Neoplasm  
(hypercalcemia of; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Diarrhea  
(hypersecretory; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Intestine, disease  
(irritable bowel syndrome; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Eye, disease  
(macula, degeneration; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Meninges  
(meningioma; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Antidiarrheals  
Antihypertensives  
Antihypotensives  
Antitumor agents  
Anxiolytics  
Cushing's syndrome  
Hyperparathyroidism  
Psoriasis  
(methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Pancreas, disease  
(nesidoblastosis; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Intestine, disease  
(obstruction; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Anxiety  
(panic disorder; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Hypertension  
(portal, complications of; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Cirrhosis  
(postprandial portal venous hypertension in cirrhosis; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Hypertension  
(postprandial portal venous; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Pancreas, disease  
(pseudocysts and ascites; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT Connective tissue  
(scleroderma; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT 7440-70-2, Calcium, biological studies  
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)  
(hypercalcemia, of malignancy; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT 9004-10-8, Insulin, biological studies  
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)  
(hyperinsulinemia; methods of using a somatostatin analog in treatment of various diseases or disorders)
- IT 108736-35-2, Lanreotide 108736-35-2D, Lanreotide, salts  
127984-74-1, Lanreotide acetate  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(methods of using a somatostatin analog in treatment of various diseases or disorders)

L14 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:670109 HCAPLUS  
 DN 131:295567

Search done by Noble Jarrell

ED Entered STN: 21 Oct 1999  
 TI Inhibition of Helicobacter pylori proliferation  
 IN Kaneko, Hiroshi; Mitsuma, Terunori; Yamashita, Koichi; Morgan, Barry  
 PA Biomeasure, Inc., USA  
 SO U.S., 19 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K037-43  
 ICS C07K007-26  
 NCL 514009000  
 CC 1-5 (Pharmacology)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5968903	A	19991019	US 1998-74117	19980507
	WO 9956769	A2	19991111	WO 1999-US10058	19990506
	WO 9956769	A3	20001109		
	W:		AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	AU 9939754	A1	19991123	AU 1999-39754	19990506
	EP 1075273	A2	20010214	EP 1999-922851	19990506
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI		
	JP 2002513769	T2	20020514	JP 2000-546793	19990506
	NO 2000005588	A	20010105	NO 2000-5588	20001106
PRAI	US 1998-74117	A1	19980507		
	WO 1999-US10058	W	19990506		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5968903	ICM	A61K037-43
	ICS	C07K007-26
	NCL	514009000

OS MARPAT 131:295567

AB The present invention is directed to a method of using somatostatin or a somatostatin agonist to inhibit the proliferation of Helicobacter pylori (H. pylori), which comprises administering to a patient in need thereof an effective amount of said somatostatin or somatostatin agonist. Preferably, a somatostatin sub-type receptor 2 (SSTR-2) selective somatostatin agonist is administered in a method of this invention. The inhibition of H. pylori proliferation is useful in treating various gastroduodenal diseases such as peptic ulcers, gastric cancer and gastric lymphoma.

ST Helicobacter inhibitor somatostatin agonist; gastroduodenal disease  
 Helicobacter inhibitor somatostatin agonist

IT Somatostatin receptors

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(agonists; inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

IT Digestive tract

(disease; inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

IT Lymphoma

(gastric; inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

IT Antibacterial agents

Antitumor agents

Antiulcer agents

Helicobacter pylori

Stomach, neoplasm

(inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

IT Ulcer

(peptic; inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

IT 51110-01-1, Somatostatin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(agonists; inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

IT 72127-57-2 72127-59-4 72127-61-8 72127-62-9 76080-70-1  
 77236-35-2 77236-39-6 77236-42-1 77236-46-5 77286-22-7  
 79775-25-0 79775-28-3 79814-97-4 85003-75-4 85466-72-4  
 85466-73-5 85466-74-6 85549-65-1 87778-83-4 87781-70-2  
 90836-21-8 95244-38-5 95310-74-0 95833-38-8 98044-71-4  
 99660-13-6 103140-93-8 103222-03-3 103548-90-9 109605-17-6  
 109605-19-8 109605-24-5 109605-27-8 109791-07-3 109791-08-4  
 109985-46-8 110786-64-6 111857-96-6 113294-82-9  
 113294-83-0 113294-84-1 113294-89-6 120796-12-5 120796-15-8  
 144776-53-4 145758-77-6 150155-56-9 150957-55-4  
 152045-40-4 152045-41-5 152045-43-7 152045-44-8 152045-49-3  
 152510-40-2 173484-74-7 189192-34-5 204387-62-2 204387-63-3  
 204387-64-4 204387-65-5 204387-66-6 204387-67-7 204387-68-8  
 204387-69-9 204387-70-2 204387-71-3 204387-72-4 204387-73-5  
 204387-74-6 204387-75-7 204387-76-8 204387-77-9 204387-78-0  
 204387-79-1 204387-80-4 204387-81-5 204387-82-6 204387-83-7  
 204387-84-8 204387-85-9 204387-86-0 204387-87-1 204387-88-2  
 204387-89-3 204387-90-6 204387-91-7 204387-96-2 204387-97-3  
 204388-02-3 204388-03-4 204388-05-6 204388-06-7 204388-10-3  
 204388-11-4 204388-13-6 204388-14-7 204518-70-7 204518-71-8  
 205652-45-5 215937-92-1 215945-52-1 216259-64-2 216259-65-3  
 216259-66-4 247032-68-4 247032-69-5 247032-71-9 247032-72-0  
 247032-74-2 247032-75-3 247032-76-4 247032-77-5 247032-78-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Alfven, G; Acta Paediatr 1993, V82, P967 MEDLINE
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L14 ANSWER 12 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:495196 HCAPLUS

DN 131:134661

ED Entered STN: 10 Aug 1999

TI Absorbable microparticles

IN Shalaby, Shalaby Wahba

PA Poly-Med Inc., USA

SO PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K047-48

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 2

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9938536	A1	19990805	WO 1999-US1180	19990120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318152	AA	19990805	CA 1999-2318152	19990120
AU 9923291	A1	19990816	AU 1999-23291	19990120
EP 1053020	A1	20001122	EP 1999-903216	19990120
EP 1053020	B1	20040331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002501908	T2	20020122	JP 2000-529268	19990120
AT 262926	E	20040415	AT 1999-903216	19990120
PT 1053020	T	20040630	PT 1999-903216	19990120
RU 2237471	C2	20041010	RU 2000-122622	19990120
NO 2000003810	A	20000913	NO 2000-3810	20000725
PRAI US 1998-15394	A1	19980129		
WO 1999-US1180	W	19990120		

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

WO 9938536 ICM A61K047-48

AB This invention pertains to a sustained release complex of one or more peptides, one or more proteins or a combination thereof immobilized on an absorbable polymer microparticle optionally having an absorbable polymer coating. The microparticle complex of this invention comprises a peptide(s) and/or protein(s) which have at least one amino group and/or at least one carboxyl group per mol. and a solid absorbable polyester microparticle having surface and subsurface carboxylic group or amino groups in sufficient amts. to bind the peptide(s) and/or protein(s) so that the immobilized peptide(s) or protein(s) represent 0.1 % to 30 % of the total mass of the microparticle complex. The microparticle complex with immobilized peptide(s) and/or protein(s) are optionally further encased individually or in groups with an absorbable polymer to control, further, the release of the immobilized peptide(s) and/or protein(s). To control the release of the immobilized peptide(s) and/or protein(s) even further, the encased microparticles can be incorporated into a composition with

an absorbable gel-forming liquid that transforms to a flexible gel or semi-solid upon contacting water in the biol. environment.

ST sustained release microparticle hormone peptide

IT Drug delivery systems  
(microparticles; sustained-release microparticles of hormones)

IT Enkephalins  
Hormones, animal, biological studies  
Interferons  
Peptides, biological studies  
Proteins, general, biological studies  
Tachykinins  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(sustained-release microparticles of hormones)

IT Drug delivery systems  
(sustained-release; sustained-release microparticles of hormones)

IT 62683-29-8, Colony stimulating factor  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(granulocyte- or granulocyte-macrophage-; sustained-release microparticles of hormones)

IT 58-82-2, Bradykinin 77-92-9D, Citric acid, reaction products with glycolide 502-97-6D, Glycolide, reaction products with lactide and propanediol 1393-25-5, Secretin 9002-60-2, Acth, biological studies 9002-64-6, Pth 9002-71-5, Tsh 9002-72-6, Growth hormone 9002-79-3, Msh 9007-12-9, Calcitonin 9007-92-5, Glucagon, biological studies 9034-39-3, Growth hormone releasing hormone 9034-40-6, Lhrh 11096-26-7, Erythropoietin 26202-08-4, Glycolide polymer 26780-50-7, Glycolide-lactide copolymer 31362-50-2, Bombesin 33507-63-0, Substance p 37221-79-7, Vasoactive intestinal peptide 39379-15-2, Neurotensin 51110-01-1, Somatostatin 52305-30-3 52906-92-0, Motilin 57773-63-4 80043-53-4, Gastrin releasing peptide 82785-45-3, Neuropeptide y 83652-28-2, Calcitonin gene-related peptide 96352-57-7, Glucagon-like peptide 103370-86-1, Humoral hypercalcemic factor 105953-91-1, Neuromedin 106388-42-5, Peptide yy 106602-62-4, Amylin 108736-35-2 116243-73-3, Endothelin 119418-04-1, Galanin 137061-48-4, Pituitary adenylate cyclase activating peptide 168016-90-8 182153-96-4 234752-57-9 234760-89-5, biological studies 234760-91-9, biological studies  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(sustained-release microparticles of hormones)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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(2) Corbett, J; PROC INT SYMP CONTROLLED RELEASE BIOACT MATER 1998, V25TH, P38 HCAPLUS

(3) Enzytech Inc; WO 9211844 A 1992 HCAPLUS

(4) Ruxandra, G; WO 9503356 A 1995 HCAPLUS

(5) Sandoz Ag; EP 0626170 A 1994 HCAPLUS

L14 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:495195 HCAPLUS

DN 131:134660

ED Entered STN: 10 Aug 1999

TI Process for making absorbable microparticles

IN Loughman, Thomas Ciaran

PA Kinerton Limited, Ire.

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

IC A61K047-48

CC 63-6 (Pharmaceuticals)

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938535	A1	19990805	WO 1999-IE7	19990125
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

CA 2318513	AA	19990805	CA 1999-2318513	19990125
AU 9922966	A1	19990816	AU 1999-22966	19990125
AU 740493	B2	20011108		
EP 1051194	A1	20001115	EP 1999-902793	19990125
EP 1051194	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002501907	T2	20020122	JP 2000-529267	19990125
AT 240121	E	20030515	AT 1999-902793	19990125
PT 1051194	T	20030930	PT 1999-902793	19990125
ES 2194437	T3	20031116	ES 1999-902793	19990125
NO 2000003804	A	20000920	NO 2000-3804	20000725
US 6555156	B1	20030429	US 2000-601074	20000726
PRAI IE 1998-54	A	19980129		
WO 1999-IE7	W	19990125		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9938535	IC	A61K047-48
US 6555156	ECLA	A61K047/48K4D; A61K047/48K6; A61K047/48W8
AB	This invention pertains to a process for making an encased bound microparticle sustained release complex comprising one or more peptides, one or more proteins or a combination thereof immobilized on an absorbable polymer microparticle having an absorbable polymer coating, where the process comprises nebulizing a dispersion of the bound microparticles. Polyglycolic acid was dispersed in an aqueous solution containing p-Glu-His-Trp-Ser-Tyr-D-Trp-Leu-Arg-Pro-Gly-NH <sub>2</sub> (LHRH analog) and incubated at room temperature for 2 h. The polypeptide-loaded polymers were dispersed in acetonitrile solns. of encasing copolymers, e.g. glycolide-lactide copolymer. The dispersion was nebulized into isopropanol at -80.degree.. Once nebulization was complete, the entire dispersion was allowed to thaw to room temperature. The encased microparticles were recovered by vacuum filtration over a filter paper. The filter cake was rinsed with water, then lyophilized. The obtained bound or encased microparticles were administered to rats by i.m. injection to assess the release rate of the peptide.	
ST	polyester peptide immobilization microparticle controlled release; LHRH analog polyglycolate dispersion nebulization	
IT	Proteins, specific or class RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (biol. active; manufacture of absorbable encased polyester microparticles containing biol. active peptides by nebulization)	
IT	Anion exchangers Atomizing (spraying) Cation exchangers (manufacture of absorbable encased polyester microparticles containing biol. active peptides by nebulization)	
IT	Enkephalins Interferons Polyesters, biological studies Tachykinins RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (manufacture of absorbable encased polyester microparticles containing biol. active peptides by nebulization)	
IT	Drug delivery systems (microparticles, controlled-release; manufacture of absorbable encased polyester microparticles containing biol. active peptides by nebulization)	
IT	26009-03-OP, Polyglycolide 26202-08-4P, Polyglycolide 156187-33-6P 234752-58-OP RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (manufacture of absorbable encased polyester microparticles containing biol. active peptides by nebulization)	
IT	58-82-2, Bradykinin 1393-25-5, Secretin 9002-60-2, ACTH, biological studies 9002-64-6, Parathyroid hormone 9002-71-5, TSH 9002-72-6, Growth hormone 9002-79-3, Melanocyte-stimulating hormone 9007-12-9, Calcitonin 9007-92-5, Glucagon, biological studies 9034-39-3, Growth hormone-releasing hormone 9034-40-6, Luteinizing hormone-releasing hormone 11096-26-7, Erythropoietin 26780-50-7, Glycolide-lactide copolymer 31362-50-2, Bombesin 33507-63-0, Substance P 37221-79-7, Vasoactive intestinal peptide 39379-15-2, Neurotensin 51110-01-1, Somatostatin 52305-30-3, L-Lactide-DL-lactide copolymer 52906-92-0, Motilin 57773-63-4, 80043-53-4, Gastrin-releasing peptide 82785-45-3, Neuropeptide Y 83652-28-2, Calcitonin gene-related peptide 83869-56-1, Granulocyte macrophage colony stimulating factor 85205-36-3, Glucagon-releasing factor 103370-86-1, Humoral hypercalcemic factor 105953-91-1, Neuromedin 106388-42-5, Peptide YY 106602-62-4, Amylin	

108736-35-2 116243-73-3, Endothelin 119418-04-1, Galanin  
 137061-48-4, Pituitary adenylate cyclase activating peptide 143011-72-7,  
 Granulocyte colony stimulating factor 182153-96-4 234752-56-8  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (manufacture of absorbable encased polyester microparticles containing biol.  
 active peptides by nebulization)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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- (2) Enzytech Inc; WO 9211844 A 1992 HCAPLUS
- (3) Reyderman, L; Novel methods of microparticulate production: application to drug deliver HCAPLUS
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L14 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:744968 HCAPLUS

DN 130:837

ED Entered STN: 24 Nov 1998

TI Method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists

IN Melmed, Shlomo; Shimon, Ilan; Culler, Michael D.

PA Cedars-Sinai Medical Center, USA; Biomeasure Inc.

SO PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K038-31

ICS A61K038-31; A61K031-48

CC 2-5 (Mammalian Hormones)

Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850063	A1	19981112	WO 1998-US8288	19980424
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5972893	A	19991026	US 1997-852221	19970506
EP 979098	A1	20000216	EP 1998-918696	19980424
EP 979098	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001523265	T2	20011120	JP 1998-548139	19980424
AT 234113	E	20030315	AT 1998-918696	19980424
PT 979098	T	20030731	PT 1998-918696	19980424
EP 1332762	A1	20030806	EP 2003-5548	19980424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
ES 2195333	T3	20031201	ES 1998-918696	19980424
PRAI US 1997-45241P	P	19970501		
US 1997-852221	A	19970506		
US 1997-45241	P	19970501		
EP 1998-918696	A3	19980424		
WO 1998-US8288	W	19980424		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9850063	ICM	A61K038-31
	ICS	A61K038-31; A61K031-48
US 5972893	ECLA	A61K038/31; A61K038/31
EP 1332762	ECLA	A61K038/31

AB A method of treating hyperprolactinemia in an animal, including a human, by administering one or more somatostatin type-5 receptor agonist(s) to, for example, lower abnormally high levels of prolactin in the blood of the animal. A method of treating a subject, including a human, afflicted by a prolactinoma, by administering one or more type-5 receptor selective agonist(s) to, for example, lower prolactin secretion and/or decrease tumor size in the subject.

ST hyperprolactinemia prolactinoma treatment somatostatin receptor agonist  
 IT Somatostatin receptors

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SSTR5, agonists; method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists)

Search done by Noble Jarrell



- IT Pituitary gland  
(adenoma, anterior, prolactinoma; method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists)
- IT Thorax  
(chest wall trauma; method of treating chest wall trauma associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Pituitary gland  
(disease, pituitary stalk disease; method of treating hypothalamic or pituitary stalk disease associated with high levels of prolactin using somatostatin type-5 receptor agonists)
- IT Lactation  
(disorder, galactorrhea; method of treating galactorrhea associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Fertility  
(disorder; method of treating infertility associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Kidney, disease  
(failure; method of treating renal failure or cirrhosis associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Lactation  
(galactorrhea; method of treating galactorrhea associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Reproductive organ  
(hypergonadism; method of treating hypergonadism associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Brain, disease  
(hypothalamus; method of treating hypothalamic or pituitary stalk disease associated with high levels of prolactin using somatostatin type-5 receptor agonists)
- IT Sexual behavior  
(impotence; method of treating impotence associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Kidney, disease  
(interstitial nephritis; method of treating renal failure or cirrhosis associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Amenorrhea  
(method of treating amenorrhea associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Estrogens  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(method of treating decreased dopamine or dopamine inhibitory action associated with high levels of serum prolactin using estrogen)
- IT Antitumor agents  
(method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists)
- IT Lactation  
(method of treating hyperprolactinemia associated with postpartum lactation using somatostatin type-5 receptor agonists)
- IT Drugs  
Psychotropics  
(method of treating hyperprolactinemia induced by drugs using somatostatin type-5 receptor agonists)
- IT Opioids  
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
(method of treating hyperprolactinemia induced by drugs using somatostatin type-5 receptor agonists)
- IT Hyperthyroidism  
(method of treating hyperthyroidism associated with high levels of prolactin using somatostatin type-5 receptor agonists)
- IT Anticonvulsants  
Seizures  
(method of treating seizures associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)
- IT Pituitary gland, anterior lobe  
(prolactinoma; method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists)
- IT 9002-62-4, Prolactin, biological studies  
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
(hyperprolactinemia; method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists)

IT 51-61-6, Dopamine, biological studies  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (method of treating decreased dopamine or dopamine inhibitory action associated with high levels of serum prolactin using somatostatin type-5 receptor agonists)

IT 51110-01-1, Somatostatin-14 75037-27-3, Somatostatin-28 83150-76-9, Octreotide 108736-35-2, Lanreotide 133073-82-2, BIM-23052 150155-54-7, BIM-23023 168016-90-8, BIM-23197 181650-80-6, BIM-23268 182153-96-4, BIM-23190  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists)

IT 18016-80-3, Lisuride 25614-03-3, Bromocriptine 66104-22-1, Pergolide 81409-90-7, Cabergoline  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method of treating hyperprolactinemia and prolactinomas using somatostatin type-5 receptor agonists in combination with other therapeutic agents)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Biomeasure Incorporated; WO 9711962 A 1997 HCAPLUS
- (2) Shimon, I; THE JOURNAL OF CLINICAL INVESTIGATION 1997, V100(9), P2386 HCAPLUS
- (3) Shimon, I; THE JOURNAL OF CLINICAL INVESTIGATION 1997, V99(4), P789 HCAPLUS
- (4) The Administrators Of The Tulane University Educational Fund; US 4650787 A HCAPLUS
- (5) The Administrators Of The Tulane University Educational Fund; US 4725577 A HCAPLUS
- (6) The Administrators Of The Tulane University Educational Fund; EP 0203031 A 1986 HCAPLUS

L14 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:394351 HCAPLUS

DN 129:68033

ED Entered STN: 27 Jun 1998

TI Preparation of somatostatin antagonists containing D-amino acids in the second position

IN Morgan, Barry; Murphy, William; Coy, David H.

PA Biomeasure Incorporated, USA; Administration of the Tulane Educational Fund; Morgan, Barry; Murphy, William; Coy, David H.

SO PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07K007-00

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824807	A2	19980611	WO 1997-US22251	19971204
WO 9824807	A3	19981015		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 6262229	B1	20010717	US 1997-855204	19970513
ZA 9710855	A	19980612	ZA 1997-10855	19971203
CA 2274144	AA	19980611	CA 1997-2274144	19971204
AU 9876248	A1	19980629	AU 1998-76248	19971204
AU 728224	B2	20010104		
EP 956296	A2	19991117	EP 1997-949758	19971204
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9714376	A	20000321	BR 1997-14376	19971204
NZ 335879	A	20001124	NZ 1997-335879	19971204
JP 2001505580	T2	20010424	JP 1998-525801	19971204

Search done by Noble Jarrell

RU 2179172	C2	20020210	RU 1999-114018	19971204
TW 575582	B	20040211	TW 1997-86118262	19971204
US 6703481	B1	20040309	US 2000-670249	20000926
US 2004097418	A1	20040520	US 2003-712081	20031113
PRAI US 1996-32358P	P	19961204		
US 1996-760672	A	19961204		
US 1997-855204	A2	19970513		
WO 1997-US22251	W	19971204		
US 2000-670249	A3	20000926		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9824807	ICM	C07K007-00
US 6703481	ECLA	C07K014/655A
US 2004097418	ECLA	C07K014/655A

OS MARPAT 129:68033  
GI

R1  
A1-A2-A3-AA4-Lys-A6-A7-A8-R3  
R2

I

AB The invention features somatostatin antagonists I [A1 = D- or L-amino acid residue, or is deleted; A2 = D-Cys, D-penicillamine (D-Pen), aromatic D-amino acid, aliphatic D-amino acid; A3 = aromatic amino acid; A4 = Trp, D-Trp; A6 = Thr, Thr(CH2Ph), Gly, Ser, aliphatic amino acid; A7 = Cys, Pen, aromatic amino acid, aliphatic amino acid; A7 = D- or L- Thr, D- or L-Ser, aromatic D- or L-amino acid, aliphatic D- or L-amino acid; R1, R2 = independently H, (un)substituted lower alkyl, aryl, aryl lower alkyl, heterocyclyl, heterocyclyl lower alkyl, E1SO2, E1CO; E1 = aryl, aryl lower alkyl, heterocyclyl, heterocyclyl lower alkyl; R3 = OH, NH2, C1-12 alkoxy, NHYCH2Z; Y = C1-12 hydrocarbon moiety; Z = H, OH, CO2H, CONH2; or R3 and the carbonyl group of A8 are reduced to form H, lower alkyl, hydroxy lower alkyl; with provisos] having a D-amino acid at the second residue. Thus, H-.beta.-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-.beta.-Nal-NH2 cyclic disulfide [II; .beta.-Nal = 3-(2-naphthyl)alanine; Pal = 3-(3-pyridyl)alanine] was prepared by standard solid-phase methods on a benzhydrylamine-polystyrene resin using tert-butoxycarbonyl (Boc) N.alpha.-protection. II inhibited the in vitro release of growth hormone in a rat pituitary assay with IC50 = 0.01 .mu.M.

ST somatostatin analog solid phase prepn activity; growth hormone release inhibitor somatostatin analog

IT 51110-01-1, Somatostatin

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(antagonists; preparation of D-amino acid-containing somatostatin antagonists)

IT 111857-93-3P 152045-42-6P 171894-24-9P 195520-46-8P 205234-44-2P  
205234-45-3P 205234-46-4P 205234-47-5P 205234-48-6P  
205234-49-7P 205234-50-0P 205234-51-1P 205234-54-4P  
205234-55-5P 205234-56-6P 205234-58-8P 205234-59-9P 205234-60-2P  
205234-61-3P 205234-62-4P 205234-63-5P 205234-65-7P 205234-66-8P  
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205234-72-6P 205234-73-7P 205234-74-8P 205234-76-0P 205237-53-2P  
209005-80-1P 209005-81-2P 209005-82-3P 209005-83-4P 209005-84-5P  
209005-85-6P 209005-86-7P 209005-87-8P 209005-88-9P 209005-89-0P  
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 209006-95-1P 209006-96-2P 209006-98-4P 209006-99-5P 209007-00-1P  
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 209007-48-7P 209007-50-1P 209007-52-3P 209007-53-4P 209007-55-6P  
 209007-57-8P 209007-59-0P 209007-60-3P 209007-61-4P 209007-62-5P  
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 209007-68-1P 209007-69-2P 209007-70-5P 209007-71-6P 209007-72-7P  
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 209007-78-3P 209007-79-4P 209007-80-7P 209007-81-8P 209007-82-9P  
 209007-83-0P 209007-84-1P 209007-85-2P 209007-86-3P 209007-87-4P  
 209007-88-5P 209007-89-6P 209007-90-9P 209007-91-0P 209007-92-1P  
 209007-93-2P 209007-94-3P 209007-95-4P 209007-96-5P 209007-97-6P  
 209007-98-7P 209007-99-8P 209008-00-4P 209008-01-5P 209008-02-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of D-amino acid-containing somatostatin antagonists)

IT 209008-03-7P 209008-04-8P 209008-05-9P 209008-06-0P 209008-07-1P  
 209008-08-2P 209008-09-3P 209008-10-6P 209008-11-7P 209008-12-8P  
 209008-13-9P 209008-14-0P 209008-15-1P 209008-16-2P 209008-17-3P  
 209008-18-4P 209008-19-5P 209008-20-8P 209008-21-9P 209008-22-0P  
 209008-23-1P 209008-24-2P 209008-25-3P 209008-26-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of D-amino acid-containing somatostatin antagonists)

L14 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:323278 HCAPLUS

DN 129:19689

ED Entered STN: 30 May 1998

TI Acylated cyclodextrin derivatives and their uses in drug supports

IN Shalaby, Shalaby W.; Corbett, Joel Thomas

PA Poly-Med, USA; Shalaby, Shalaby W.; Corbett, Joel Thomas

SO PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C08B037-16

ICS A61K047-48

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 44

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9820044	A1	19980514	WO 1997-US18105	19971006
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5916883	A	19990629	US 1996-740778	19961101
CA 2269519	AA	19980514	CA 1997-2269519	19971006
AU 9747483	A1	19980529	AU 1997-47483	19971006
AU 728398	B2	20010111		
EP 935613	A1	19990818	EP 1997-910005	19971006
EP 935613	B1	20020612		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001503802	T2	20010321	JP 1998-521394	19971006
NZ 335387	A	20010525	NZ 1997-335387	19971006
AT 219109	E	20020615	AT 1997-910005	19971006
PT 935613	T	20021129	PT 1997-910005	19971006
ES 2176705	T3	20021201	ES 1997-910005	19971006

Search done by Noble Jarrell

US 6204256	B1	20010320	US 1999-288471	19990408
MX 9903959	A	20000531	MX 1999-3959	19990428
PRAI US 1996-740778	A	19961101		
WO 1997-US18105	W	19971006		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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WO 9820044	ICM	C08B037-16
	ICS	A61K047-48
WO 9820044	ECLA	A61K047/48W18B; C08B037/00M2B
US 5916883	ECLA	A61K047/48K8B; C08B037/00M2B

OS MARPAT 129:19689

AB A cyclodextrin derivative is disclosed wherein at least 60% of the free hydroxy groups of said cyclodextrin are acylated with acyl groups where at least one of said acyl groups comprise a free carboxylic group which is grafted with hydroxy acids or lactones, e.g., glycolide. The cyclodextrin derivative is useful for supporting drug agents, particularly those bearing ionogenic amino groups, via ionic bondings. Thus, acetylating a .beta.-cyclodextrin with Ac2O and succinic anhydride, grafting the resulting acylated product with glycolide and lactide, and mixing with Decapeptyl gave a supported polypeptide.

ST polypeptide acylated cyclodextrin conjugate manuf; drug support cyclodextrin acylated deriv

IT Drugs

(acylated cyclodextrin derivs. and uses in drug support)

IT Peptides, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(acylated cyclodextrin derivs. and uses in drug support)

IT 9007-12-9, Calcitonin 9034-40-6, LHRH 51110-01-1, Somatostatin 57773-63-4, Decapeptyl 108736-35-2, Lanreotide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(acylated cyclodextrin derivs. and uses in drug support)

IT 207620-85-7P 207620-86-8P 207620-87-9P 207620-88-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediates; acylated cyclodextrin derivs. and uses in drug support)

IT 207620-89-1P, .beta.-Cyclodextrin glutarate propionate-glycolide-lactide graft copolymer 207620-90-4P 207620-91-5P 207620-92-6P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(supports; acylated cyclodextrin derivs. and uses in drug support)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Parmerter, S; US 3453260 A 1969 HCAPLUS
- (2) Sandoz; GB 2145422 A 1985 HCAPLUS
- (3) Uda; US 4670419 A 1987 HCAPLUS
- (4) Zhong-Yao, S; CARBOHYDRATE RESEARCH 1990, V201(2), P241

L14 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:163467 HCAPLUS

DN 128:226683

ED Entered STN: 19 Mar 1998

TI Method of inhibiting fibrosis with a somatostatin agonist

IN Culler, Michael D.; Kasprzyk, Philip G.

PA Biomeasure Incorporated, USA; Culler, Michael D.; Kasprzyk, Philip G.

SO PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K038-00

CC 2-5 (Mammalian Hormones)

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9808529	A1	19980305	WO 1997-US14154	19970827
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2264309	AA	19980305	CA 1997-2264309	19970827

AU 9741490	A1	19980319	AU 1997-41490	19970827
AU 726731	B2	20001116		
EP 938328	A1	19990901	EP 1997-939392	19970827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1229357	A	19990922	CN 1997-197671	19970827
JP 2001500483	T2	20010116	JP 1998-511678	19970827
ZA 9707783	A	19990301	ZA 1997-7783	19970829
US 6268342	B1	20010731	US 1999-254097	19990510
PRAI US 1996-705790	A2	19960830		
WO 1997-US14154	W	19970827		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
------------	-------	------------------------------------

WO 9808529	ICM	A61K038-00
OS	MARPAT 128:226683	
AB	The present invention relates to a method of inhibiting fibrosis in a patient. The method comprises administering a therapeutically effective amount of a somatostatin, a somatostatin agonist or a pharmaceutically acceptable salt thereof to said patient.	
ST	fibrosis inhibition somatostatin agonist	
IT	Kidney, disease	
	(HIV; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Somatostatin receptors	
	RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)	
	(SSTR1; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Somatostatin receptors	
	RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)	
	(SSTR2; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Somatostatin receptors	
	RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)	
	(SSTR3; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Somatostatin receptors	
	RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)	
	(SSTR4; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Somatostatin receptors	
	RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)	
	(SSTR5; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Transplant rejection	
	(allograft rejection, fibrotic disorder in the kidney; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Fibrosis	
	(autoimmune; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Nervous system	
	(central, disease, fibrosis; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Kidney, disease	
	(diabetic nephropathy; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Cardiovascular system	
	Digestive tract	
	(disease, fibrosis; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Gland	
	(endocrine, fibrosis; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Eosinophilia	
	(eosinophilia-myalgia syndrome; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Chemotherapy	
	Radiation	
	Wound	
	(fibrosis from; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Immune system	
	(fibrosis induced by an immune reaction; method of inhibiting fibrosis with a somatostatin agonist)	
IT	Bone, disease	
	Eye, disease	
	Kidney, disease	
	Liver, disease	

Lung, disease  
 Skin, disease  
 Skin, disease  
 (fibrosis; method of inhibiting fibrosis with a somatostatin agonist)

IT Fibrosis  
 (from an environmental or industrial factor; method of inhibiting  
 fibrosis with a somatostatin agonist)

IT Kidney, disease  
 (glomerulonephritis; method of inhibiting fibrosis with a somatostatin  
 agonist)

IT Fibrosis  
 (idiopathic; method of inhibiting fibrosis with a somatostatin agonist)

IT Cirrhosis  
 Fibrosis  
 Granulation tissue  
 Keloid  
 Wound  
 (method of inhibiting fibrosis with a somatostatin agonist)

IT Myeloproliferative disorders  
 (myelofibrosis; method of inhibiting fibrosis with a somatostatin  
 agonist)

IT Human immunodeficiency virus 1  
 (nephropathy; method of inhibiting fibrosis with a somatostatin  
 agonist)

IT Vein  
 (occlusion, liver; method of inhibiting fibrosis with a somatostatin  
 agonist)

IT Skin, disease  
 (scar; method of inhibiting fibrosis with a somatostatin agonist)

IT Nervous system  
 (sclerosis; method of inhibiting fibrosis with a somatostatin agonist)

IT Liver, disease  
 (veno-occlusive disease; method of inhibiting fibrosis with a  
 somatostatin agonist)

IT 95244-38-5  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (demethod of inhibiting fibrosis with a somatostatin agonist)

IT 51110-01-1, Somatostatin-14 72127-57-2 72127-59-4 72127-61-8  
 72127-62-9 75037-27-3, Somatostatin-28 76080-70-1 76587-47-8  
 76587-65-0 76587-78-5 77236-35-2 77236-36-3 77236-39-6  
 77236-42-1 77236-46-5 77286-22-7 77286-23-8 79775-25-0  
 79775-28-3 79814-97-4 81377-02-8 83150-76-9 85466-72-4  
 85466-73-5 85466-74-6 85549-65-1 87778-83-4 87781-70-2  
 90836-21-8 95310-74-0 95833-38-8 98044-76-9 98103-20-9  
 103140-93-8 103222-03-3 103548-90-9 108736-35-2, BIM-23014  
 109791-07-3 109791-08-4 109985-46-8 110786-64-6 113294-82-9  
 113294-83-0 113294-84-1 113294-89-6 120796-15-8 133073-82-2  
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 150155-66-1 150957-55-4 150957-56-5 150996-95-5 152510-40-2  
 168016-90-8, BIM-23197 173484-74-7 181650-80-6, BIM 23268  
 182153-96-4, BIM-23190 204387-61-1 204387-62-2 204387-63-3  
 204387-64-4 204387-65-5 204387-66-6 204387-67-7 204387-68-8  
 204387-69-9 204387-70-2 204387-71-3 204387-72-4 204387-73-5  
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 204388-09-0 204388-10-3 204388-11-4 204388-12-5 204388-13-6  
 204388-14-7 204518-70-7 204518-71-8  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (method of inhibiting fibrosis with a somatostatin agonist)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Coy; US 4904642 A 1990 HCAPLUS

(2) Tsukamoto; Endocrine Journal 1994, V41(4) MEDLINE

L14 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:163466 HCAPLUS

DN 128:213736

Search done by Noble Jarrell

ED Entered STN: 19 Mar 1998  
 TI Method of inhibiting fibrosis with a somatostatin agonist  
 IN Culler, Michael D.; Kasprzyk, Philip G.  
 PA Biomeasure Incorporated, USA; Culler, Michael D.; Kasprzyk, Philip G.  
 SO PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K038-00  
 ICS C07K014-00  
 CC 2-5 (Mammalian Hormones)  
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9808528	A1	19980305	WO 1997-US8999	19970528
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9732155	A1	19980319	AU 1997-32155	19970528
CN 1229357	A	19990922	CN 1997-197671	19970827
ZA 9707783	A	19990301	ZA 1997-7783	19970829
PRAI US 1996-705790	A1	19960830		
WO 1997-US8999	W	19970528		

CLASS  
 PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES  
 -----  
 WO 9808528 ICM A61K038-00  
 ICS C07K014-00

OS MARPAT 128:213736  
 AB The present invention relates to a method of inhibiting fibrosis in a patient. The method includes the step of administering a therapeutically effective amount of a somatostatin or a somatostatin agonist to said patient. The fibrosis can be in the kidney, lung, liver or skin or induced by chemotherapy.  
 ST fibrosis inhibition somatostatin  
 IT Somatostatin receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (agonists; method of inhibiting fibrosis with a somatostatin agonist)  
 IT Chemotherapy  
 (fibrosis from; method of inhibiting fibrosis with a somatostatin agonist)  
 IT Kidney, disease  
 Liver, disease  
 Lung, disease  
 Skin, disease  
 Skin, disease  
 (fibrosis; method of inhibiting fibrosis with a somatostatin agonist)  
 IT Fibrosis  
 (method of inhibiting fibrosis with a somatostatin agonist)  
 IT 181650-80-6, BIM 23268  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (BIM 23268; method of inhibiting fibrosis with a somatostatin agonist)  
 IT 51110-01-1, Somatostatin 75037-27-3, Somatostatin 28 108736-35-2, BIM-23014 168016-90-8, BIM-23197 182153-96-4, BIM-23190  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method of inhibiting fibrosis with a somatostatin agonist)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Coy; US 4904642 A 1990 HCAPLUS
- (2) Tracy; American Journal of Pathology 1993, V143(6), P1574 HCAPLUS
- (3) Tsukamoto; Endocrine Journal 1994, V41(4), P437 MEDLINE

L14 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:756950 HCAPLUS  
 DN 127:351265



ED Entered STN: 04 Dec 1997  
 TI Sustained-release ionic conjugate comprising biodegradable polymer and a free amino group-containing drug  
 IN Ignatious, Francis; Loughman, Thomas Ciaran; Shalaby, Shalaby W.; Touraud, Franck Jean-claude  
 PA Kinerton Ltd., Ire.; Ignatious, Francis; Loughman, Thomas Ciaran; Shalaby, Shalaby W.; Touraud, Franck Jean-Claude  
 SO PCT Int. Appl., 23 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC A61K009-16; A61K047-48  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9739738	A2	19971030	WO 1997-IE30	19970422
	WO 9739738	A3	19971127		
	W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SE, TD, TG			
	CA 2252826	AA	19971030	CA 1997-2252826	19970422
	AU 9725751	A1	19971112	AU 1997-25751	19970422
	AU 721433	B2	20000706		
	EP 904062	A2	19990331	EP 1997-917391	19970422
	EP 904062	B1	20030730		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	CN 1216465	A	19990512	CN 1997-194067	19970422
	JP 11508609	T2	19990727	JP 1997-537896	19970422
	JP 3390177	B2	20030324		
	BR 9708818	A	20000104	BR 1997-8818	19970422
	NZ 332893	A	20000327	NZ 1997-332893	19970422
	RU 2173137	C2	20010910	RU 1998-121128	19970422
	JP 2003026606	A2	20030129	JP 2002-195134	19970422
	IL 126619	A1	20030731	IL 1997-126619	19970422
	AT 245970	E	20030815	AT 1997-917391	19970422
	PT 904062	T	20031128	PT 1997-917391	19970422
	ES 2200172	T3	20040301	ES 1997-917391	19970422
	CZ 293965	B6	20040818	CZ 2003-1935	19970422
	CZ 293822	B6	20040818	CZ 1998-3299	19970422
	NO 9804924	A	19981221	NO 1998-4924	19981022
	KR 2000010621	A	20000225	KR 1998-708520	19981023
	US 2002041893	A1	20020411	US 1999-171740	19990420
PRAI	IE 1996-308	A	19960423		
	JP 1997-537896	A	19970422		
	WO 1997-IE30	W	19970422		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9739738	IC	A61K009-16IC A61K047-48

AB A method of spherifying a sustained-release ionic conjugate which contains a free carboxyl group-containing biodegradable polymer and a free amino group-containing drug which are ionically bonded to each other is disclosed. Thus, 18.0 g L-lactic acid-glycolic acid-D,L-malic acid copolymer was dissolved in 180 g of acetone followed by addition of 14.4 mL of 0.5 NaOH and a solution of 4.28 g of lanreotide acetate in a 50:50 mixture of water:acetone and stirred for 2 h to obtain a polymer-peptide ionic conjugate (PPIC). The above PPIC solution was slowly added to a 0.degree. water to precipitated PPIC as small solid particles were then separated, washed and lyophilized. The specific area of particles was 18.64 m2/g and 90% for the particles has diameter >62 .mu.m.

ST sustained release ionic conjugate biodegradable polymer; polylactide polyglycolide lanreotide sustained release pharmaceutical

IT Polymers, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (biodegradable; sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)

IT Polymers, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (conjugates; sustained-release ionic conjugate comprising biodegradable

- polymer and free amino group-containing drug)
- IT Fats and Glyceridic oils, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sesame; sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Particle size  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Alcohols, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Paraffin oils  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Peptides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Polyesters, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Polysiloxanes, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Drug delivery systems  
(sustained-release; sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT Fats and Glyceridic oils, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(vegetable; sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT 67-63-0, Isopropyl alcohol, uses 67-64-1, Acetone, uses 75-05-8, Acetonitrile, uses 109-99-9, Tetrahydrofuran, uses 110-54-3, Hexane, uses 110-71-4, Glyme 111-65-9, Octane, uses 141-78-6, Ethyl acetate, uses 142-82-5, Heptane, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)
- IT 69-65-8, Mannitol 77-92-9D, Citric acid, polyester containing 87-69-4D, Tartaric acid, polyester containing, biological studies 110-15-6D, Succinic acid, polyester containing 110-94-1D, Glutaric acid, polyester containing 6915-15-7D, Malic acid, polyester containing 9034-40-6, Lhrh 26009-03-0, Polyglycolide 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26100-51-6, Polylactic acid 26124-68-5, Polyglycolic acid 26202-08-4, Polyglycolide 26680-10-4, Polylactide 29223-92-5, Poly p-dioxanone 31852-84-3, Polytrimethylene carbonate 50862-75-4, Poly(oxycarbonyloxy-1,3-propanediyl) 51110-01-1, Somatostatin 127984-74-1, Lanreotide acetate 133881-21-7 198418-98-3, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained-release ionic conjugate comprising biodegradable polymer and free amino group-containing drug)

L14 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:261093 HCAPLUS

DN 126:301921

ED Entered STN: 23 Apr 1997

TI Proliferative response of human and animal tumors to surgical wounding of normal tissues: onset, duration and inhibition

AU Bogden, A. E.; Moreau, J.-P.; Eden, P. A.

CS Biomeasure Inc., Milford, MA, 01757-3650, USA

SO British Journal of Cancer (1997), 75(7), 1021-1027

CODEN: BJCAAI; ISSN: 0007-0920

PB Churchill Livingstone

DT Journal

LA English

CC 2-5 (Mammalian Hormones)

Section cross-reference(s): 14

AB Acceleration of secondary tumor growth and metastases following excision of a primary tumor has been attributed to the consequent removal of primary tumor-generated inhibitory factors. However, the authors' studies have shown that surgical wounding of normal tissues significantly stimulated the growth of malignant tissues without the concomitant

presence or excision of a tumor mass. A humoral stimulating component was indicated by the proliferative response of tumors and metastases distant from the surgical wound. All 16 human and murine tumors, of nine different histologies, showed a measurable acceleration of growth when implanted in surgically treated animals, suggesting that the ability of malignant tissue to respond to surgical wounding of normal tissue was not histol. or species specific. The proliferative surge of malignant tissues was detectable soon after wounding and had a duration of 2-3 days. The surgical wound as the source of the tumor-stimulating factor(s) was affirmed by the significant inhibition of tumor proliferative responses when a somatostatin analog was applied topically to the surgical wound within 1 h of wounding, and/or during the critical tumor-stimulatory period of 1-2 days after wounding. A potential therapeutic window for reducing a risk factor that may be inadvertently imposed upon every surgical/oncol. patient is indicated.

ST lanreotide surgery tumor growth

IT Neoplasm

Surgery

(proliferative response of human and animal tumors to surgical wounding of normal tissues: onset, duration and inhibition)

IT Growth factors, animal

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)

(proliferative response of human and animal tumors to surgical wounding of normal tissues: onset, duration and inhibition)

IT 51110-01-1D, Somatostatin-14, analogs 108736-35-2, Lanreotide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(proliferative response of human and animal tumors to surgical wounding of normal tissues: onset, duration and inhibition)

L14 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:101609 HCAPLUS

DN 126:108933

ED Entered STN: 13 Feb 1997

TI Ionic molecular conjugates of N-acylated derivatives of poly(2-amino-2-deoxy-D-glucose) and polypeptides

IN Shalaby, Shalaby W.; Jackson, Steven A.; Ignatious, Francis; Moreau, Jacques-Pierre

PA USA

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K038-00

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 33, 34

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9639160	A1	19961212	WO 1996-US7756	19960524
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5665702	A	19970909	US 1995-468947	19950606
CA 2222995	AA	19961212	CA 1996-2222995	19960524
AU 9658789	A1	19961224	AU 1996-58789	19960524
AU 717188	B2	20000323		
EP 830137	A1	19980325	EP 1996-920510	19960524
EP 830137	B1	20030716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1192152	A	19980902	CN 1996-195836	19960524
JP 11508289	T2	19990721	JP 1996-500740	19960524
BR 9609031	A	19991214	BR 1996-9031	19960524
RU 2172323	C2	20010820	RU 1998-100091	19960524
AT 245031	E	20030815	AT 1996-920510	19960524
PT 830137	T	20031031	PT 1996-920510	19960524
ES 2201188	T3	20040316	ES 1996-920510	19960524
MX 9709674	A	20000731	MX 1997-9674	19971205
AU 738378	B2	20010913	AU 2000-37934	20000606
PRAI US 1995-468947	A	19950606		
WO 1996-US7756	W	19960524		

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

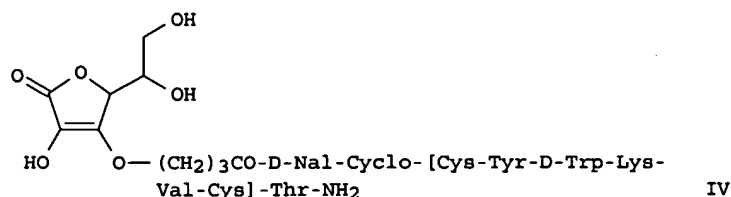
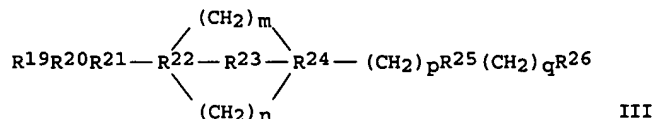
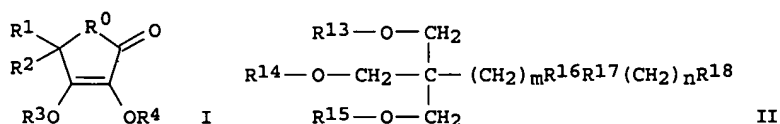
-----  
 WO 9639160 ICM A61K038-00  
 AB A pharmaceutical composition comprising an N-acylated copolymer and a polypeptide, said polypeptide comprising at least one effective ionogenic amine wherein at least 50 percent of said polypeptide present in said composition is ionically bound to said polymer, is disclosed. The N-acylated copolymer-polypeptide conjugates are useful for the controlled-release of polypeptides. An aqueous soln. of N-succinylated chitosan potassium salt (I) (preparation given) was mixed with an aqueous solution of somatostatin acetate (Somatuline) (II) and stirred until I.II conjugate was precipitated, which was filtered and dried under vacuum.  
 ST polyaminodeoxyglucose polypeptide conjugate; chitosan somatostatin conjugate prepn pharmaceutical  
 IT Drug delivery systems  
 (ionic mol. conjugates of N-acylated derivs. of poly(aminodeoxyglucose) and polypeptides)  
 IT Peptides, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (ionic mol. conjugates of N-acylated derivs. of poly(aminodeoxyglucose) and polypeptides)  
 IT 64-19-7, Acetic acid, reactions 108-30-5, Succinyl anhydride, reactions 9012-76-4, Chitosan 51110-01-1, Somatostatin 127984-74-1, Somatuline  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (ionic mol. conjugates of N-acylated derivs. of poly(aminodeoxyglucose) and polypeptides)  
 IT 9012-76-4DP, Chitosan, acetylated and succinylated, conjugates with polypeptides 51110-01-1DP, Somatostatin, conjugates with polypeptides 127984-74-1DP, Somatuline, conjugates with acetylated and succinylated chitosan  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (ionic mol. conjugates of N-acylated derivs. of poly(aminodeoxyglucose) and polypeptides)  
 L14 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:695866 HCAPLUS  
 DN 126:14869  
 ED Entered STN: 25 Nov 1996  
 TI Potent somatostatin analogs containing N-terminal modifications  
 AU Kim, S. H.; Dong, J. Z.; Gordon, T. D.; Kimball, H. L.; Moreau, S. C.; Moreau, J.-P.; Morgan, B. A.; Murphy, W. A.; Taylor, J. E.  
 CS Biomeasure, Inc., Milford, MA, 01757, USA  
 SO Peptides: Chemistry, Structure and Biology, Proceedings of the American Peptide Symposium, 14th, Columbus, Ohio, June 18-23, 1995 (1996), Meeting Date 1995, 241-243. Editor(s): Kaumaya, Pravin T. P.; Hodges, Robert S. Publisher: Mayflower Scientific, Kingswinford, UK.  
 CODEN: 63NTAF  
 DT Conference  
 LA English  
 CC 2-2 (Mammalian Hormones)  
 AB The clin. utility of somatostatin analogs such as Octreotide and Lanreotide is now well established. Recent reports on the improved bioavailability of various peptides with certain N- or C-terminal modifications prompted us to investigate the discovery of a second generation of somatostatin analogs with greater potency in vivo. Our efforts were focused on N-terminal modification of cyclic octapeptides related to somatostatin. We now report the design, synthesis, and aspects of the in vitro and in vivo activities of these analogs.  
 ST somatostatin analog N terminal modification  
 IT 51110-01-1, Somatostatin 83150-76-9, Octreotide 108736-35-2, Lanreotide 119719-11-8, Ilatreotide 150155-55-8, BIM-23060 168016-90-8, BIM-23197 182153-96-4, BIM-23190 182494-55-9, BIM 23167 182494-56-0, BIM 23173 182494-57-1, BIM 23179 182494-58-2, BIM 23182 182494-59-3, BIM 23201 182494-60-6, BIM 23195 182494-62-8, BIM 23196 184356-62-5, BIM 23180  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
 (potent somatostatin analogs containing N-terminal modifications)  
 L14 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:563655 HCAPLUS  
 DN 125:276578  
 ED Entered STN: 21 Sep 1996  
 TI Ascorbic acid, tris, and piperazine peptide derivatives as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents

IN Kim, Sun H.; Keyes, Susan R.; Moreau, Sylviane; Dong, Zheng X.; Taylor, John  
 PA Biomeasure, Inc., USA  
 SO U.S., 45 pp., Cont.-in-part of U. S. 104,194, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM C07K005-00  
 ICS C07K007-00; C07K017-00  
 NCL 530311000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 2, 63  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5552520	A	19960903	US 1994-287957	19940809
	CA 2168113	AA	19950216	CA 1994-2168113	19940808
	CA 2168113	C	20021001		
	HU 73491	A2	19960828	HU 1996-281	19940808
	CN 1133047	A	19961009	CN 1994-193717	19940808
	CN 1055700	B	20000823		
	SG 75092	A1	20000919	SG 1996-5779	19940808
	EP 1288223	A1	20030305	EP 2002-26862	19940808
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT				
	EP 1288224	A1	20030305	EP 2002-26863	19940808
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT				
	CZ 292586	B6	20031015	CZ 1996-390	19940808
	PT 788509	T	20031031	PT 1994-924590	19940808
	ES 2196031	T3	20031216	ES 1994-924590	19940808
	ZA 9405966	A	19950626	ZA 1994-5966	19940809
	LT 4078	B	19960725	LT 1996-25	19960306
	LV 11549	B	19970420	LV 1996-71	19960308
	CZ 289590	B6	20020213	CZ 2000-1032	20000322
	CZ 289552	B6	20020213	CZ 2000-1033	20000322
PRAI	US 1993-104194	B2	19930809		
	EP 1994-924590	A3	19940808		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5552520	ICM	C07K005-00
	ICS	C07K007-00; C07K017-00
	NCL	530311000
EP 1288223	ECLA	C07K007/08D
OS	MARPAT 125:276578	
GI		



- AB A peptide derivative is claimed, consisting of: a biol. active peptide having a free amino group, and at least one substituent attached to said peptide selected from the group consisting of I-III wherein: for I, R0 is, e.g., O, S; each R1 and R2 is independently H, (CH2)mOR6, or CH(OR7)CH2OR8, wherein R6 is H or (C2-C7) acyl, and each R7 and R8, independently, is, e.g., H, (C2-C7) acyl; m is an integer between 1 and 5, inclusive; one of R3 and R4 is (CH2)nR12 or (CH2)nCH(OH)R12, wherein R12 is CO, CH2 or SO2, and n is an integer between 1 and 5, inclusive; and the other of R3 and R4 is H, (C1-C6) hydroxyalkyl, or (C2-C7) acyl; for II, each R13, R14, and R15, independently, is H or (C2-C24) acyl; R16 is NH or absent; R17 is CO, O, or absent; R18 is CO, CH2, SO2, or absent; m is an integer between 1 and 5, inclusive; n is an integer between 1 and 5, inclusive; for III, R19 is, e.g., H, NH2, an aromatic functional group, OH; R20 is O or absent; R21 is (C1-C6) alkyl or absent; R22 is N, O, C, or CH; R23 is (C1-C6) alkyl or absent; R24 is N, CH, or C; R25 is NH, O, or absent; R26 is SO2, CO, or CH2; m is an integer between 0 and 5, inclusive; n is an integer between 0 and 5, inclusive; p is an integer between 0 and 5, inclusive; and q is an integer between 0 and 5, inclusive; wherein said peptide is attached to said substituent at R12, R18, or R26 via an amide, amino, or sulfonamide bond. Thus, e.g., amide coupling of D-Nal-Cyclo-[Cys-Tyr-D-Trp-Lys(BOC)-Val-Cys]-Thr-NH2 (preparation given) with 3-O-(carboxypropyl)-5,6-isopropylideneascorbic acid (preparation given) followed by deprotection afforded somatostatin derivative IV (BIM-23118) which exhibited IC50 = 0.30 nM for binding to the somatostatin receptor and antiproliferative activity (cell growth = 61.0% of control after 8 days) at 100 nM using rat pancreas tumor cells vs. 91.3 and 98.0% of control, resp., for SRIF-14 and SRIF-28 (unmodified somatostatin analogs). Data are also presented for bombesin binding assay of a bombesin analog, inhibition of release of growth hormone by somatostatin analogs (in which all derivs. demonstrate a surprising prolonged duration of action which decreases in a time-dependent fashion), and thymidine uptake stimulation by bombesin analogs.
- ST peptide ascorbate tris piperazine deriv therapeutic; somatostatin analog antitumor growth hormone inhibitor; bombesin analog thymidine uptake stimulation
- IT Receptors  
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)  
(GRP; ascorbic acid, tris, and piperazine peptide derivs. as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents)
- IT Neoplasm inhibitors  
(ascorbic acid, tris, and piperazine peptide derivs. as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents)
- IT Peptides, preparation  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(analog, ascorbic acid, tris, and piperazine peptide derivs. as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents)
- IT Receptors  
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)  
(somatostatin, ascorbic acid, tris, and piperazine peptide derivs. as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents)
- IT 168016-90-8P, BIM 23197 182153-96-4P 182494-49-1P, BIM 23118 182494-50-4P, BIM 23135 182494-51-5P, BIM 23181 182494-52-6P, BIM 23183 182494-53-7P, BIM 23107 182494-54-8P, BIM 23158 182494-55-9P, BIM 23167 182494-56-0P, BIM 23173 182494-57-1P, BIM 23179 182494-58-2P, BIM 23182 182494-59-3P, BIM 23201 182494-60-6P, BIM 23195 182494-61-7P, BIM 23191 182494-62-8P, BIM 23196 182494-63-9P, BIM 23202 182494-64-0P, BIM 26333 182636-16-4P, BIM 23109  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(ascorbic acid, tris, and piperazine peptide derivs. as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents)
- IT 50-89-5, Thymidine, biological studies 9002-72-6, Growth hormone  
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)  
(ascorbic acid, tris, and piperazine peptide derivs. as antitumor,

growth hormone release inhibiting, and thymidine uptake stimulating agents)

IT 50-81-7, Ascorbic acid, reactions 67-64-1, 2-Propanone, reactions 103-76-4, 1-(2-Hydroxyethyl)piperazine 2969-81-5, Ethyl 4-bromobutyrate 4263-52-9, Sodium 2-bromoethanesulfonate 13051-30-4 24424-99-5, Di-tert-butyl dicarbonate 108736-35-2, BIM-23014 168016-98-6 168017-02-5 182482-14-0 182482-16-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(ascorbic acid, tris, and piperazine peptide derivs. as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents)

IT 15042-01-OP 54429-56-OP, 2-Bromoethanesulfonyl chloride 91353-57-OP 168016-91-9P 168016-92-OP 168016-96-4P 168016-97-5P 182482-10-6P 182482-11-7P 182482-12-8P 182482-13-9P 182482-15-1P 182482-17-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(ascorbic acid, tris, and piperazine peptide derivs. as antitumor, growth hormone release inhibiting, and thymidine uptake stimulating agents)

L14 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:544511 HCAPLUS

DN 125:257040

ED Entered STN: 12 Sep 1996

TI Improved analogs and novel delivery systems for somatostatin octapeptides

AU Moreau, J.-P.; Kim, S.; Dong, J. Z.; Ignatious, F.; Jackson, S.; Moreau, S. C.; Morgan, B. A.; Touraud, F.; Taylor, J. E.; et al.

CS Biomeasure Inc., Milford, MA, 01757-3650, USA

SO Metabolism, Clinical and Experimental (1996), 44(8, Suppl. 1), 24-26  
CODEN: METAJ; ISSN: 0026-0495

PB Saunders

DT Journal

LA English

CC 63-6 (Pharmaceuticals)

AB Appropriate N-terminus modification can result in somatostatin (SRIF) octapeptide analogs that are both more potent and more selective in vitro for the human SRIF receptor type 2 (hsst2). In addition, these modifications can improve the duration of action and bioavailability of SRIF analogs following parenteral administration, as shown by both pharmacol. and distribution studies in vivo with BIM-23190 and BIM-23197 in the rat.

ST somatostatin octapeptide analog delivery system

IT Drug bioavailability  
Pharmaceutical dosage forms  
(improved analogs and novel delivery systems for somatostatin octapeptides)

IT 38916-34-6, Somatostatin (sheep) 51110-01-1D, Somatostatin, analogs 83150-76-9, Octreotide 108736-35-2, Lanreotide 150155-54-7, BIM 23023 150155-55-8, BIM-23060 168016-90-8, BIM 23197 182153-96-4, BIM 23190

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(improved analogs and novel delivery systems for somatostatin octapeptides)

L14 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:806295 HCAPLUS

DN 123:228909

ED Entered STN: 22 Sep 1995

TI Preparation of therapeutic peptide derivatives.

IN Kim, Sun Hyuk; Dong, Zhengxin; Taylor, John E.; Moreau, Sylviane; Keyes, Susan Riley

PA Biomeasure, Inc., USA

SO PCT Int. Appl., 47 pp.  
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07K005-04  
ICS C07K007-10; C07K007-34; C07K007-36; C07K007-44; C07K007-26; C07K007-38; C07K007-12; A61K037-24; A61K037-28; A61K037-40

CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1

FAN.CNT 2

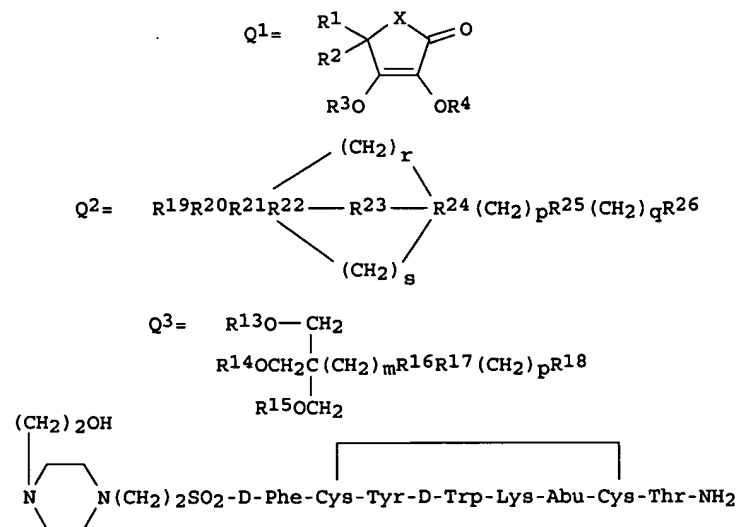
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504752	A1	19950216	WO 1994-US8875	19940808

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN  
 RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2168113	AA	19950216	CA 1994-2168113	19940808
CA 2168113	C	20021001		
AU 9474819	A1	19950228	AU 1994-74819	19940808
AU 689490	B2	19980402		
HU 73491	A2	19960828	HU 1996-281	19940808
CN 1133047	A	19961009	CN 1994-193717	19940808
CN 1055700	B	20000823		
JP 09501177	T2	19970204	JP 1994-506541	19940808
EP 788509	A1	19970813	EP 1994-924590	19940808
EP 788509	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
RU 2133252	C1	19990720	RU 1996-104340	19940808
SG 75092	A1	20000919	SG 1996-5779	19940808
MD 1591	B2	20010131	MD 1996-960137	19940808
PL 180612	B1	20010330	PL 1994-312989	19940808
EP 1288223	A1	20030305	EP 2002-26862	19940808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT				
EP 1288224	A1	20030305	EP 2002-26863	19940808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT				
AT 241643	E	20030615	AT 1994-924590	19940808
CZ 292586	B6	20031015	CZ 1996-390	19940808
PT 788509	T	20031031	PT 1994-924590	19940808
ES 2196031	T3	20031216	ES 1994-924590	19940808
ZA 9405966	A	19950626	ZA 1994-5966	19940809
FI 9600584	A	19960208	FI 1996-584	19960208
LT 4078	B	19960725	LT 1996-25	19960306
LV 11549	B	19970420	LV 1996-71	19960308
CZ 289590	B6	20020213	CZ 2000-1032	20000322
CZ 289552	B6	20020213	CZ 2000-1033	20000322
PRAI US 1993-104194	A	19930809		
EP 1994-924590	A3	19940808		
WO 1994-US8875	W	19940808		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9504752	ICM	C07K005-04
	ICS	C07K007-10; C07K007-34; C07K007-36; C07K007-44; C07K007-26; C07K007-38; C07K007-12; A61K037-24; A61K037-28; A61K037-40
EP 1288223	ECLA	C07K007/08D
OS MARPAT 123:228909		
GI		





- AB Peptide derivs. containing .gtoreq.1 of Q1, Q2, Q3 [X = O, S, NR5; R5 = H, alkyl; R1, R2 = H, (CH2)mOR6, CH(OR7)CH2OR8; R6, R13, R15 = H, acyl; R7, R8 = H, acyl, CR9R10; R9 = H, alkyl; R1R2 = :CHCH2OR11; R11 = H, acyl; m, n = 1-5; one of R3, R4 = (CH2)nR12, (CH2)nCH(OH)R12, the other = H, hydroxyalkyl, acyl; R12 = CO, CH2, SO2; R16 = HN, null; R17 = CO, O, null; R18 = CO, CH2, SO2, null; p, q, r, s = 0-5; R19 = H, NH2, aromatic functional group, OH, hydroxyalkyl, SO3H, null, etc.; R20 = O, null; R21 = alkyl, null; R22 = N, O, C, CH; R23 = alkyl, null; R24 = N, CH, C; R25 = NH, O, null; R26 = SO2, CO, CH2, null] attached to the peptide by a CO-N, CH2-N, or SO2-N bond, were prepared. Thus, somatostatin deriv (I) (solution phase preparation given) at 100 nM in AR42J pancreas tumor cells gave 66.4% control of cell growth.
- ST peptide analog prepn neoplasm inhibitor; somatostatin deriv prepn drug; bombesin deriv prepn drug
- IT Enkephalins  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(derivs; preparation of therapeutic peptide derivs.)
- IT Neoplasm inhibitors  
(preparation of therapeutic peptide derivs.)
- IT Peptides, preparation  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of therapeutic peptide derivs.)
- IT 58-82-2DP, Bradykinin, derivs. 9002-60-2DP, Adrenocorticotrophic hormone, derivs. 9002-64-6DP, Parathyroid hormone, derivs. 9002-72-6DP, Somatotropin, derivs. 9002-76-0DP, Gastrin, derivs. 9002-79-3DP, Melanocyte stimulating hormone, derivs. 9007-12-9DP, Calcitonin, derivs. 9007-92-5DP, Glucagon, derivs. 9011-97-6DP, Cholecystokinin, derivs. 9034-39-3DP, Growth hormone releasing factor, derivs. 9034-40-6DP, Luteinizing hormone releasing hormone, derivs. 33507-63-0DP, Substance P, derivs. 37221-79-7DP, Vasoactive intestinal peptide, derivs. 51110-01-1DP, Somatostatin, derivs. 75788-99-7DP, .beta.-Cell tropin, derivs. 80043-53-4DP, Gastrin-releasing peptide, derivs. 82785-45-3DP, Neuropeptide Y, derivs. 83652-28-2DP, Calcitonin gene related peptide, derivs. 96352-57-7DP, Glucagon-like peptide, derivs. 103370-86-1DP, Humoral hypercalcemic factor, derivs. 105953-91-1DP, Neuromedin, derivs. 106388-42-5DP, Peptide YY, derivs. 106602-62-4DP, Amylin, derivs. 116243-73-3DP, Endothelin, derivs. 137061-48-4DP, Pituitary adenylate cyclase activating polypeptide, derivs. 144940-98-7DP, Guanylin, derivs. 148440-40-8DP, derivs. 150155-54-7DP, derivs. 154835-90-2DP, Adrenomedullin, derivs. 168016-87-3P 168016-88-4P 168016-89-5P 168016-90-8P 168017-03-6DP, derivs. 168017-04-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of therapeutic peptide derivs.)
- IT 50-81-7, L-Ascorbic acid, reactions 103-76-4, N-2-Hydroxyethylpiperazine 2969-81-5, Ethyl 4-bromobutyrate 91353-57-0 168016-98-6 168016-99-7 168017-00-3 168017-01-4 168017-02-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of therapeutic peptide derivs.)
- IT 15042-01-0P 54429-56-0P 126100-72-9P 168016-91-9P 168016-92-0P 168016-93-1P 168016-94-2P 168016-95-3P 168016-96-4P 168016-97-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of therapeutic peptide derivs.)
- L14 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
AN 1995:792211 HCAPLUS  
DN 123:188934  
ED Entered STN: 14 Sep 1995  
TI Somatostatin (SSTR2) receptors mediate phospholipase C-independent Ca2+ mobilization in rat AR42J pancreas cells  
AU Taylor, John E.  
CS Biomeasure Inc., Milford, MA, 01757, USA  
SO Biochemical and Biophysical Research Communications (1995), 214(1), 81-5  
CODEN: BBRCA9; ISSN: 0006-291X  
PB Academic  
DT Journal  
LA English

CC 2-5 (Mammalian Hormones)  
 AB Rat AR42J pancreas cells, which express somatostatin-SSTR2 type receptors, responded to SSTR2-selective somatostatin (SRIF) agonist ligands with a dose-dependent increase in intracellular Ca<sup>2+</sup>. In addition to SRIF-14 and SRIF-28, the most potent SRIF peptides were the cyclic octapeptides, BIM-23014C, BIM-23023, SMS 201-995, and the cyclic hexapeptides, MK-678 and BIM-23027. The SSTR3 and SSTR5-selective ligands, BIM-23056 and BIM-23052, were inactive and weakly active, resp. None of the SRIF peptides stimulated inositol phosphate turnover, indicating that Ca<sup>2+</sup> mobilization was independent of phospholipase C activation. Incubation in calcium-free medium abolished the increase in intracellular Ca<sup>2+</sup>. These results indicate that activation of SSTR2 receptors in AR42J cells opens cell-surface calcium channels.  
 ST somatostatin SSTR2 receptor calcium pancreas  
 IT Signal transduction, biological  
     (somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)  
 IT Receptors  
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
     (SSTR2 (somatostatin receptor 2), somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)  
 IT Pancreas  
     (acinar cell, somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)  
 IT Ion channel  
     (calcium, somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)  
 IT Biological transport  
     (channel-mediated, somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)  
 IT 51110-01-1, Somatostatin-14 73032-94-7, Somatostatin-28 (sheep) 81377-02-8, MK-678 83150-76-9, SMS 201-995 121715-55-7, BIM-23027 127984-74-1, BIM-23014C 150155-54-7, BIM 23023  
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
     (somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)  
 IT 7440-70-2, Calcium, biological studies 9001-86-9, Phospholipase C  
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
     (somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)  
 IT 68247-19-8, myo-Inositol phosphate  
     RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)  
     (somatostatin SSTR2 receptors mediation of phospholipase C-independent calcium mobilization in rat AR42J pancreas cells)

L14 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1994:692773 HCAPLUS  
 DN 121:292773  
 ED Entered STN: 24 Dec 1994  
 TI Inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists  
 IN Bodgen, Arthur E.; Moreau, Jacques-Pierre  
 PA Biomeasure, Inc., USA  
 SO PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07K005-12  
 ICS C07K007-00; C07K007-06; C07K007-26; C07K007-64; A61K037-02  
 CC 1-6 (Pharmacology)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9418231	A1	19940818	WO 1994-US1412	19940208
	W: AU, CA, CZ, FI, HU, JP, NO, NZ, PL, RU, SK				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5504069	A	19960402	US 1993-16720	19930211
	CA 2133557	AA	19940818	CA 1994-2133557	19940208
	AU 9461722	A1	19940829	AU 1994-61722	19940208
	EP 644893	A1	19950329	EP 1994-908743	19940208

EP 644893 B1 20000419  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE  
 AT 191919 E 20000515 AT 1994-908743 19940208  
 ES 2144513 T3 20000616 ES 1994-908743 19940208  
 PT 644893 T 20000731 PT 1994-908743 19940208  
 ZA 9400960 A 19940823 ZA 1994-960 19940211  
 GR 3033968 T3 20001130 GR 2000-401653 20000717  
 PRAI US 1993-16720 A 19930211  
 WO 1994-US1412 W 19940208

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9418231	ICM	C07K005-12
	ICS	C07K007-00; C07K007-06; C07K007-26; C07K007-64; A61K037-02
US 5504069	ECLA	A61K038/31

AB A method for inhibiting in a mammal the accelerated growth of a solid primary or metastatic tumor resulting from tissue trauma caused surgically, non-surgically, or by tissue ulceration, comprises the step of administering to the mammal a therapeutically effective amount of somatostatin or a somatostatin agonist. Mice in which prostate tumor, breast tumor, or malignant melanoma cells had been implanted were subjected to surgical trauma. The trauma stimulated growth of the tumor. When the somatostatin agonist BIM-23014 was applied to the tumor area, the tumors produced following surgical trauma weighed 22-43% less.

ST tumor growth trauma induced inhibition somatostatin

IT Neoplasm inhibitors  
 (inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Neoplasm inhibitors  
 (colon, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Intestine, neoplasm  
 (colon, inhibitors, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Neoplasm inhibitors  
 (epithelium, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Lung, neoplasm  
 (inhibitors, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Neoplasm inhibitors  
 (lung, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Neoplasm inhibitors  
 (mammary gland, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Neoplasm inhibitors  
 (melanoma, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Neoplasm inhibitors  
 (metastasis, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Epithelium  
 Mammary gland  
 (neoplasm, inhibitors, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT Injury  
 (trauma, inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

IT 51110-01-1, Somatostatin 108736-35-2, BIM-23014  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (inhibition of trauma-induced tumor growth with somatostatin and somatostatin agonists)

L14 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1994:612977 HCAPLUS  
 DN 121:212977  
 ED Entered STN: 29 Oct 1994  
 TI Ionic molecular conjugates of biodegradable polyester and bioactive polypeptides  
 IN Shalaby, Shalaby W.; Jackson, Steven A.; Moreau, Jacques Pierre  
 PA Kinerton Ltd., Ire.  
 SO PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English  
 IC A61K009-16  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9415587	A2	19940721	WO 1994-US148	19940105
	WO 9415587	A3	19940901		
	W: AU, CA, CZ, FI, HU, JP, NO, PL, RU, SK, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2150574	AA	19940721	CA 1994-2150574	19940105
	AU 9459921	A1	19940815	AU 1994-59921	19940105
	AU 680650	B2	19970807		
	EP 678018	A1	19951025	EP 1994-906037	19940105
	EP 678018	B1	20030409		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08505395	T2	19960611	JP 1994-516182	19940105
	HU 73188	A2	19960628	HU 1995-2029	19940105
	HU 220137	B	20011128		
	PL 174772	B1	19980930	PL 1994-309776	19940105
	RU 2146128	C1	20000310	RU 1995-118395	19940105
	EP 1203591	A1	20020508	EP 2002-1064	19940105
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	RU 2185393	C2	20020720	RU 1999-122608	19940105
	AT 236655	E	20030415	AT 1994-906037	19940105
	PT 678018	T	20030829	PT 1994-906037	19940105
	ES 2196023	T3	20031216	ES 1994-906037	19940105
	CZ 293425	B6	20040414	CZ 2000-2050	19940105
	CZ 293378	B6	20040414	CZ 1995-1734	19940105
	ZA 9400077	A	19940811	ZA 1994-77	19940106
	CN 1115252	A	19960124	CN 1994-108523	19940720
	US 5672659	A	19970930	US 1995-464735	19950629
	FI 9503314	A	19950705	FI 1995-3314	19950705
	US 6221958	B1	20010424	US 1999-237405	19990126
PRAI	IE 1993-5	A	19930106		
	EP 1994-906037	A3	19940105		
	WO 1994-US148	W	19940105		
	US 1995-464735	A1	19950629		
	US 1997-867308	A2	19970602		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9415587	IC	A61K009-16
WO 9415587	ECLA	A61K047/48H6D; C07K007/06C; C07K014/655
EP 1203591	ECLA	A61K047/48K6; C07K007/23; C07K014/655
US 6221958	ECLA	A61K047/48K6; C07K007/23; C07K014/655

AB A sustained-release pharmaceutical composition includes a bioactive polypeptide containing .gtoreq.1 effective ionogenic amine, .gtoreq.50% by weight of which is ionically conjugated to a polyester containing a free CO<sub>2</sub>H group. The ionic conjugate release a therapeutically ED of the polypeptide in vivo over a period of .gtoreq.7 days. Thus, an ionic conjugate of [D-Trp<sup>6</sup>]LHRH with a L-lactic acid/glycolic acid/malic acid (49:49:2) copolymer released 55.2% of the peptide in 14 days in phosphate-buffered saline at 37.degree..

ST peptide ionic conjugate polyester sustained release

IT Enkephalins

RL: BIOL (Biological study)

(ionic conjugates with polyesters, sustained-release dosage form containing)

IT Polyesters, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(conjugates, ionic, with peptides, sustained-release dosage form containing)

IT Peptides, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(conjugates, ionic, with polyesters, sustained-release dosage form containing)

IT Particles

(micro-, of peptide-polyester ionic conjugates, peptide sustained release from)

IT Pharmaceutical dosage forms

(sustained-release, peptide ionic conjugates with polyesters)

IT Kinins (animal hormones)

RL: BIOL (Biological study)

(tachykinins, ionic conjugates with polyesters, sustained-release dosage form containing)

IT 57773-63-4D, ionic conjugates with polyesters 108736-35-2D, ionic conjugates with polyesters 133881-21-7D, ionic conjugate with BIM-23014 133881-21-7D, ionic conjugates with peptides 136207-23-3D, BIM 26226, ionic conjugates with polyesters  
 RL: BIOL (Biological study)  
 (peptide sustained release from)

IT 26780-50-7P, DL-Lactide/glycolide copolymer 30846-39-0P, L-Lactide/glycolide copolymer 34346-01-5P, DL-Lactic acid/glycolic acid copolymer 133881-21-7P 133881-21-7P 158054-05-8P 158054-06-9P  
 RL: PREP (Preparation)  
 (preparation and ionic conjugation with peptides, as sustained-release dosage form)

IT 58-82-2D, Bradykinin, ionic conjugates with polyesters 110-15-6D, Butanedioic acid, polymers with alkylene glycols, ionic conjugates with peptides 142-62-1D, Caproic acid, .epsilon.-substituted, polymers, ionic conjugates with peptides 144-62-7D, Ethanedioic acid, polymers with alkylene and cycloalkylene glycols, ionic conjugates with peptides 1393-25-5D, Secretin, ionic conjugates with polyesters 9002-60-2D, ACTH, ionic conjugates with polyesters 9002-64-6D, Parathormone, ionic conjugates with polyesters 9002-71-5D, TSH, ionic conjugates with polyesters 9002-79-3D, MSH, ionic conjugates with polyesters 9007-12-9D, Calcitonin, ionic conjugates with polyesters 9007-92-5D, Glucagon, ionic conjugates with polyesters 9034-39-3D, Growth hormone-releasing factor, ionic conjugates with polyesters 9034-40-6D, LHRH, ionic conjugates with polyesters 24980-41-4D, Poly(.epsilon.-caprolactone), ionic conjugates with peptides 25038-75-9D, Poly-D-lactide, ionic conjugates with peptides 25248-42-4D, Poly(.epsilon.-caprolactone), ionic conjugates with peptides 26009-03-0D, Polyglycolide, ionic conjugates with peptides 26023-30-3D, Poly(DL-lactic acid), ionic conjugates with peptides 26063-00-3D, Poly(.beta.-hydroxybutyric acid), ionic conjugates with peptides 26100-51-6D, Poly(DL-lactic acid), ionic conjugates with peptides 26161-42-2D, Poly(L-lactic acid), ionic conjugates with peptides 26202-08-4D, Polyglycolide, ionic conjugates with peptides 26680-10-4D, Poly-DL-lactide, ionic conjugates with peptides 26811-96-1D, Poly(L-lactic acid), ionic conjugates with peptides 26917-25-9D, Poly(D-lactic acid), ionic conjugates with peptides 29223-92-5D, Poly(p-dioxanone), ionic conjugates with peptides 31362-50-2D, Bombesin, ionic conjugates with polyesters 31852-84-3D, Poly(trimethylene carbonate), ionic conjugates with peptides 33507-63-0D, Substance P, ionic conjugates with polyesters 37221-79-7D, Vasoactive intestinal peptide, ionic conjugates with polyesters 39379-15-2D, Neurotensin, ionic conjugates with polyesters 50862-75-4D, Poly(trimethylene carbonate), ionic conjugates with peptides 51110-01-1D, Somatostatin, ionic conjugates with polyesters 52906-92-0D, Motilin, ionic conjugates with polyesters 80043-53-4D, Gastrin-releasing peptide, ionic conjugates with polyesters 82785-45-3D, Neuropeptide Y, ionic conjugates with polyesters 83652-28-2D, Calcitonin gene-related peptide, ionic conjugates with polyesters 85205-36-3D, Glucagon-releasing factor, ionic conjugates with polyesters 103370-86-1D, Parathormone-related protein, ionic conjugates with polyesters 105953-91-1D, Neuromedin, ionic conjugates with polyesters 106388-42-5D, Peptide YY, ionic conjugates with polyesters 106602-62-4D, Amylin, ionic conjugates with polyesters 119418-04-1D, Galanin, ionic conjugates with polyesters 121425-66-9D, ionic conjugates with peptides 121425-79-4D, ionic conjugates with peptides 137061-48-4D, Pituitary adenylate cyclase-activating peptide, ionic conjugates with polyesters 158054-04-7D, ionic conjugates with peptides 227186-48-3D, Poly-meso-lactide, ionic conjugates with peptides  
 RL: BIOL (Biological study)  
 (sustained-release dosage form containing)

L14 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1993:617391 HCAPLUS  
 DN 119:217391  
 ED Entered STN: 27 Nov 1993  
 TI Hepatoma treatment with somatostatin analogs  
 IN Bogden, Arthur E.  
 PA Biomeasure, Inc., USA  
 SO PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K037-02  
 ICS C07K005-12; C07K007-06; C07K007-08  
 CC 1-6 (Pharmacology)  
 Section cross-reference(s): 2, 34

## FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9316718	A1	19930902	WO 1993-US1679	19930225
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5411943	A	19950502	US 1992-840881	19920225
	CA 2107773	AA	19930826	CA 1993-2107773	19930225
	EP 585444	A1	19940309	EP 1993-907029	19930225
	EP 585444	B1	20010725		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 06507423	T2	19940825	JP 1993-515069	19930225
	AT 203410	E	20010815	AT 1993-907029	19930225
	ES 2160595	T3	20011116	ES 1993-907029	19930225
	HK 1015123	A1	20020705	HK 1998-117598	19981228
PRAI	US 1992-840881	A	19920225		
	WO 1993-US1679	W	19930225		

## CLASS

	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
	WO 9316718	ICM	A61K037-02
		ICS	C07K005-12; C07K007-06; C07K007-08
	US 5411943	ECLA	A61K038/31; C07K014/655A
OS	MARPAT 119:217391		
AB	Hepatomas in mammals are treated by administering octapeptide somatostatin analogs A1-Cys-A2-D-Trp-Lys-A3-Cys-A4-Y [A1 = D-.beta.-Nal; D-Phe; A2 = Phe, pentafluoro-Phe, p-substituted X-Phe (X = halo, NH2, NO2, OH, C1-3 alkyl); A3 = Thr, Ser, Phe, Val, .alpha.-aminobutyric acid, Ile; A4 = Thr, .beta.-Nal, Trp; Y = NH2, OH] or acceptable salts or complexes. D-.beta.-Nal-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH2, prepared by solid phase synthesis on benzhydrylamine-polystyrene resin, inhibited the growth of M5123 hepatomas in mice.		
ST	hepatoma inhibitor somatostatin analog		
IT	Neoplasm inhibitors (hepatoma, somatostatin analogs as)		
IT	Liver, neoplasm (hepatoma, inhibitors, somatostatin analogs as)		
IT	103548-90-9	145758-77-6	150957-55-4 150957-56-5 150996-95-5 150996-96-6
	RL: BIOL (Biological study) (hepatoma inhibitor)		
IT	51110-01-1D, Somatostatin, analogs		
	RL: BIOL (Biological study) (hepatoma inhibitors)		
IT	2389-45-9	3978-80-1	5241-64-5 13734-41-3 15260-10-3 61925-77-7 76985-10-9
	RL: RCT (Reactant); RACT (Reactant or reagent) (peptide coupling reaction of, in preparation of hepatoma inhibitor)		
IT	113294-90-9DP, benzydrylamine resin-bound		
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and deprotection and cleavage of, from resin, in preparation of hepatoma inhibitor)		
IT	113294-82-9P		
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as hepatoma inhibitor)		

L14 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1993:806 HCAPLUS  
 DN 118:806  
 ED Entered STN: 10 Jan 1993  
 TI Method of treating benign and malignant proliferative skin disease by topical administration of a somatostatin analog  
 IN Bogden, Arthur E.; Moreau, Jacques Pierre  
 PA Biomeasure, Inc., USA  
 SO PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K037-02  
 CC 1-6 (Pharmacology)  
 Section cross-reference(s): 2, 34, 63

## FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9213554	A1	19920820	WO 1992-US1027	19920207
	W: CA, CS, FI, HU, JP, NO, RU				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE  
 EP 542934 A1 19930526 EP 1992-906420 19920207  
 EP 542934 B1 19990616  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE  
 JP 05506254 T2 19930916 JP 1992-505872 19920207  
 AT 181240 E 19990715 AT 1992-906420 19920207  
 ES 2134798 T3 19991016 ES 1992-906420 19920207  
 US 6087337 A 20000711 US 1993-89410 19930709  
 PRAI US 1991-652863 A 19910208  
 WO 1992-US1027 W 19920207

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9213554	ICM	A61K037-02

OS MARPAT 118:806  
 AB A composition for treating a mammal suffering from benign or malignant proliferative skin disease comprises an effective amount of a somatostatin analog containing .gtoreq.6 amino acids, formulated with an excipient suitable for topical administration to the mammal. D-.beta.-Naphthyl-Ala-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH2 was synthesized on benzhydrylamine-polystyrene resin. B16-F10 melanoma xenografts in mice were treated with topical somatuline.  
 ST skin proliferative disease somatostatin analog; somatuline melanoma inhibitor  
 IT Neoplasm inhibitors  
 (melanoma, topical somatuline as)  
 IT Skin, disease  
 (proliferative, treatment of, with topical somatostatin analog)  
 IT Pharmaceutical dosage forms  
 (topical, of somatostatin analogs, for treatment of benign or malignant proliferative skin disease)  
 IT 51110-01-1D, Somatostatin, analogs 77236-35-2 81377-02-8 99660-13-6  
 103222-11-3 108736-35-2 144776-53-4 144831-72-1  
 RL: BIOL (Biological study)  
 (benign or malignant proliferative skin disease topical treatment with)  
 IT 2389-45-9 3978-80-1 5241-64-5 13734-41-3 15260-10-3 61925-77-7  
 76985-10-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (coupling reaction of, in somatostatin analog synthesis)  
 IT 113294-82-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, for treatment of benign or malignant proliferative skin disease)  
 IT 9003-53-6D, Benzhydrylamine derivs.  
 RL: BIOL (Biological study)  
 (somatostatin analog synthesis on)  
 L14 ANSWER 31 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1991:599065 HCAPLUS  
 DN 115:199065  
 ED Entered STN: 15 Nov 1991  
 TI Octapeptide analogs of somatostatin inhibit the clonal growth and vasoactive intestinal peptide-stimulated cyclic AMP formation in human small cell lung cancer cells  
 AU Taylor, J. E.; Moreau, J. P.; Baptiste, L.; Moody, T. W.  
 CS Biomeasure Inc., Hopkinton, MA, 01748, USA  
 SO Peptides (New York, NY, United States) (1991), 12(4), 839-43  
 CODEN: PPTDD5; ISSN: 0196-9781  
 DT Journal  
 LA English  
 CC 2-5 (Mammalian Hormones)  
 Section cross-reference(s): 14  
 AB Two endocrinol. active octapeptide analog (BIM-23014 C and BIM-23034) of somatostatin (SRIF) containing either an N- or C-terminal 3-(2-naphthyl)-D-Ala residue were examined for their ability to inhibit the in vitro receptor binding, clonal growth, and VIP-stimulated cAMP formation in human small cell lung cancer cell (SCLC) line NCI-H345. Both SRIF peptides inhibited [125I]SRIF(Tyr11)-14 binding with IC50 values in the low nM range. Colony formation in the in vitro SCLC growth assay was also inhibited in the same concentration range, as was VIP-stimulated cAMP formation. Therefore, octapeptide analogs of SRIF function as SCLC SRIF receptor agonists.  
 ST somatostatin analog lung cancer cell; receptor somatostatin analog lung cancer; VIP cAMP lung cancer somatostatin  
 IT Receptors  
 RL: BIOL (Biological study)  
 (somatostatin octapeptide analogs binding by, in small cell lung

carcinoma, proliferation inhibition in relation to)

IT Neoplasm inhibitors  
(carcinoma, somatostatin octapeptide analogs as, in human lung, receptor binding and VIP-stimulated cAMP formation in relation to)

IT Lung, neoplasm  
(small-cell carcinoma, cAMP formation by, of human, VIP stimulation of, octapeptide somatostatin analogs inhibition of, receptor binding in relation to)

IT 51110-01-1D, Somatostatin, octapeptide analogs 111857-95-5, BIM 23034 127984-74-1  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antitumor activity of, in human small cell lung carcinoma, receptor binding and VIP-induced cAMP formation in relation to)

IT 37221-79-7, VIP  
RL: BIOL (Biological study)  
(cAMP formation stimulation by, in human small cell lung carcinoma, somatostatin octapeptide analogs inhibition of)

IT 60-92-4, CAMP  
RL: FORM (Formation, nonpreparative)  
(formation of, VIP stimulation of, in human small cell lung carcinoma, somatostatin octapeptide analogs inhibition of)

L14 ANSWER 32 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
AN 1991:136284 HCAPLUS  
DN 114:136284  
ED Entered STN: 19 Apr 1991  
TI Comparison of somatuline (BIM-23014) and somatostatin on endocrine and exocrine activities in the rat  
AU Moreau, Sylviane C.; Murphy, William A.; Coy, David H.  
CS Biomeasure Inc., Hopkinton, MA, 01748, USA  
SO Drug Development Research (1991), 22(1), 79-93  
CODEN: DDREDK; ISSN: 0272-4391  
DT Journal  
LA English  
CC 2-5 (Mammalian Hormones)  
AB The actions of Somatuline (BIM-23014), an octapeptide analog of somatostatin, and somatostatin have been compared on several endocrine and exocrine activities in the rat. A substantial difference exists between these 2 compds. with respect to potency, duration of action, and tissue selectivity. With regard to endocrine activities, Somatuline was about 300 times more potent than somatostatin in inhibiting growth hormone (GH) release 15 min after i.v. injection, and about 4 to 6 times more potent 15 min after s.c. administration. The inhibitory activity of s.c. administered Somatuline on D-Ala2-GRF-stimulated GH release lasted for about 6 h, whereas an action of somatostatin was not detected 30 min after injection. Somatuline was also more potent than somatostatin in inhibiting insulin-stimulated glucagon secretion when both substances were administered i.v., whereas they were about equipotent when given by the s.c. route. However, Somatuline was only about half as potent as somatostatin by the i.v. route in inhibiting glucose-stimulated insulin release and was inactive by the s.c. route. With regard to exocrine activities, Somatuline was about 300-500 times more potent than somatostatin in inhibiting the increase in plasma .alpha.-amylase activity following ligation-induced pancreatitis when both compds. were administered s.c. concurrently with pancreatic duct ligation. Somatuline was also about 20-400 times more potent than somatostatin in inhibiting gastric acid secretion when both compds. were administered s.c. prior to, or concurrently with, pentagastrin challenge and about 100 times more potent than somatostatin when administered after pentagastrin challenge. Somatuline had a very weak inhibitory effect on the development of ethanol-induced gastric ulcers, it did not induce diarrhea, and it had no effect on the course of diarrhea in rats subjected to castor oil gavage. The differences between Somatuline and somatostatin indicate the Somatuline may be more useful in treating certain disease states.

ST Somatuline somatostatin biol activity; pancreas function Somatuline somatostatin; digestive tract function Somatuline somatostatin; growth hormone release Somatuline somatostatin

IT Stomach, metabolism  
(acid secretion by, Somatuline inhibition of, somatostatin in relation to)

IT 38916-34-6, Somatostatin  
RL: BIOL (Biological study)  
(endocrine and exocrine activities response to, Somatuline in relation to)



IT 127984-74-1, Somatuline  
 RL: BIOL (Biological study)  
 (endocrine and exocrine activities response to, somatostatin in relation to)

IT 9002-72-6, Growth hormone 9004-10-8, Insulin, biological studies  
 9007-92-5, Glucagon, biological studies  
 RL: BIOL (Biological study)  
 (release of, Somatuline inhibition of, somatostatin in relation to)

IT 9000-90-2, .alpha.-Amylase  
 RL: BIOL (Biological study)  
 (secretion of, by pancreas, Somatuline inhibition of, somatostatin in relation to)

L14 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1991:82559 HCAPLUS  
 DN 114:82559  
 ED Entered STN: 09 Mar 1991  
 TI Preparation of octapeptideamides as hormone release inhibitors or antagonists  
 IN Eck, Charles R.; Moreau, Sylvianne  
 PA Biomeasure, Inc., USA  
 SO Eur. Pat. Appl., 8 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC ICM C07K007-06  
 ICS A61K037-02; C07K007-26  
 ICI C07K099-60  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 2

## FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 389180	A1	19900926	EP 1990-302760	19900315
	EP 389180	B1	19950104		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2012115	AA	19900915	CA 1990-2012115	19900314
	CA 2012115	C	20010703		
	JP 02289599	A2	19901129	JP 1990-65511	19900315
	JP 2888912	B2	19990510		
	ES 2068333	T3	19950416	ES 1990-302760	19900315
PRAI	US 1989-323777	A	19890315		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 389180	ICM	C07K007-06
	ICS	A61K037-02; C07K007-26
	ICI	C07K099-60

OS MARPAT 114:82559

AB R1R2NCHR3CO-Cys-Tyr(I)-D-Trp-Lys-X1-Cys-XNH2 [R1, R2 = H, alkyl, phenylalkyl, acyl, (phenyl)alkoxycarbonyl; R3 = CH2R4, R4 = pentafluorophenyl, naphthyl, pyridyl, (substituted) Ph; Tyr(I) = Tyr ring-iodinated at the 3- or 5-position; X1 = Thr, Ser, Phe, Val, Ile, .alpha.-aminobutyryl; X2 = Thr, Trp, .beta.-Nal], were prepared as drugs (no data). Thus, D-.beta.-naphthylalanyl-Cys-Tyr(I)-D-Trp-Lys-Val-Cys-Thr-NH2 was prepared using Me3CO2C-protected amino acids on benzhydrylamine resin followed by iodination with chloramine T/NaI in pH 7.4 phosphate buffer.

ST octapeptideamide prepn drug; hormone release inhibitor octapeptideamide

IT Antidiabetics and Hypoglycemics

Neoplasm inhibitors

Nervous system agents

Ulcer inhibitors

(octapeptideamides)

IT Acromegaly

Diarrhea

Liver, disease or disorder

(treatment of, octapeptides for)

IT Eye, disease or disorder

(diabetic retinopathy, treatment of, octapeptideamides for)

IT Peptides, compounds

RL: SPN (Synthetic preparation); PREP (Preparation)

(octa-, amides, preparation of, as hormone release inhibitors or antagonists)

IT Pancreas, disease or disorder

(pancreatitis, treatment of, octapeptides for)

IT 9002-72-6, Growth hormone 9004-10-8, Insulin, biological studies

9007-92-5, Glucagon, biological studies  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antagonists, octapeptideamides)

IT 51110-01-1P, Somatostatin  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (octapeptide analogs, preparation of, as hormone release inhibitors or antagonists)

IT 108736-35-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and iodination of)

IT 131799-87-6P 131799-88-7P 131799-89-8P 131799-90-1P  
 131799-91-2P 131799-92-3P 131799-93-4P 131836-60-7P  
 131836-61-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as hormone release inhibitor or antagonist)

IT 113294-90-9DP, benzhydrylamine resin bound  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediates for hormone secretion inhibitor or antagonist)

IT 96658-24-1D, benzhydrylamine resin bound  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of hormone secretion inhibitor or antagonist)

IT 2389-45-9 3978-80-1 5241-64-5 13734-41-3 61925-77-7 76985-10-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (solid-phase peptide coupling of, in preparation of hormone secretion inhibitor antagonist)

L14 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1988:448729 HCAPLUS  
 DN 109:48729  
 ED Entered STN: 19 Aug 1988  
 TI In vitro and in vivo inhibition of human small cell lung carcinoma (NCI-H69) growth by a somatostatin analog  
 AU Taylor, John E.; Bogden, Arthur E.; Moreau, Jacques Pierre; Coy, David H.  
 CS Biomeasure Inc., Hopkinton, MA, 01748, USA  
 SO Biochemical and Biophysical Research Communications (1988), 153(1), 81-6  
 CODEN: BBRC99; ISSN: 0006-291X  
 DT Journal  
 LA English  
 CC 2-5 (Mammalian Hormones)  
 AB An endocrinol.-potent octapeptide analog of somatostatin (SRIF), 3-(2-naphthyl)-D-Ala-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH<sub>2</sub> (BIM-23014 C), was examined for its ability to inhibit the in vitro and in vivo growth of the human small cell lung carcinoma (SCLC) line, NCI-H69. When cultured cells were implanted into athymic nude mice, treatment (500 .mu.g/injection, twice daily) resulted in a prolongation of lag time for the appearance of measurable tumors, and there was a marked inhibition of the growth rate. Indeed, peptide injection in the region of the tumor resulted in a complete regression of the NCI-H69 tumors. Withdrawal of BIM-23014 C treatment resulted in an acceleration of tumor growth indicating an antiproliferative rather the oncolytic action. A similar inhibition of tumor growth was also observed when solid tumors obtained from the 1st implantation were used as the donor tissues. In cell culture, the proliferation in the presence of a low concentration (10 nM) of BIM-23104 C was also retarded suggesting a direct mechanism of action.

ST somatostatin analog antitumor lung carcinoma; neoplasm inhibitor lung  
 somatostatin analog  
 IT Neoplasm inhibitors  
 (carcinoma, somatostatin analog as, in lung of human)  
 IT Lung, neoplasm  
 (small-cell carcinoma, somatostatin analog inhibition of, from human)

IT 113294-82-9  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antitumor activity of, in human small-cell lung carcinoma)

~~all hits 119 tot~~

L19 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:276518 HCAPLUS  
 DN 136:304089  
 ED Entered STN: 12 Apr 2002  
 TI Method of treating insulin insensitivity and syndrome X

IN Cawthorne, Michael Anthony; Liu, Yong-ling; Sennitt, Matthew V.  
 PA UK  
 SO U.S. Pat. Appl. Publ., 15 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC ICM A61K038-00  
 ICS C07K005-00; C07K007-00; C07K016-00; C07K017-00; A61K038-12  
 NCL 514015000  
 CC 1-10 (Pharmacology)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002042374	A1	20020411	US 1998-76948	19980513 <--
PRAI	US 1997-46373P	P	19970513	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2002042374	ICM	A61K038-00
	ICS	C07K005-00; C07K007-00; C07K016-00; C07K017-00;
		A61K038-12
	NCL	514015000

OS MARPAT 136:304089

AB The present invention relates to a method of treating insulin resistance or syndrome X in a patient. The method includes the step of administering a therapeutically effective amount of a somatostatin or a somatostatin agonist to said patient. Among examples provided are: binding of several somatostatin agonists to human somatostatin receptors, improvement of insulin sensitivity in BIM-23268-treated fatty Zucker rats, and reduction of hypertriglyceridemia by BIM-23268C in obese Zucker rats.

ST somatostatin agonist insulin resistance treatment

IT Somatostatin receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SSTR1; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Somatostatin receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SSTR2; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Somatostatin receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SSTR3; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Somatostatin receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SSTR4; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Somatostatin receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SSTR5; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Lipids, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (hyperlipidemia; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Body weight

(loss; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Disease, animal

(metabolic syndrome X; somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Hypertriglyceridemia

Obesity

(somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT Glycerides, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT 56-81-5, Glycerol, biological studies 9004-10-8, Insulin, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (somatostatin and somatostatin agonists in treatment of insulin insensitivity and syndrome X)

IT 51110-01-1, Somatostatin-14 75037-27-3, Somatostatin-28 83150-76-9,  
 Octreotide 108736-35-2, BIM 23014 133073-82-2, BIM 23052

168016-90-8, BIM 23197 181650-80-6, BIM 23268 182153-96-4, BIM 23190  
 189192-34-5, BIM 23284 189192-36-7, BIM 23295 215945-52-1, BIM 23272  
 216259-69-7, BIM 23313 412004-11-6, BIM 23268C

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(somatostatin and somatostatin agonists in treatment of insulin  
 insensitivity and syndrome X)

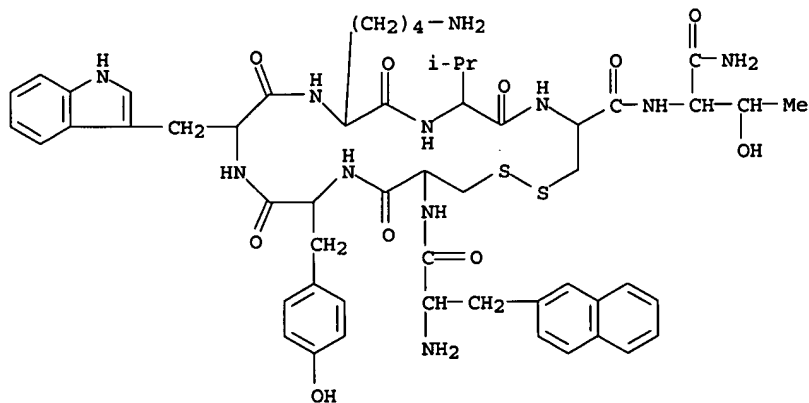
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 216259-66-4 216259-67-5 216300-25-3 247032-68-4 247032-69-5  
 410069-18-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (somatostatin and somatostatin agonists in treatment of insulin  
 insensitivity and syndrome X)

IT 108736-35-2, BIM 23014  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (somatostatin and somatostatin agonists in treatment of insulin  
 insensitivity and syndrome X)

RN 108736-35-2 HCAPLUS

CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-  
 tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide  
 (9CI) (CA INDEX NAME)



L19 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:255236 HCAPLUS

DN 134:261259

ED Entered STN: 11 Apr 2001

TI Method using octreotide and an anticholinergic agent for treating acute  
 and severe diarrhea

IN Simon, David Lew

PA USA

SO U.S., 5 pp., Cont.-in-part of U.S. Ser. No. 82,260, abandoned.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K038-00  
ICS A61K031-40; A01N043-36  
NCL 514009000  
CC 1-9 (Pharmacology)  
Section cross-reference(s): 2

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6214792	B1	20010410	US 1999-435564	19991108 <--
	US 5783583	A	19980721	US 1996-631081	19960412 <--
PRAI	US 1996-631081	A2	19960412	<--	
	US 1998-82260	B2	19980520	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6214792	ICM	A61K038-00
	ICS	A61K031-40; A01N043-36
	NCL	514009000
US 6214792	ECLA	A61K031/485; A61K031/485 <--

AB The invention provides a method for treating acute and severe diarrhea, such as that which accompanies chemotherapy and rapid narcotic detoxification. The method includes administering octreotide in an amount sufficient to alleviate the diarrhea without precipitating clin. significant bradycardia. In a preferred embodiment an anticholinergic agent is administered together with octreotide to further reduce the possibility of significant bradycardia. The invention also provides a method for rapidly detoxifying a patient addicted to narcotics. Acute and severe diarrhea is eliminated during detoxification by administering octreotide in according to the above-described method.

ST octreotide anticholinergic antidiarrheal; chemotherapy antidiarrheal  
octreotide anticholinergic; narcotic detoxification antidiarrheal  
octreotide anticholinergic

IT Heart, disease  
(bradycardia; octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT Heart  
(cardiac postganglionic parasympathetic neuroeffector site; octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT Drug delivery systems  
(injections, needleless jet injector; octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT Drug delivery systems  
(injections, s.c.; octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT Antidiarrheals  
Cholinergic antagonists  
Muscarinic antagonists  
(octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT Neurotransmission  
(parasympathetic, cardiac postganglionic parasympathetic neuroeffector site; octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT Drug delivery systems  
(parenterals; octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT 51-55-8, Atropine, biological studies 596-51-0, Glycopyrrolate  
83150-76-9, Octreotide 108736-35-2, Lanreotide  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(octreotide and anticholinergic agent for treating acute and severe diarrhea)

IT 51-84-3, Acetylcholine, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(octreotide and anticholinergic agent for treating acute and severe diarrhea)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Gooberman; US 5789411 1998 HCAPLUS

IT 108736-35-2, Lanreotide

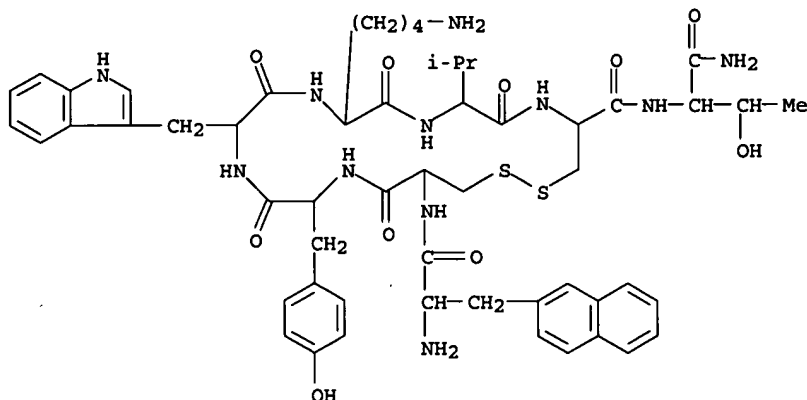
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(octreotide and anticholinergic agent for treating acute and severe

diarrhea)

RN 108736-35-2 HCAPLUS

CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide (9CI) (CA INDEX NAME)



L19 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:73387 HCAPLUS  
 DN 134:127880  
 ED Entered STN: 01 Feb 2001  
 TI Method to enhance tissue accumulation of radiolabeled compounds  
 IN Woltering, Eugene A.; Espenan, Gregory D.  
 PA Board of Supervisors of Louisiana State University and Agricultural and Mechanical College, USA  
 SO U.S., 46 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC A61K051-00; A61M036-14  
 NCL 424016900  
 CC 8-9 (Radiation Biochemistry)  
 Section cross-reference(s): 63

## FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6180082	B1	20010130	US 1998-198562	19981123 <--
	US 6630123	B1	20031007	US 2000-664456	20000918 <--
PRAI	US 1997-160087P	P	19971124	<--	
	US 1998-198562	A1	19981123	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6180082	IC	A61K051-00IC A61M036-14
	NCL	424016900
US 6630123	ECLA	A61K051/08 <--

AB Administration of a radioisotopic compound by infusion over a period of time greater than two hours, preferably greater than twelve hours, greatly increases the maximum radioactivity that accumulates in the target cell. Increasing tissue accumulation and retention of radiolabeled compds. improves their therapeutic and diagnostic value. The efficacy of the administration of the radiolabeled compound can be increased about five times higher than prior bolus injection or short infusion methods. This method enhances the tumor to background ratio by increasing the actual radioligand accumulated inside the target cells. This technique works for any radiolabeled compound whose cellular uptake is limited by a cellular process of either binding to a cellular receptor or to a transport protein. Once the radiolabeled compound is bound and internalized, the ability of an unlabeled compound to compete with the radioligand is markedly decreased. The primary factor governing residence time after internalization is the phys. half-life of the radioisotope, not biol. half-life. Preliminary results of clin. trial with <sup>111</sup>In-pentetreotide infusions are presented.

ST radiopharmaceutical tumor angiogenic tissue accumulation enhancement  
 IT Blood vessel

(angiogenic; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Astrocyte  
(astrocytoma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Skin, neoplasm  
(carcinoma, Merkel cell; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Mammary gland  
(carcinoma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Blood vessel  
(endothelium; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Neuroglia  
(glioma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Pancreatic islet of Langerhans  
(glucagonoma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Drug delivery systems  
(infusions; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Thyroid gland, neoplasm  
(medullary carcinoma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Meninges  
(meningioma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Angiogenesis  
Angiogenesis inhibitors  
Antitumor agents  
Lymphoma  
Melanoma  
Neoplasm  
Pancreas, neoplasm  
Pheochromocytoma  
Scintigraphy  
(method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Platelet-derived growth factor receptors  
Somatostatin receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Estrogens  
Glucocorticoids  
Gonadotropins  
Interferons  
Interleukins  
Leukemia inhibitory factor  
Mineralocorticoids  
Opioids  
Platelet-derived growth factors  
Transferrins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Digestive tract  
Endocrine system  
Pancreatic islet of Langerhans  
Pituitary gland  
(neoplasm; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Nerve, neoplasm  
(neuroblastoma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT DNA  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(nuclear; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Peptides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(radiolabeled receptor-dependent; method for enhancing tumor and

angiogenic tissue accumulation of radiopharmaceuticals)

IT Steroids, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (receptor-dependent; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Kidney, neoplasm  
 (renal cell carcinoma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Lung, neoplasm  
 (small-cell carcinoma; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT Imaging  
 Paraganglion  
 (tumor; method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT 139096-04-1  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT 50-28-2D, 17.beta.-Estradiol, iodine-125 labeled 186293-19-6D, iodine-125 and iodine-131 labeled  
 RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

IT 50-56-6, Oxytocin, biological studies 57-83-0, Progesterone, biological studies 58-22-0, Testosterone 67-43-6D, DPTA, radiolabeled somatostatin conjugates 113-79-1, Arginine vasopressin 9002-62-4, Prolactin, biological studies 9002-72-6, Growth hormone 9004-10-8, Insulin, biological studies 9007-12-9, Calcitonin 9007-92-5, Glucagon, biological studies 9011-97-6, Cholecystokinin 9015-71-8, Corticotropin-releasing hormone 9034-39-3, Growth hormone-releasing hormone 9034-40-6, Gonadotropin-releasing hormone 9061-61-4, Nerve growth factor 10043-66-0, Iodine 131, biological studies 10098-91-6, Yttrium 90, biological studies 11128-99-7, Angiotensin II 14119-09-6, Gallium 67, biological studies 14133-76-7, Technetium 99, biological studies 14158-30-6, Iodine 124, biological studies 14158-31-7, Iodine 125, biological studies 14269-78-4, Ytterbium 169, biological studies 14378-26-8, Rhenium 188, biological studies 14809-53-1, Yttrium 86, biological studies 15046-84-1, Iodine 129, biological studies 15715-08-9, Iodine 123, biological studies 15750-15-9, Indium 111, biological studies 15765-39-6, Bromine 77, biological studies 24305-27-9, Thyrotropin-releasing hormone 33507-63-0, Substance P 37221-79-7, Vasoactive intestinal peptide 39379-15-2, Neurotensin 51110-01-1, Somatostatin 51110-01-1D, Somatostatin, analogs 60239-18-1D, DOTA, radiolabeled somatostatin conjugates 62031-54-3, Fibroblast growth factor 62229-50-9, Epidermal growth factor 80043-53-4, Gastrin-releasing peptide 82785-45-3, Neuropeptide Y 83150-76-9, Octreotide 83150-76-9D, Octreotide, technetium-99 complexes 85637-73-6, Atrial natriuretic peptide 103222-11-3, Vapreotide 103222-11-3D, RC-160, metal complexes 105953-91-1, Neuromedin 108736-35-2, Lanreotide 113202-69-0, 125I-Tyr3-octreotide 127464-60-2, Vascular endothelial growth factor 138661-02-6, Pentetreotide 184584-18-7 186293-19-6 186293-20-9 186293-20-9D, iodine-125 and iodine-131 labeled 187810-07-7 189758-24-5 189758-25-6 213187-48-5 213187-51-0 271785-06-9 271785-06-9D, iodine-125 and iodine-131 labeled 321983-88-4 321983-89-5 321983-90-8 321999-23-9 321999-24-0 321999-25-1  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD

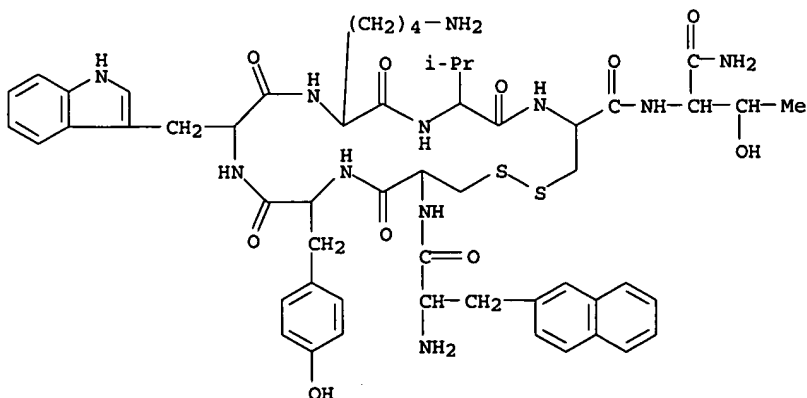
RE

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- (2) Barrie, R; Journal of Surgical Research 1993, V55, P446 HCAPLUS
- (3) Bloomer, W; Current Topics in Radiation Research Quarterly 1977, V12, P513
- (4) Breeman, W; European Journal of Nuclear Medicine 1994, V21(4), P328 HCAPLUS
- (5) Breeman, W; Quarterly Journal of Nuclear Medicine 1996, V40, P209 MEDLINE
- (6) Carrasquillo, J; The Journal of Nuclear Medicine 1987, V28, P281 MEDLINE
- (7) Coy; US 5597894 1997 HCAPLUS
- (8) de Jong, M; European Journal of Nuclear Medicine 1997, V24, P368 HCAPLUS
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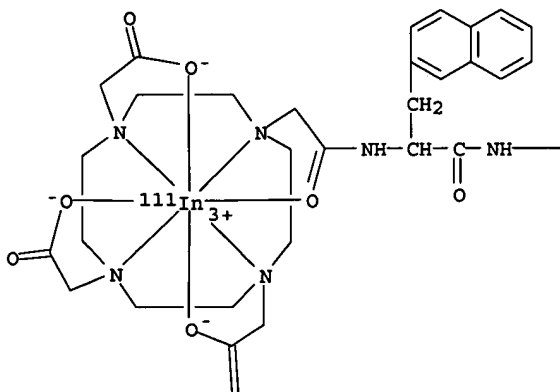


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 (51) Woltering, E; Principles & Practice of Oncology 1995, V9, P1  
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- IT 108736-35-2, Lanreotide 213187-48-5 213187-51-0  
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 321999-24-0 321999-25-1  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method for enhancing tumor and angiogenic tissue accumulation of radiopharmaceuticals)
- RN 108736-35-2 HCAPLUS  
 CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide (9CI) (CA INDEX NAME)

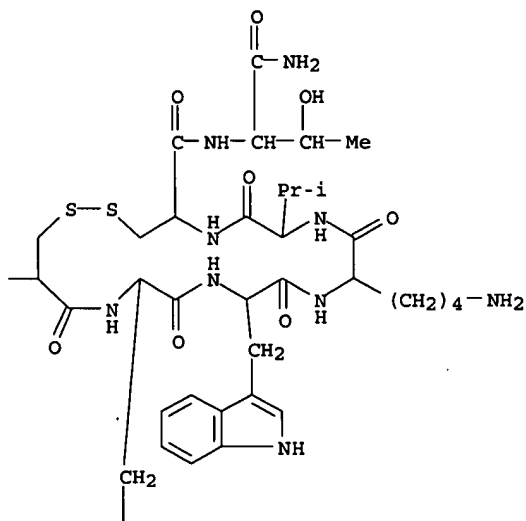


RN 213187-48-5 HCAPLUS  
 CN Indium-111In, [3-(2-naphthalenyl)-N-[[4,7,10-tris[(carboxy-  
 .kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-  
 .kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-D-alanyl-L-  
 cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-L-  
 threoninamide cyclic (2.fwdarw.7)-disulfidato(3-)]- (9CI) (CA INDEX NAME)

PAGE 1-A



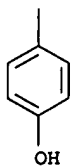
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PAGE 2-A

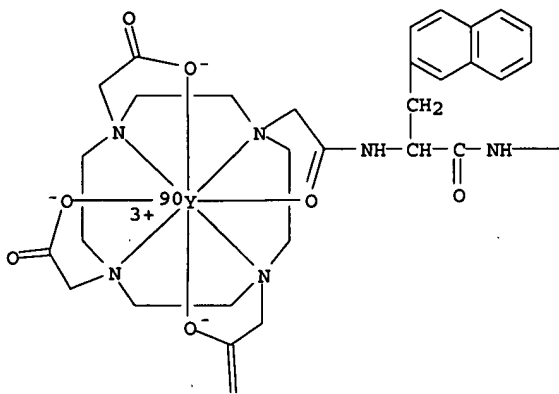


PAGE 2-B

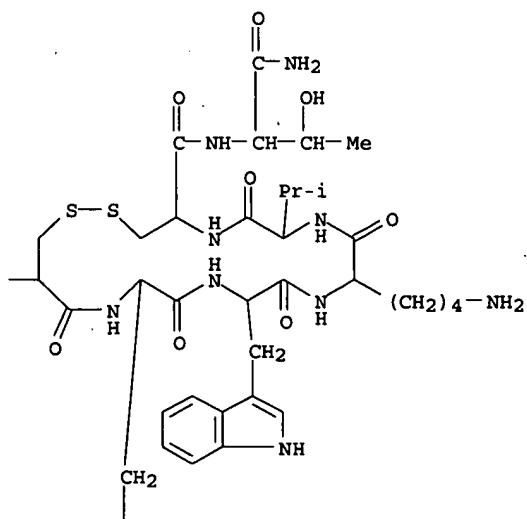


RN 213187-51-0 HCAPLUS  
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PAGE 1-A



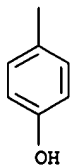
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PAGE 2-A

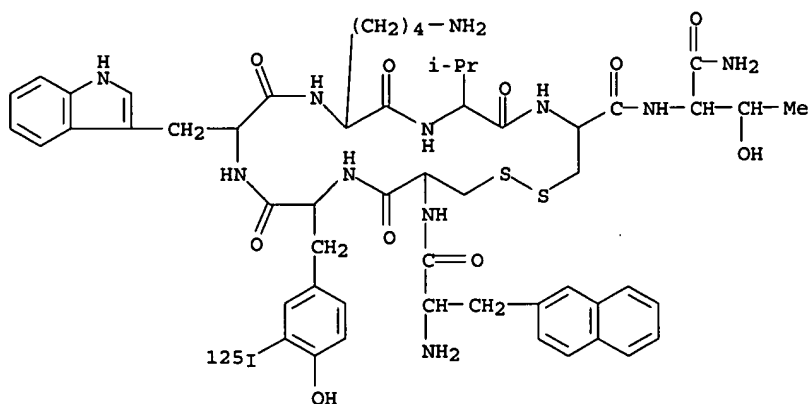


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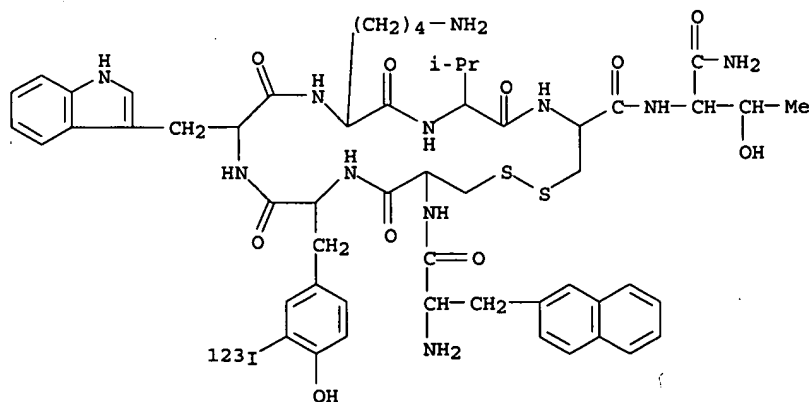
RN 321983-88-4 HCAPLUS

CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-3-(iodo-125I)-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide (9CI) (CA INDEX NAME)



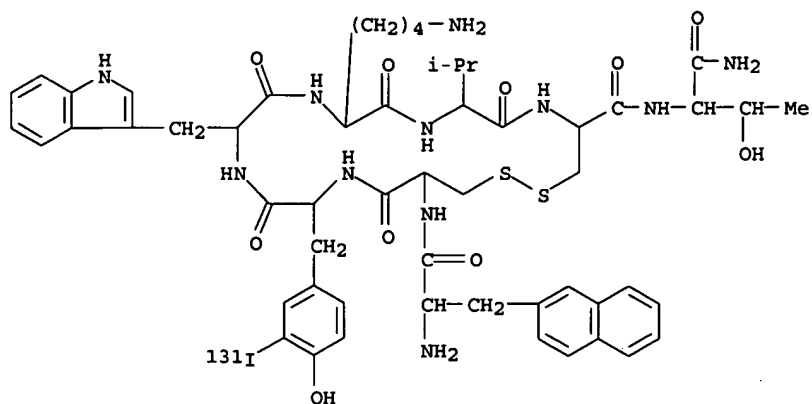
RN 321983-89-5 HCAPLUS

CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-3-(iodo-123I)-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide (9CI) (CA INDEX NAME)



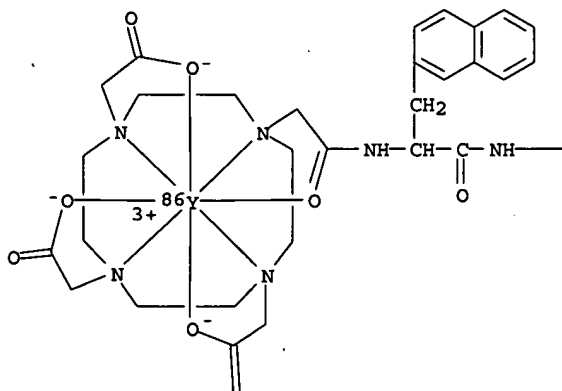
RN 321983-90-8 HCAPLUS

CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-3-(iodo-131I)-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide (9CI) (CA INDEX NAME)

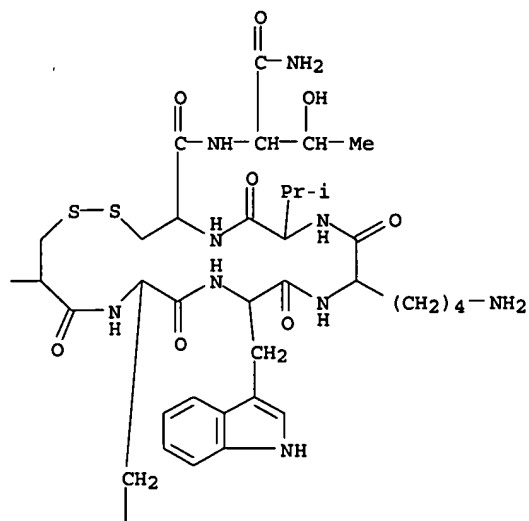


RN 321999-24-0 HCAPLUS  
 CN Yttrium-86Y, [3-(2-naphthalenyl)-N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-L-threoninamide cyclic (2.fwdarw.7)-disulfidato(3-)]-(9CI) (CA INDEX NAME)

PAGE 1-A



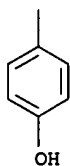
PAGE 1-B



PAGE 2-A

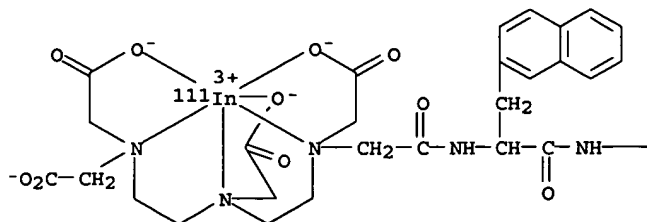


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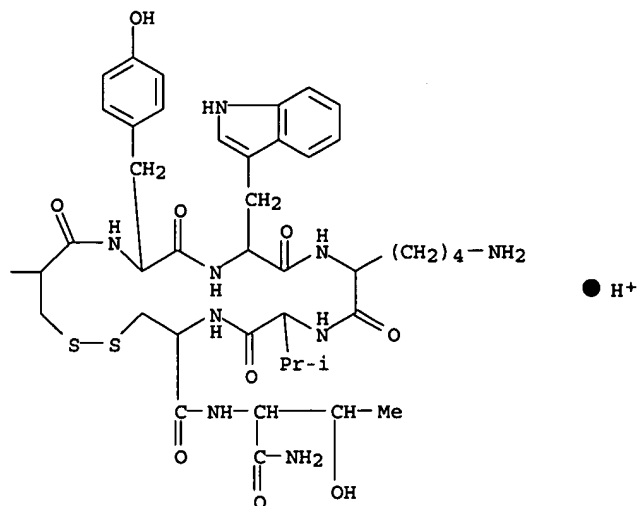
RN 321999-25-1 HCAPLUS  
 CN Indate(1-)-111In, [N-[(carboxy-.kappa.O)methyl]-N-[2-[[[(carboxy-.kappa.O)methyl][2-[[[(carboxy-.kappa.O)methyl](carboxymethyl)amino-.kappa.N]ethyl]amino-.kappa.N]ethyl]glycyl-.kappa.N-3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-L-threoninamide cyclic (2.fwdarw.7)-disulfidato(4-)]-, hydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



Search done by Noble Jarrell

PAGE 1-B



L19 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:506101 HCAPLUS  
 DN 133:135746  
 ED Entered STN: 26 Jul 2000  
 TI Bioresorbable copolymers based on cyclic carbonates  
 IN Gross, Richard A.; Chen, Xianhai; McCarthy, Stephen P.  
 PA University of Massachusetts, USA  
 SO U.S., 20 pp.  
 CODEN: USXXAM

DT Patent  
 LA English  
 IC ICM C08G063-08  
 NCL 528354000

CC 35-5 (Chemistry of Synthetic High Polymers)  
 Section cross-reference(s): 63

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6093792	A	20000725	US 1998-154332	19980916 <--
PRAI US 1997-59013P	P	19970916	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6093792	ICM	C08G063-08
	NCL	528354000

AB A bioresorbable copolymer composition comprises products of a reaction between: (a) a first comonomer comprising lactones, lactides, lactams, thiolactones, or nonfunctionalized cyclic carbonates; and (b) a second, functionalized, cyclic carbonate comonomer, wherein the second comonomer is functionalized by a substituent group comprising alkenes, alkynes, protected hydroxyl groups or protected carboxyl groups. The high mol. weight bioresorbable copolymers are useful for specific applications in the biomedical arts. A polymer was prepared by polymerization of 2,4-dioxaspiro[5.5]undecane-8-ene-3-one and L-lactic acid.

ST cyclic carbonate lactone copolymer bioresorbable

IT Drug delivery systems

Polymerization catalysts

(bioresorbable copolymers based on cyclic carbonates)

IT Polyesters, preparation

Polyesters, preparation

RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(polycarbonate-; bioresorbable copolymers based on cyclic carbonates)

IT Polycarbonates, preparation

Polycarbonates, preparation

RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(polyester-; bioresorbable copolymers based on cyclic carbonates)

Search done by Noble Jarrell

IT 97-93-8, uses 100-99-2, Triisobutylaluminum, uses 555-31-7, Aluminum isopropanolate 595-90-4, Tetraphenyltin 660-74-2 60004-29-7, Dioctyl tin 129770-44-1  
 RL: CAT (Catalyst use); USES (Uses)  
 (bioresorbable copolymers based on cyclic carbonates)

IT 219811-64-0P  
 RL: IMF (Industrial manufacture); PREP (Preparation)  
 (bioresorbable copolymers based on cyclic carbonates)

IT 224643-31-6P 230978-72-0P, 1,2-O-Isopropylidene-D-xylofuranose-3,5-cyclic carbonate-L-trimethylenecarbonate copolymer 286382-68-1P, 2,4-Dioxaspiro[5.5]undecane-8-ene-3-one-L-lactic acid copolymer 286382-69-2P  
 RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (bioresorbable copolymers based on cyclic carbonates)

IT 541-41-3, Ethyl chloroformate 20031-21-4, 1,2-O-Isopropylidene-D-xylofuranose  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (bioresorbable copolymers based on cyclic carbonates)

IT 9004-10-8, Insulin, biological studies 127984-74-1, SOMATULINE  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (bioresorbable copolymers based on cyclic carbonates)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 RE

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- (2) Anon; EP 0334062 A2 1989 HCAPLUS
- (3) Barrera; Macromolecules 1995, V28, P425 HCAPLUS
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- (9) Elisseeff; Macromolecules 1997, V30(7) HCAPLUS
- (10) Gerhart; US 5286763 1994 HCAPLUS
- (11) Grijpma; Polymer 1993, V34(7) HCAPLUS
- (12) Gruber; US 5359026 1994 HCAPLUS
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- (19) Schmidt; Macromolecules 1996, V29, P3674 HCAPLUS
- (20) Shinoda; US 5747637 1998 HCAPLUS
- (21) Sinclair; US 5502158 1996 HCAPLUS
- (22) Tang; US 4916193 1990 HCAPLUS
- (23) Tang; US 5066772 1991 HCAPLUS

IT 127984-74-1, SOMATULINE  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (bioresorbable copolymers based on cyclic carbonates)

RN 127984-74-1 HCAPLUS

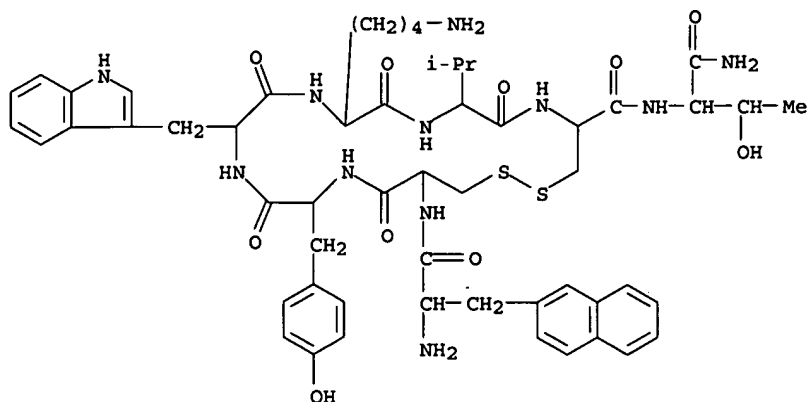
CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

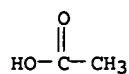
CRN 108736-35-2

CMF C54 H69 N11 O10 S2





CM 2

CRN 64-19-7  
CMF C2 H4 O2

L19 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:464011 HCAPLUS  
 DN 133:105344  
 ED Entered STN: 11 Jul 2000  
 TI Preparation of technetium-99m labeled peptides for imaging  
 IN Dean, Richard T.; Buttram, Scott; McBride, William; Lister-James, John;  
 Civitello, Edgar R.  
 PA Diatide, Inc., USA  
 SO U.S., 23 pp., Cont.-in-part of U.S. Ser. No. 871,282.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K051-00  
 ICS A61M036-14  
 NCL 424001690  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 8, 78  
 FAN.CNT 44

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6086849	A	20000711	US 1995-170299	19950209 <--
US 5965107	A	19991012	US 1992-871282	19920430 <--
WO 9321962	A1	19931111	WO 1993-US3687	19930419 <--
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI US 1992-871282	A2	19920430 <--		
WO 1993-US3687	W	19930419 <--		
US 1992-851074	B2	19920313 <--		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6086849	ICM	A61K051-00
	ICS	A61M036-14
	NCL	424001690
US 5965107	ECLA	A61K051/08; A61K051/08Z

OS MARPAT 133:105344 <--

AB This invention relates to radiolabeled peptides and methods for producing such peptides. Thus, peptide BAT-RALVDTLKFTQAEGAKamide [BAT = HSCMe2CH2NHCH2CH2N(CH2CMe2SH)CH2CH2CH2CH2CO] (P215) was prepared and radiolabeled with Tc-99m and used for localization and in vivo imaging of atherosclerotic plaque in the hypercholesterol rabbit model.

ST technetium labeled peptide prepn imaging

IT Imaging  
(preparation of technetium-99m labeled peptides for imaging)

IT Peptides, preparation  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of technetium-99m labeled peptides for imaging)

IT 14133-76-7, Technetium-99, biological studies 32018-30-7 51532-41-3  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of technetium-99m labeled peptides for imaging)

IT 107-15-3, 1,2-Ethanediamine, reactions 824-94-2, 4-Methoxybenzyl chloride 954-81-4, n-(5-Bromopentyl)phthalimide 3695-77-0, Trityl mercaptan 4097-89-6, Tris(2-aminoethyl)amine 13206-46-7, 2-Bromo-2-methylpropanal 14660-52-7, Ethyl 5-bromovalerate 55750-48-6, n-Methoxycarbonylmaleimide 57443-14-8 139262-23-0 153230-02-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of technetium-99m labeled peptides for imaging)

IT 59880-97-6P 82989-21-7P 88650-17-3P 136721-52-3P 153229-93-7P  
153229-94-8P 153229-95-9P 153229-96-0P 153229-97-1P 153229-98-2P  
153229-99-3P 153230-04-7P 153230-05-8P 153300-06-2P 153300-07-3P  
153300-08-4P 153300-09-5P 153300-10-8P 153300-11-9P 153300-12-0P  
153300-13-1P 153300-14-2P 153300-15-3P 153300-16-4P 153300-17-5P  
153300-21-1P 153300-22-2P 153313-99-6P 153314-00-2P 172835-02-8P  
172835-03-9P 172835-04-0P 245758-08-1P 282541-04-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of technetium-99m labeled peptides for imaging)

IT 153300-23-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of technetium-99m labeled peptides for imaging)

IT 152174-89-5DP, technetium-99m-labeled 152174-90-8DP, technetium-99m-labeled 152174-97-5DP, technetium-99m-labeled 152175-01-4DP, technetium-99m-labeled 152175-03-6DP, technetium-99m-labeled 152175-04-7DP, technetium-99m-labeled 152175-05-8DP, technetium-99m-labeled 152175-08-1DP, technetium-99m-labeled 152175-11-6DP, technetium-99m-labeled 152175-13-8DP, technetium-99m-labeled 152175-15-0DP, technetium-99m-labeled 152195-96-5DP, technetium-99m-labeled 152195-97-6DP, technetium-99m-labeled 152196-03-7DP, technetium-99m-labeled 153300-24-4DP, technetium-99m-labeled 153300-25-5DP, technetium-99m-labeled 153300-26-6DP, technetium-99m-labeled 153300-27-7DP, technetium-99m-labeled 153300-28-8DP, technetium-99m-labeled 153300-29-9DP, technetium-99m-labeled 153300-30-2DP, technetium-99m-labeled 153300-31-3DP, technetium-99m-labeled 153300-32-4DP, technetium-99m-labeled 153300-33-5DP, technetium-99m-labeled 153300-34-6DP, technetium-99m-labeled 153300-38-0DP, technetium-99m-labeled 153300-39-1DP, technetium-99m-labeled 153300-40-4DP, technetium-99m-labeled 153300-41-5DP, technetium-99m-labeled 153300-42-6DP, technetium-99m-labeled 153300-43-7DP, technetium-99m-labeled 153300-44-8DP, technetium-99m-labeled 153300-45-9DP, technetium-99m-labeled 153300-46-0DP, technetium-99m-labeled 153300-47-1DP, technetium-99m-labeled 153300-48-2DP, technetium-99m-labeled 153300-50-6DP, technetium-99m-labeled 153300-51-7DP, technetium-99m-labeled 153300-56-2DP, technetium-99m-labeled 153301-04-3DP, technetium-99m-labeled 153477-22-6DP, technetium-99m-labeled 153507-48-3DP, technetium-99m-labeled 174216-22-9DP, technetium-99m-labeled 174216-23-0DP, technetium-99m-labeled 174216-25-2DP, technetium-99m-labeled 174216-27-4DP, technetium-99m-labeled 189688-26-4DP, technetium-99m-labeled 189688-27-5DP, technetium-99m-labeled 206010-10-8DP, technetium-99m-labeled 282541-05-3DP, technetium-99m-labeled 282541-06-4DP, technetium-99m-labeled 282718-91-6DP, technetium-99m-labeled  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of technetium-99m labeled peptides for imaging)

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD

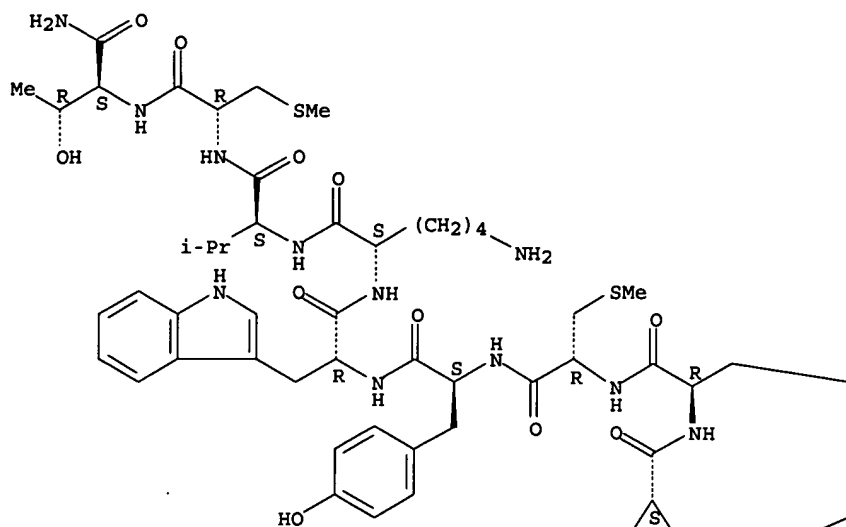
RE

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- (2) Anon; WO 85104958 1985
- (3) Anon; EP 0174853 1986 HCAPLUS
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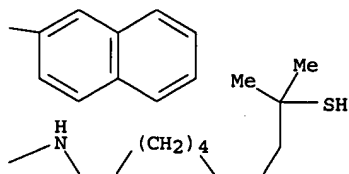
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 (18) Anon; EP 90306428 1990  
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 (25) Anon; WO 9533498 1995 HCAPLUS  
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 (34) Byrne; US 4575556 1986 HCAPLUS  
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 (37) Dean; US 5720934 1998 HCAPLUS  
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 (39) Dean; US 5780007 1998 HCAPLUS  
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 (57) Zubay; Biochemistry, Protein Structure and Function 1983, P3  
 IT 189688-26-4DP, technetium-99m-labeled 189688-27-5DP,  
 technetium-99m-labeled  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (preparation of technetium-99m labeled peptides for imaging)  
 RN 189688-26-4 HCAPLUS  
 CN L-Threoninamide, N6-[5-[(2-mercapto-2-methylpropyl)[2-[(2-mercapto-2-  
 methylpropyl)amino]ethyl]amino]-1-oxopentyl]-L-lysyl-3-(2-naphthalenyl)-D-  
 alanyl-S-methyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-S-  
 methyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

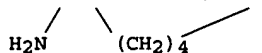
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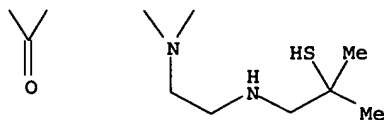
PAGE 1-B



PAGE 2-A



PAGE 2-B



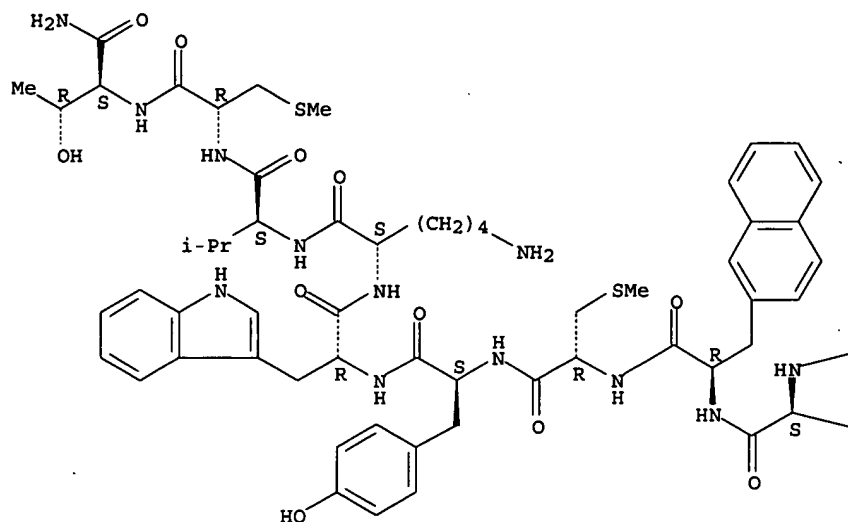
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Search done by Noble Jarrell

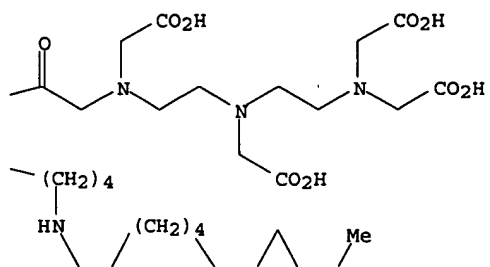
naphthalenyl)-D-alanyl-S-methyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-S-methyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

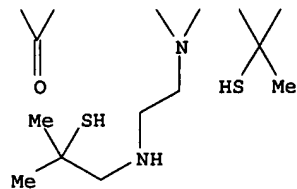
PAGE 1-A



PAGE 1-B



PAGE 2-B



L19 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:780311 HCAPLUS  
 DN 132:20545

Search done by Noble Jarrell

ED Entered STN: 09 Dec 1999  
 TI Technetium-99m labeled peptides for imaging  
 IN Dean, Richard T.; Buttram, Scott; McBride, William; Lister-James, John;  
 Civitello, Edgar R.  
 PA Diatide, Inc., USA  
 SO U.S., 23 pp., Cont.-in-part of U.S. Ser. No. 653,012, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K051-00  
 ICS A61M036-14  
 NCL 424001690  
 CC 8-9 (Radiation Biochemistry)  
 Section cross-reference(s): 34, 63, 78  
 FAN.CNT 44

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5997844	A	19991207	US 1994-253678	19940603 <--
US 6017509	A	20000125	US 1993-92355	19930715 <--
US 5989519	A	19991123	US 1994-290853	19941011 <--
CA 2191950	AA	19951214	CA 1995-2191950	19950601 <--
CA 2191950	C	20030128		
WO 9533498	A1	19951214	WO 1995-US7017	19950601 <--
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9527783	A1	19960104	AU 1995-27783	19950601 <--
AU 697048	B2	19980924		
EP 762901	A1	19970319	EP 1995-922946	19950601 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1154072	A	19970709	CN 1995-194335	19950601 <--
CN 1090973	B	20020918		
JP 10501241	T2	19980203	JP 1996-501223	19950601 <--
ZA 9504547	A	19960124	ZA 1995-4547	19950602 <--
US 5681541	A	19971028	US 1995-464456	19950605 <--
US 5788960	A	19980804	US 1995-463052	19950605 <--
US 6074627	A	20000613	US 1996-582134	19960514 <--
US 5997845	A	19991207	US 1997-902367	19970729 <--
PRAI US 1991-653012	B2	19910208	<--	
US 1993-92355	A2	19930715	<--	
US 1991-807062	A2	19911127	<--	
US 1992-851074	B2	19920313	<--	
US 1992-886752	B1	19920521	<--	
US 1992-893981	A3	19920605	<--	
WO 1993-US2320	W	19930312	<--	
US 1993-44825	B1	19930408	<--	
US 1994-253678	A2	19940603	<--	
US 1994-263758	A3	19940622	<--	
US 1994-273274	A2	19940711	<--	
US 1995-439905	A3	19950512	<--	
WO 1995-US7017	W	19950601	<--	
US 1995-462668	B1	19950605	<--	
US 1995-469858	A	19950606	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5997844	ICM	A61K051-00
	ICS	A61M036-14
	NCL	424001690
US 5997844	ECLA	A61K051/00Z; A61K051/08; A61K051/08Z <--
US 6017509	ECLA	A61K051/08Z; C07B059/00K; C07K007/06A; C07K014/775 <--
US 5681541	ECLA	A61K051/08; A61K051/08Z <--
US 6074627	ECLA	A61K051/00Z; A61K051/08; A61K051/08Z <--
US 5997845	ECLA	A61K051/08Z <--

OS MARPAT 132:20545

AB This invention relates to radiolabeled peptides and methods for producing such peptides. Specifically, the invention relates to peptides, methods and kits for making such peptides, and methods for using such peptides to image sites in a mammalian body labeled with technetium-99m (Tc-99m) via a radiolabel-binding moiety covalently attached to a specific binding peptide via an amino acid side-chain of the peptide.

ST peptide technetium 99m imaging agent

IT Peptides, biological studies

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(radiolabeled conjugates; technetium-99m labeled peptides for imaging)

IT Neoplasm

(somatostatin receptor-expressing; technetium-99m labeled peptides for imaging)

IT Atherosclerosis  
Imaging  
Imaging agents  
Infection  
Pancreas, neoplasm  
Radiopharmaceuticals  
Scintigraphy  
Test kits  
Thrombosis  
(technetium-99m labeled peptides for imaging)

IT Imaging  
(tumor; technetium-99m labeled peptides for imaging)

IT Somatostatin receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(tumors expressing; technetium-99m labeled peptides for imaging)

IT 15750-15-9DP, Indium 111, peptides labeled with, biological studies  
15757-14-9DP, Gallium 68, peptides labeled with, biological studies  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(radiolabeled peptides for imaging)

IT 14844-07-6, Dithionite 15438-31-0, Ferrous ion, uses 22541-90-8, Stannous ion, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(reducing agent; technetium-99m labeled peptides for imaging)

IT 14133-76-7DP, Technetium 99, peptides labeled with, biological studies  
152175-01-4DP, 99mTc-labeled 153300-48-2DP, 99mTc-labeled  
161982-57-6DP, 99mTc-labeled 161982-59-8DP, 99mTc-labeled  
172485-52-8DP, 99mTc-labeled 172485-58-4DP, 99mTc-labeled  
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(technetium-99m labeled peptides for imaging)

IT 56-87-1DP, Lysine, radiolabeled peptide conjugates 499-86-5DP, radiolabeled peptide conjugates 5538-93-2DP, radiolabeled peptide conjugates 29671-84-9DP, radiolabeled peptide conjugates 32018-30-7DP, radiolabeled peptide conjugates 51532-41-3DP, radiolabeled peptide conjugates 59880-97-6DP, radiolabeled conjugates 82989-21-7DP, radiolabeled conjugates 88650-17-3DP, radiolabeled conjugates 124764-08-5DP, radiolabeled peptide conjugates 152175-03-6DP, 99mTc-labeled 152175-05-8DP, 99mTc-labeled 152175-08-1DP, 99mTc-labeled 153229-91-5DP, radiolabeled peptide conjugates 153300-06-2DP, radiolabeled conjugates 153300-07-3DP, radiolabeled conjugates 153300-08-4DP, radiolabeled conjugates 153300-09-5DP, radiolabeled conjugates 153300-10-8DP, radiolabeled conjugates 153300-11-9DP, radiolabeled conjugates 153300-12-0DP, radiolabeled conjugates 153300-13-1DP, radiolabeled conjugates 153300-14-2DP, radiolabeled conjugates 153300-15-3DP, radiolabeled conjugates 153300-16-4DP, radiolabeled conjugates 153300-17-5DP, radiolabeled conjugates 153300-19-7DP, radiolabeled peptide conjugates 153300-20-0DP, radiolabeled conjugates 153300-21-1DP, radiolabeled conjugates 153300-22-2DP, radiolabeled conjugates 153313-99-6DP, radiolabeled conjugates 153314-00-2DP, radiolabeled conjugates 161889-37-8DP, 99mTc-labeled 161982-25-8DP, 99mTc-labeled 161982-61-2DP, 99mTc-labeled 161982-71-4DP, radiolabeled peptide conjugates 174216-22-9DP, 99mTc-labeled 174216-23-0DP, 99mTc-labeled 174216-24-1DP, 99mTc-labeled 174216-25-2DP, 99mTc-labeled 174216-27-4DP, 99mTc-labeled 189688-26-4DP, 99mTc-labeled 189688-27-5DP, 99mTc-labeled 189688-30-0DP, 99mTc-labeled, conjugates with DTPA  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(technetium-99m labeled peptides for imaging)

IT 251990-47-3  
RL: PRP (Properties)  
(unclaimed protein sequence; technetium-99m labeled peptides for imaging)

IT 82989-21-7 88650-17-3 122113-88-6 130463-46-6 144601-92-3  
144601-95-6 153300-11-9 251903-78-3 251903-79-4 251903-80-7  
251903-81-8 251903-82-9 251903-83-0 251903-84-1 251903-85-2  
251903-86-3 251903-87-4 251903-88-5 251903-89-6 251903-90-9  
251990-48-4  
RL: PRP (Properties)  
(unclaimed sequence; technetium-99m labeled peptides for imaging)

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IT 189688-26-4DP, 99mTc-labeled 189688-27-5DP,  
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(technetium-99m labeled peptides for imaging)

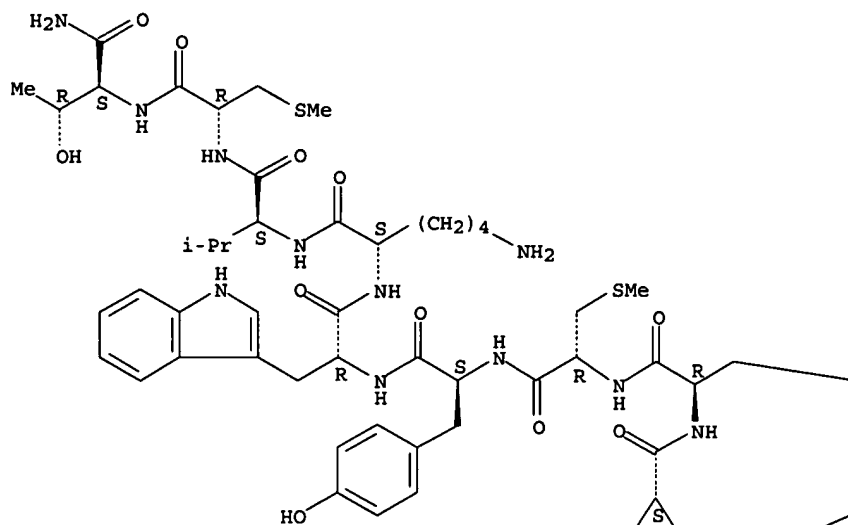
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CN L-Threoninamide, N6-[5-[(2-mercapto-2-methylpropyl)[2-[(2-mercapto-2-methylpropyl)amino]ethyl]amino]-1-oxopentyl]-L-lysyl-3-(2-naphthalenyl)-D-alanyl-S-methyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-S-methyl-L-cysteinyl- (9CI) (CA INDEX NAME)

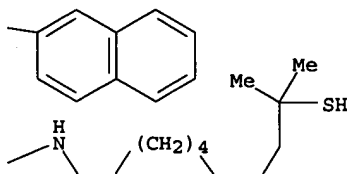
Absolute stereochemistry.



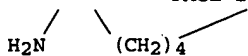
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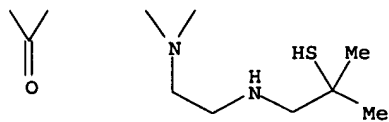
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PAGE 2-A



PAGE 2-B



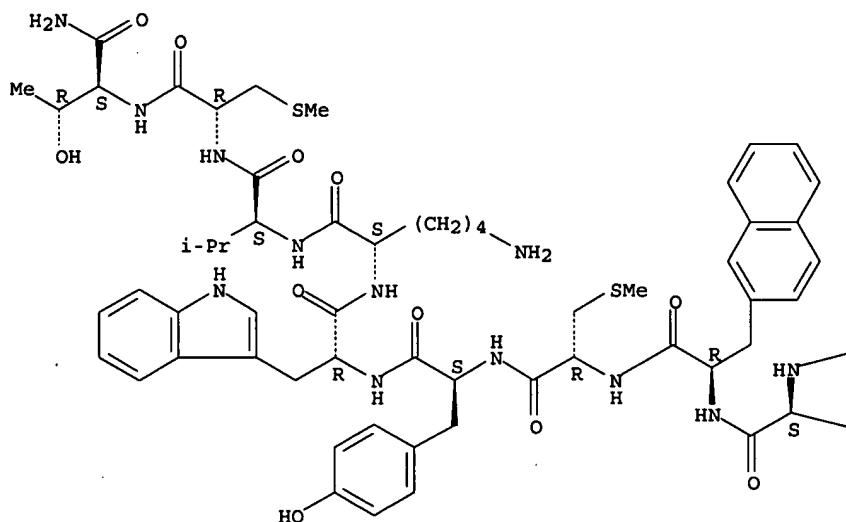
RN 189688-27-5 HCAPLUS  
 CN L-Threoninamide, N-[2-[[2-[bis(carboxymethyl)amino]ethyl] (carboxymethyl)amino]ethyl]-N-(carboxymethyl)glycyl-N6-[5-[(2-mercapto-2-methylpropyl) (2-[(2-mercapto-2-methylpropyl)amino]ethyl)amino]-1-oxopentyl]-L-lysyl-3-(2-

Search done by Noble Jarrell

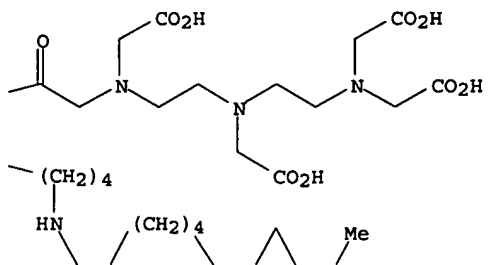
naphthalenyl)-D-alanyl-S-methyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-S-methyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

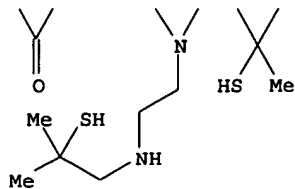
PAGE 1-A



PAGE 1-B



PAGE 2-B



ED Entered STN: 18 Nov 1999  
 TI Peptide radiopharmaceutical applications  
 IN Zamora, Paul O.; Rhodes, Buck A.; Marek, Michael J.  
 PA Rhomed Inc., USA  
 SO U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 447,453.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K051-00  
 ICS A61M036-14  
 NCL 424001690  
 CC 8-9 (Radiation Biochemistry)  
 Section cross-reference(s): 63  
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PI	US 5985240	A	19991116	US 1996-651179	19960521 <--
	US 5078985	A	19920107	US 1989-391474	19890809 <--
	US 5102990	A	19920407	US 1990-565275	19900808 <--
	JP 2000053590	A2	20000222	JP 1999-227755	19900808 <--
	US 5443816	A	19950822	US 1992-840077	19920220 <--
	US 5277893	A	19940111	US 1992-864470	19920406 <--
	US 5700444	A	19971223	US 1993-87219	19930702 <--
	US 5759515	A	19980602	US 1994-269929	19940630 <--
	US 35500	E	19970506	US 1995-389269	19950216 <--
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	WO 9637239	A1	19961128	WO 1996-US7480	19960522 <--
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	WO 9728181	A3	19971009		
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	US 2001055563	A1	20011227	US 2001-900390	20010706
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	US 1993-87219	A2	19930702	<--	
	US 1994-269929	A2	19940630	<--	
	US 1995-447453	A2	19950523	<--	
	US 1996-11027P	P	19960202	<--	
	JP 1990-514313	A3	19900808	<--	
	US 1996-651179	A	19960521	<--	
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	US 1999-393581	XX	19990909		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5985240	ICM	A61K051-00
	ICS	A61M036-14
	NCL	424001690
US 5985240	ECLA	A61K049/00B10; A61K051/08; A61K051/08Z; A61K051/10; C07K005/08A1F; C07K007/06A; C07K014/00B; C07K014/52; C07K014/655; C07K014/78 <--
US 5443816	ECLA	A61K051/08; A61K051/10; C07K014/52; C07K014/655; C07K014/78 <--
US 5759515	ECLA	A61K051/08; A61K051/10; C07K007/06A; C07K014/00B; C07K014/52; C07K014/655; C07K014/78 <--

AB The invention relates to radiotherapy with somatostatin-derived peptides labeled with medically useful metal ions. The invention in particular

provides for methods and reagents for labeling somatostatin-derived peptides with perrhenate, in which a solution including somatostatin-derived peptide analog containing at least one disulfide bond is provided, the solution is reacted with stannous ions and with a radioisotope, wherein the stannous ions are sufficient to substantially reduce the disulfide bonds of the peptide and the radioisotope, and the radiolabeled somatostatin-derived peptide analog recovered. Also provided are methods for regional administration of radiolabeled somatostatin-derived peptides, methods for enhanced regional retention of radiolabeled somatostatin-derived peptides, methods for treatment of arthritis using radiolabeled somatostatin derived peptides, and methods for stabilizing radiolabeled somatostatin derived peptides.

- ST somatostatin peptide radiolabeled prepn rheumatoid arthritis; radiotherapy rheumatoid arthritis radiolabeled somatostatin peptide; tumor radiotherapy rhenium labeled somatostatin peptide
- IT Proteins, general, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(blood; effect of carrier mols. on biodistribution of rhenium-labeled somatostatin-derived peptide)
- IT Colloids  
(drug delivery systems; radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT Neuroglia  
(glioblastoma multiforme; radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT Drug delivery systems  
(microparticles; radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT Pleura  
Prostate gland  
(neoplasm; radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT Antitumor agents  
Pancreas, neoplasm  
Pharmacokinetics  
Radiotherapy  
Rheumatoid arthritis  
Test kits  
(radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT Somatostatin receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT Albumins, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(serum; effect of carrier mols. on biodistribution of rhenium-labeled somatostatin-derived peptide)
- IT Lung, neoplasm  
(small-cell carcinoma; radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT Globulins, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(.gamma.-; effect of carrier mols. on biodistribution of rhenium-labeled somatostatin-derived peptide)
- IT 10043-66-0D, Iodine 131, somatostatin derived peptides labeled with, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(biodistribution of radiolabeled somatostatin analogs)
- IT 14378-26-8DP, Rhenium 188, somatostatin derived peptides labeled with, biological studies 103222-11-3DP, RC-160, radiolabeled  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- IT 14133-76-7DP, Technetium 99, somatostatin derived peptides labeled with, biological studies 14998-63-1DP, Rhenium 186, somatostatin derived peptides labeled with, biological studies 51110-01-1DP, Somatostatin, radiolabeled analogs 83150-76-9DP, radiolabeled

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)

IT 131167-89-0D, radiolabeled

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)

IT 108736-35-2DP, radiolabeled

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)

IT 815-85-0, Stannous tartrate, reactions 22541-90-8, Stannous ion,

reactions 87552-16-7, 186Re-Perrhenate 122123-28-8, 188Re-Perrhenate

RL: RCT (Reactant); RACT (Reactant or reagent)

(radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)

IT 50-81-7, L-Ascorbic acid, uses

RL: MOA (Modifier or additive use); USES (Uses)

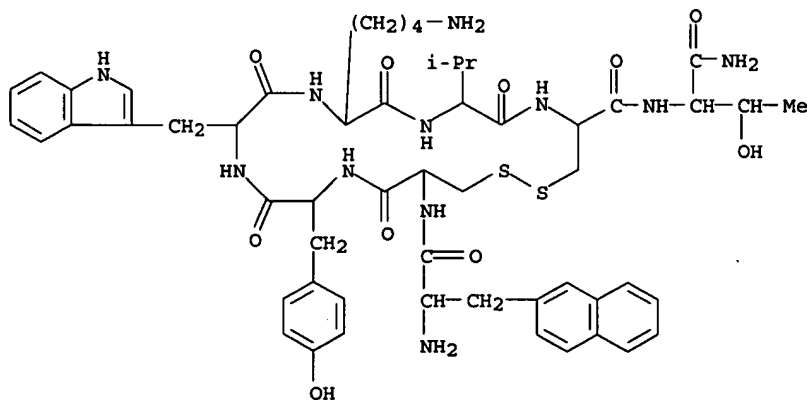
(stabilizer; radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)

RE.CNT 91 THERE ARE 91 CITED REFERENCES AVAILABLE FOR THIS RECORD

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- IT 108736-35-2DP, radiolabeled  
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 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (radiotherapy with somatostatin-derived peptides labeled with 188Re or 186Re)
- RN 108736-35-2 HCAPLUS  
 CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide (9CI) (CA INDEX NAME)



L19 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:276721 HCAPLUS  
 DN 126:343883  
 ED Entered STN: 30 Apr 1997  
 TI Preparation and antitumor activity of radioactive peptide complexes  
 IN McBride, William; Dean, Richard T.  
 PA Diatech, Inc., USA  
 SO U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 902,935.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K038-31  
 ICS A61K038-00; A61K051-00  
 NCL 424001690  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 8, 63

FAN.CNT 44

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	US 5716596	A	19980210	US 1992-902935	19920623 <--
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PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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EP 1094074	ECLA	C07K014/655A
WO 9503330	ECLA	A61K051/08; A61K051/08Z; C07K014/655A

OS MARPAT 126:343883

AB This invention relates to therapeutic reagents and peptides, radiodiagnostic reagents and peptides, and methods for producing label radiodiagnostic agents. Specifically, the invention relates to linear peptide derivs. and analogs of somatostatin, and embodiments of such peptides radiolabeled with a radioisotope, as well as methods and kits for making, radiolabeling and using such peptides for radiodiagnostic and radiotherapeutic purposes. The invention specifically relates to linear peptide derivs. and analogs of somatostatin radiolabeled with technetium-99m and uses thereof as scintigraphic imaging agents. The invention so specifically relates to linear peptide derivs. and analogs of somatostatin radiolabeled with cytotoxic radioisotopes such as rhenium-188 and rhenium-188 for use as radiotherapeutic agents. Methods and kits for making, radiolabeling and using such peptides diagnostically and therapeutically in a mammalian body are also provided.

ST antitumor agent radioactive peptide complex prepn; technetium peptide complex prepn antitumor agent; rhenium peptide complex prepn antitumor

agent

IT Peptides, preparation  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (complexes, technetium-99m and radioactive rhenium complexes; preparation and antitumor activity of radioactive peptide complexes)

IT Antitumor agents  
 (preparation and antitumor activity of radioactive peptide complexes)

IT 14133-76-7DP, Technetium-99, peptide complexes, preparation  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (metastable; preparation and antitumor activity of radioactive peptide complexes)

IT 14378-26-8DP, Rhenium-188, peptide complexes, preparation 14998-63-1DP, Rhenium-186, peptide complexes, preparation 40958-31-4DP, Somatostatin (sheep reduced), derivs., technetium-99m and radioactive rhenium complexes 161888-86-4DP, technetium-99m and radioactive rhenium complexes 161888-87-5DP, technetium-99m and radioactive rhenium complexes 161888-88-6DP, technetium-99m and radioactive rhenium complexes 161888-89-7DP, technetium-99m complexes 161888-90-0DP, technetium-99m complexes 161888-91-1DP, technetium-99m and radioactive rhenium complexes 161888-93-3DP, technetium-99m complexes 161888-94-4DP, technetium-99m complexes 161888-95-5DP, technetium-99m and radioactive rhenium complexes 161888-96-6DP, technetium-99m complexes 161888-97-7DP, technetium-99m and radioactive rhenium complexes 161888-98-8DP, technetium-99m and radioactive rhenium complexes 161888-99-9DP, technetium-99m complexes 161889-00-5DP, technetium-99m and radioactive rhenium complexes 161889-01-6DP, technetium-99m and radioactive rhenium complexes 161889-02-7DP, technetium-99m complexes 161889-45-8DP, radioactive rhenium complexes 161889-45-8DP, technetium-99m and radioactive rhenium complexes 189688-26-4DP, technetium-99m complexes  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and antitumor activity of radioactive peptide complexes)

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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and antitumor activity of radioactive peptide complexes)

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 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antitumor activity of radioactive peptide complexes)

IT 161888-99-9DP, technetium-99m complexes 189688-26-4DP, technetium-99m complexes  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and antitumor activity of radioactive peptide complexes)

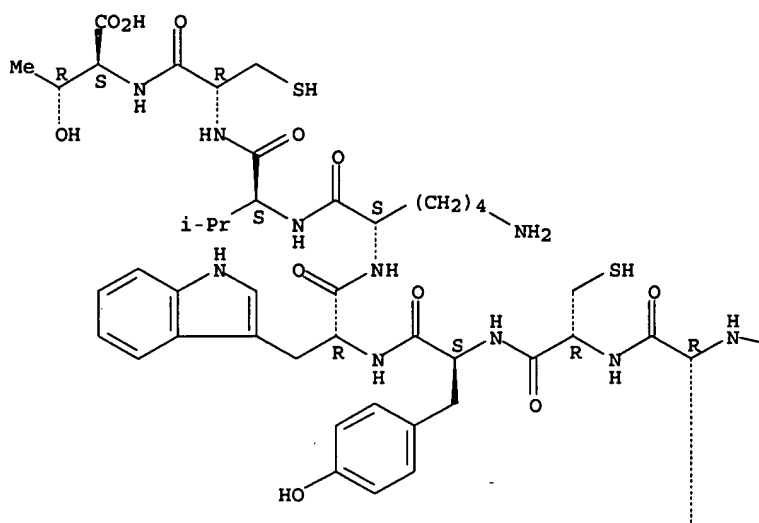
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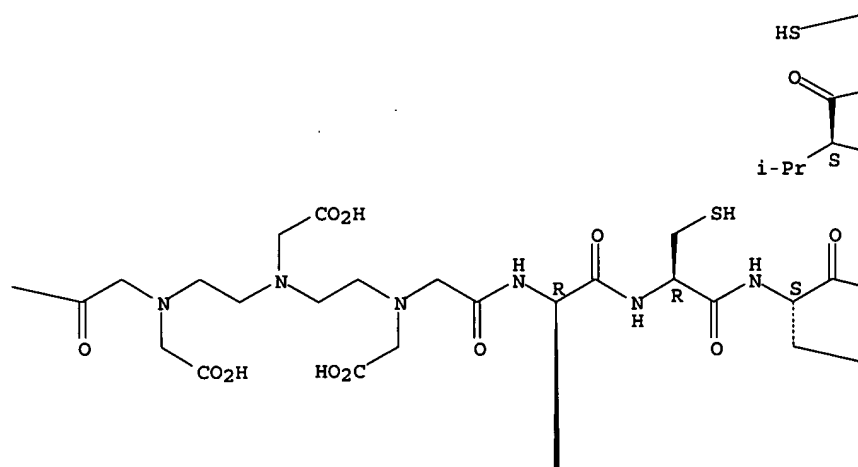
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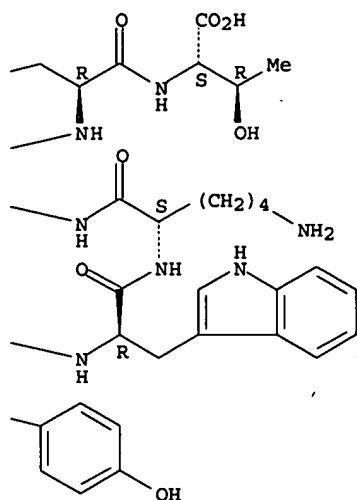
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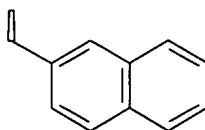
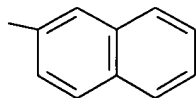


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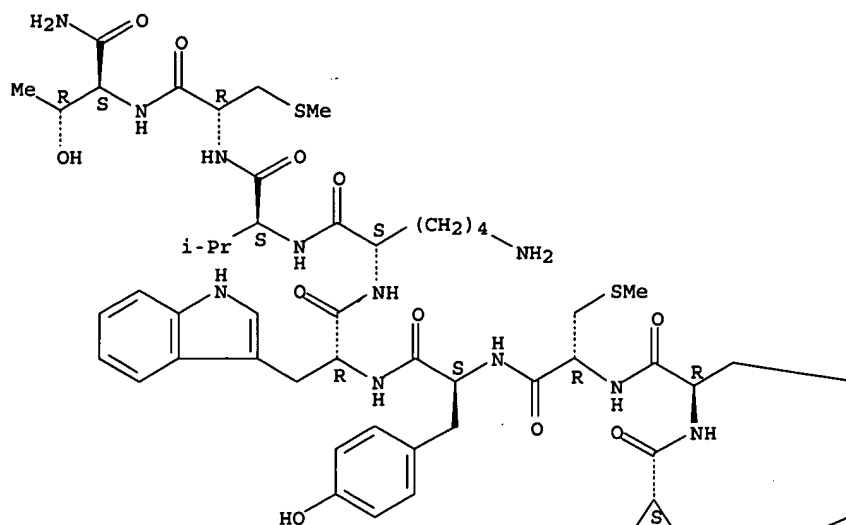


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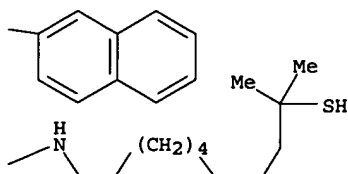
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Absolute stereochemistry.

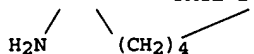
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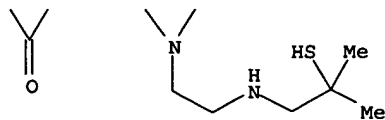
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IT 161888-99-9P 161889-27-6P 189688-26-4P  
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

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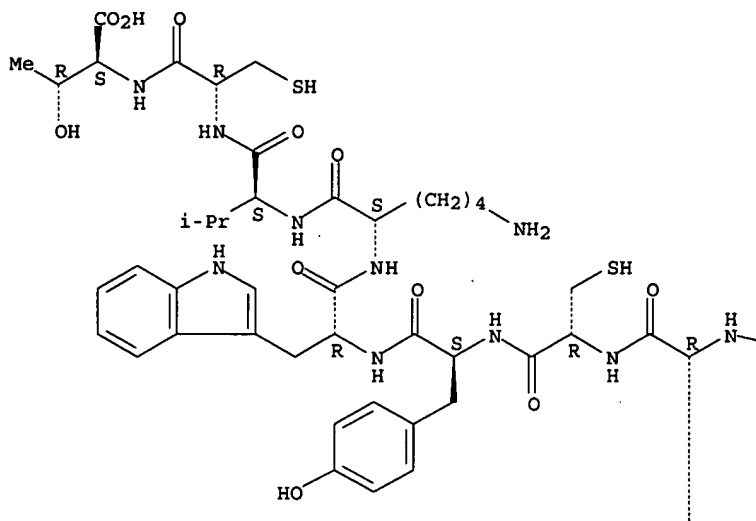
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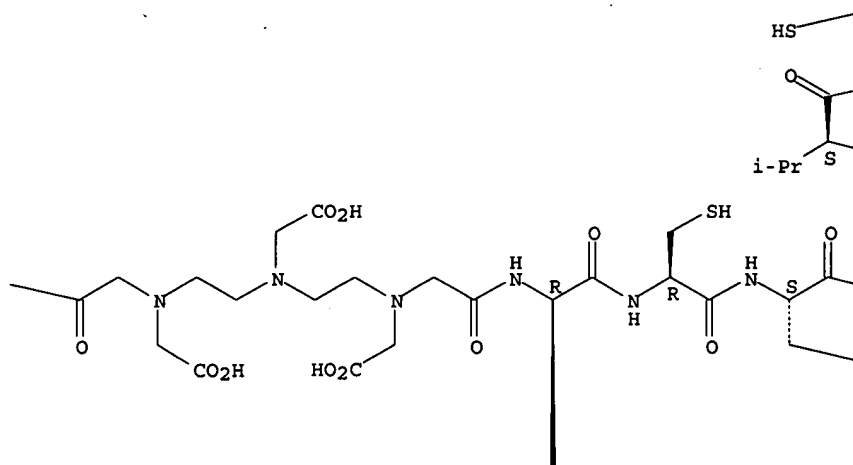
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Absolute stereochemistry.

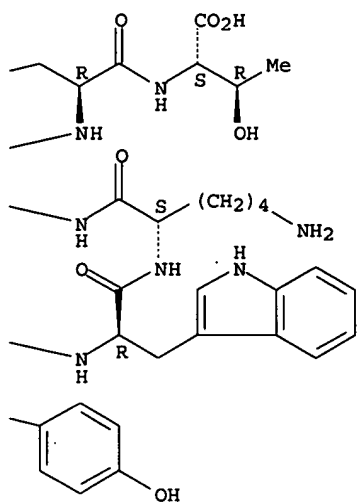
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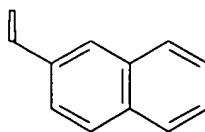
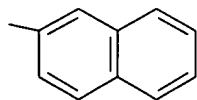


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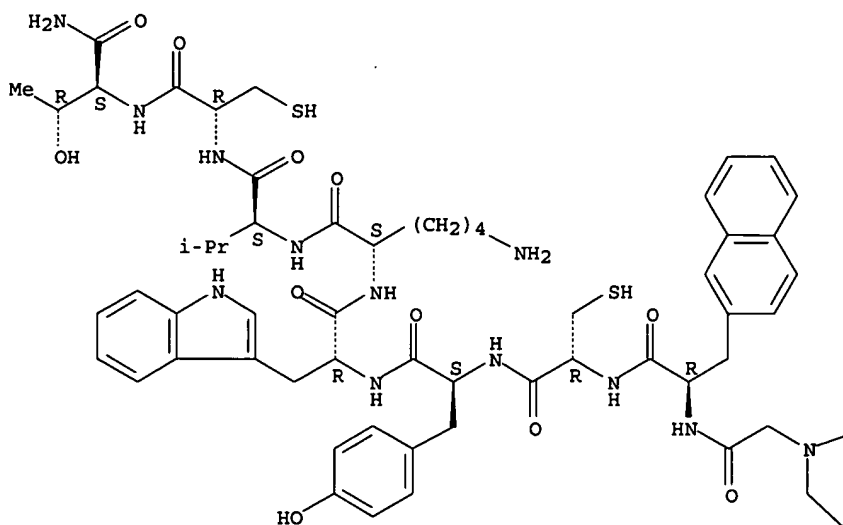


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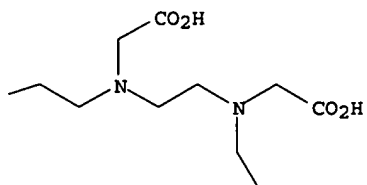
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Absolute stereochemistry.

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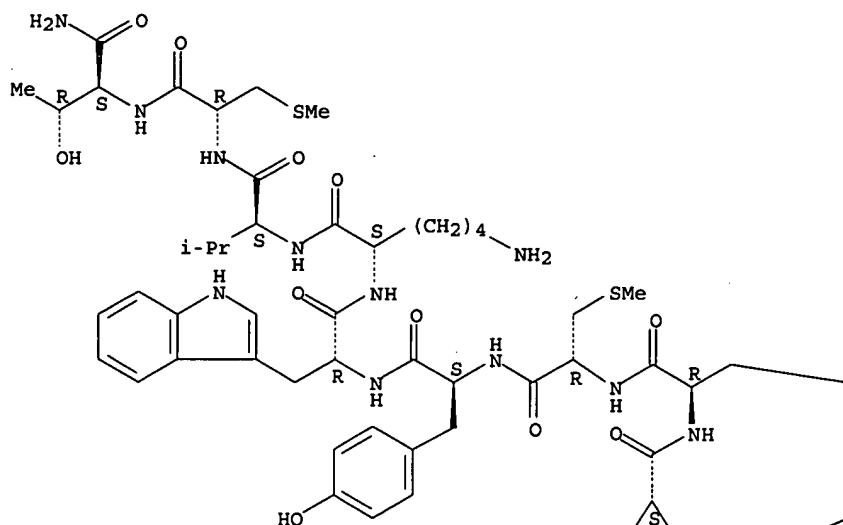
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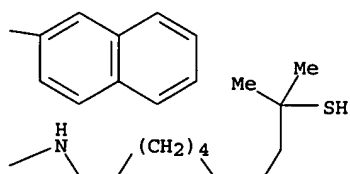
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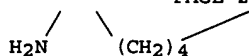
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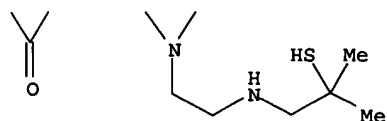
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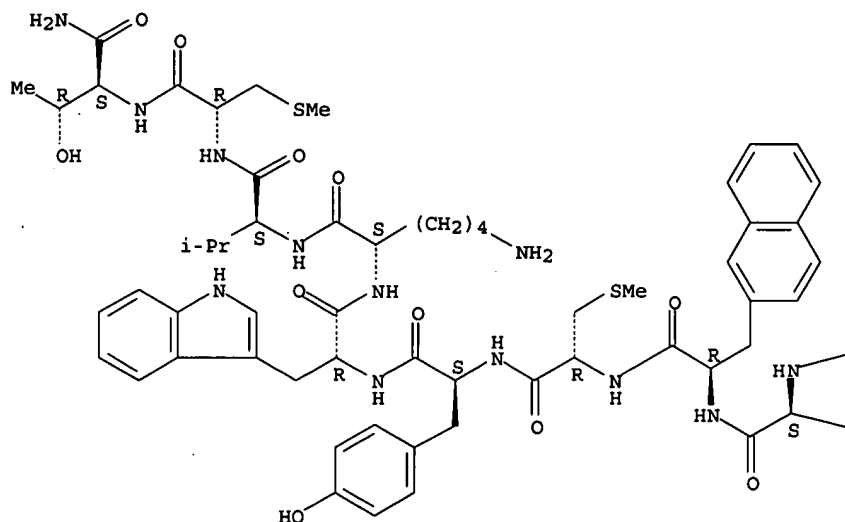
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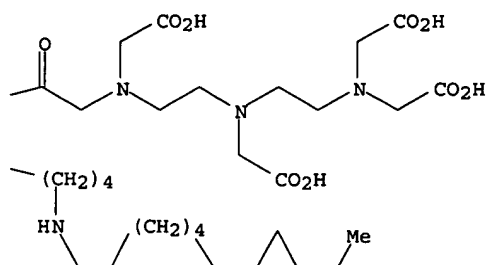
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Absolute stereochemistry.

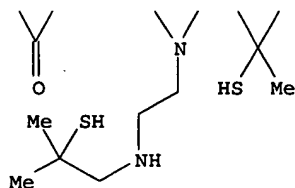
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IT 161889-27-6DP, technetium-99m complexes 189688-27-5DP,  
 technetium-99m complexes  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antitumor activity of radioactive peptide complexes)  
 RN 161889-27-6 HCAPLUS

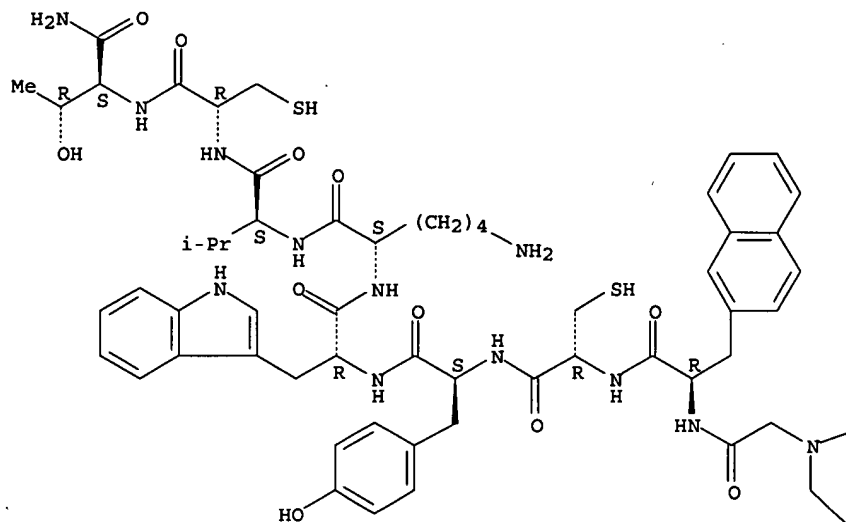
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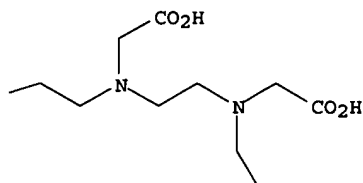
CN L-Threoninamide, N-[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl]-N-(carboxymethyl)glycyl-3-(2-naphthalenyl)-D-alanyl-L-cysteinyll-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 189688-27-5 HCAPLUS

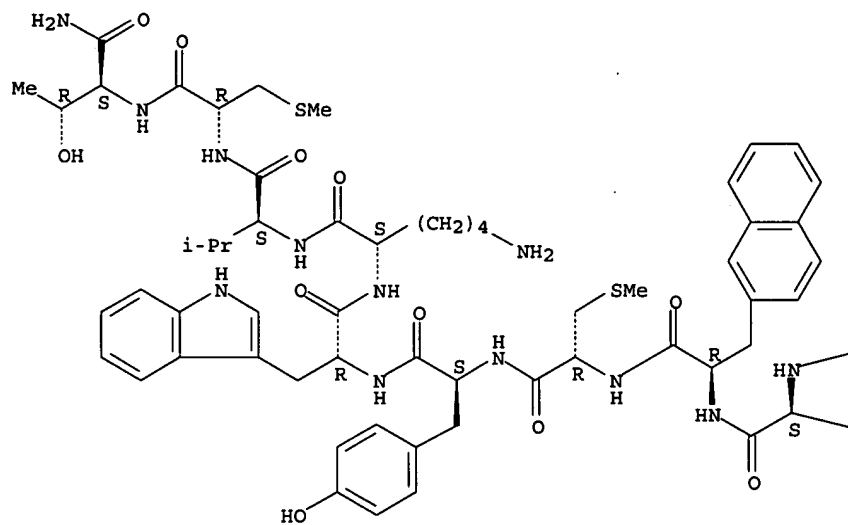
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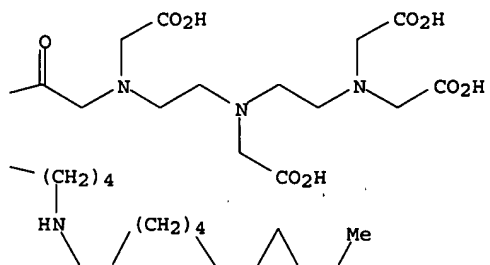
[(2-mercapto-2-methylpropyl)amino]ethyl]amino]-1-oxopentyl]-L-lysyl-3-(2-naphthalenyl)-D-alanyl-S-methyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-S-methyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

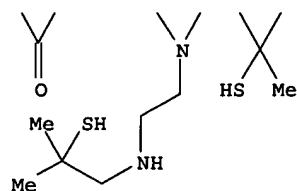
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DN 125:339095  
 ED Entered STN: 27 Nov 1996  
 TI Preparation of microballs containing active agent and biocompatible polymer  
 IN Ruiz, Jean-Marc  
 PA Societe de Conseils de Recherches et d'Applications Scientifiques (SCRAS), Fr.  
 SO U.S., 8 pp., Cont.-in-part of U.S. Ser. No. 67, 354, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K009-14  
 NCL 424489000  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRAI	GB 1993-10030	A	19930515	<--	
	US 1993-67354	B2	19930524	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5569467	ICM	A61K009-14
	NCL	424489000

AB An active ingredient, a biocompatible polymer, and a supporting phase, such as silicone oil, are stirred at a temperature above the glass transition temperature of the polymer and below the temperature at which any of the ingredients vaporizes or degrades. Stirring is continued until microballs of the desired diameter are formed, whereafter the mixture is cooled and the microballs are separated from the supporting phase. The microballs are substantially spherical, substantially smooth on their external surface, and have substantially no active ingredient on their external surface; thus no burst effect (rapid release phase) occurs when the particles are first administered in a sustained-release preparation. The process requires no solvent or mech. treatment of the active ingredient. Thus, 5 g lactide/glycolide (50:50) copolymer particles were dispersed in 500 mL silicone oil at 100-120.degree., and 0.980 g somatuline pamoate was added while stirring. After stirring for 30 min, the mixture was heated to 130.degree., stirring was stopped, and the mixture was cooled to 25.degree.. The stirring-induced shear formed particles with average diameter 5-10 .mu.m.

ST drug microparticle biodegradable polymer; microsphere drug lactide glycolide copolymer

IT Biodegradable materials  
 (polymers; preparation of microballs containing active agent and biocompatible polymer)

IT Shear  
 (preparation of microballs containing active agent and biocompatible polymer)

IT Peptides, biological studies  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of microballs containing active agent and biocompatible polymer)

IT Polymers, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of microballs containing active agent and biocompatible polymer)

IT Gels  
 (supporting phase; preparation of microballs containing active agent and biocompatible polymer)

IT Castor oil  
 Oils  
 Peanut oil  
 Siloxanes and Silicones, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (supporting phase; preparation of microballs containing active agent and biocompatible polymer)

IT Spheres  
 (micro-, preparation of microballs containing active agent and biocompatible polymer)

IT Pharmaceutical dosage forms  
 (microspheres, preparation of microballs containing active agent and biocompatible polymer)

IT Fats and Glyceridic oils  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (sesame, supporting phase; preparation of microballs containing active agent and biocompatible polymer)

IT 57-83-0, Progesterone, biological studies 5541-67-3, Tiliquinol  
 57773-63-4 57773-63-4D, esters 183736-90-5 183736-91-6  
 183736-93-8  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of microballs containing active agent and biocompatible polymer)

IT 24980-41-4, Poly-.epsilon.-caprolactone 25248-42-4, Poly[oxy(1-oxo-1,6-hexanediyl)] 26780-50-7, Lactide/glycolide copolymer 34346-01-5, Lactic acid/glycolic acid copolymer  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of microballs containing active agent and biocompatible polymer)

IT 637-12-7D, Aluminum stearate, mixture with sesame oil 9003-39-8, PVP  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (supporting phase; preparation of microballs containing active agent and biocompatible polymer)

IT 183736-93-8  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of microballs containing active agent and biocompatible polymer)

RN 183736-93-8 HCAPLUS

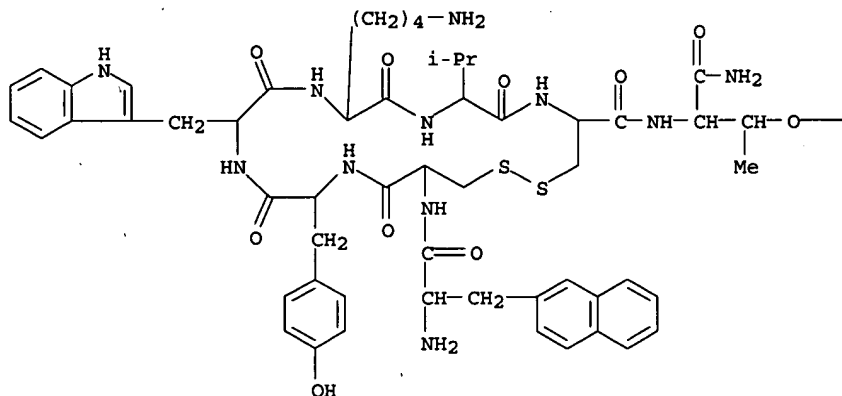
CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, 8-[hydrogen 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate]], cyclic (2.fwdarw.7)-disulfide, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

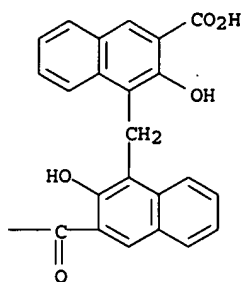
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CMF C77 H83 N11 O15 S2

PAGE 1-A



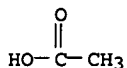
PAGE 1-B



CM 2

CRN 64-19-7

CMF C2 H4 O2



L19 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1995:792967 HCAPLUS  
 DN 123:208880  
 ED Entered STN: 15 Sep 1995  
 TI Process for preparing a controlled-release pharmaceutical composition of peptides  
 IN Orsolini, Piero; Heimgartner, Frederic  
 PA Debio Recherche Pharmaceutique S.A., Switz.  
 SO U.S., 6 pp. Cont.-in-part of U.S. 5,134,122.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K009-14  
 ICS A61K037-24; A61K009-48  
 NCL 424489000  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5439688	A	19950808	US 1991-790033	19911112 <--
	US 5134122	A	19920728	US 1990-555973	19900720 <--
	CH 681425	A	19930331	CH 1990-3616	19901114 <--
	US 5225205	A	19930706	US 1992-836478	19920218 <--
PRAI	US 1990-555973	A2	19900720	<--	
	CH 1990-3616	A	19901114	<--	
	CH 1989-2829	A	19890728	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5439688	ICM	A61K009-14
	ICS	A61K037-24; A61K009-48
	NCL	424489000

AB A pharmaceutical composition is prepared in the form of microparticles or of an implant comprising a biodegradable polymer selected from poly-1,4-butylene succinate, poly-2,3-butylene succinate, poly-1,4-butylene fumarate, and poly-2,3-butylene fumarate and incorporating as the active substance the pamoate, tannate, stearate or palmitate of a natural or of a synthetic peptide comprising 3-45 amino acids, such as LH-RH, somatostatin, GH-RH, calcitonin, or one of their synthetic analogs or homologs. The process comprises dry-blending the ingredients in the form of powders, pre-compressing and preheating the mixture and then extruding the pre-compressed and pre-heated mixture. The product resulting from the extrusion step can then be comminuted and finally sieved. A powder mixture containing poly-1,4-butylene succinate and D-Trp6-LH-RH pamoate was heated to 90.degree. and extruded to give filaments, which were milled and sieved to obtain particles with an average diam of <180 .mu.m. The in vivo tests for

Search done by Noble Jarrell

determination of blood testosterone levels in rats confirmed that the release of the active substance remained sustained for .gtoreq.25 days.

ST peptide salt polyester controlled release implant; microparticle LHRH pamoate polybutylene succinate

IT Polyesters, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (controlled-release peptide formulations containing biodegradable polyesters)

IT Pharmaceutical dosage forms  
 (implants, controlled-release peptide formulations containing biodegradable polyesters)

IT Pharmaceutical dosage forms  
 (microparticles, controlled-release peptide formulations containing biodegradable polyesters)

IT 9007-12-9D, Calcitonin, salts 9034-39-3D, Growth hormone-releasing hormone, salts 9034-40-6D, LH-RH, salts 26247-20-1, Poly-1,4-butylene succinate 36813-67-9 45127-28-4 51110-01-1D, Somatostatin, salts 78969-57-0D, alkyl derivs., pamoates 103527-38-4 111755-78-3D, alkyl derivs., pamoates 124409-34-3 124508-66-3 145020-14-0 145020-15-1 145020-16-2 145107-38-6 145256-99-1 145699-79-2 168022-60-4  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (controlled-release peptide formulations containing biodegradable polyesters)

IT 145020-16-2  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (controlled-release peptide formulations containing biodegradable polyesters)

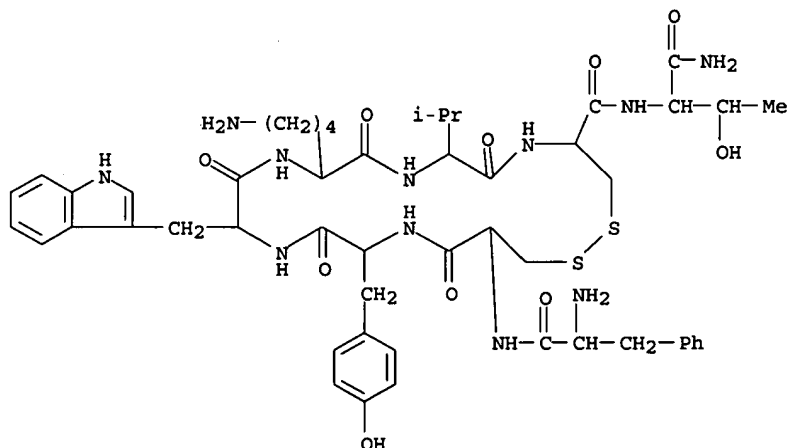
RN 145020-16-2 HCAPLUS

CN L-Threoninamide, D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide, 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 99660-13-6

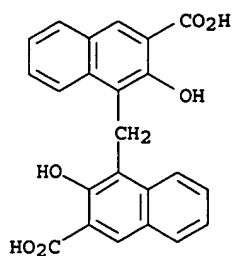
CMF C50 H67 N11 O10 S2



CM 2

CRN 130-85-8

CMF C23 H16 O6



L19 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1991:608611 HCAPLUS  
 DN 115:208611  
 ED Entered STN: 15 Nov 1991  
 TI Preparation of serine-containing decapeptides as LH-RH antagonists  
 IN Coy, David H.; Moreau, Jacques Pierre  
 PA Tulane Educational Fund, Inc., USA  
 SO U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 352,140, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K037-02  
 ICS A61K009-48; A61K009-20; C07K007-06  
 NCL 530328000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1

## FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5003011	A	19910326	US 1989-421245	19891013 <--
	US 4866160	A	19890912	US 1987-65765	19870623 <--
	US 5073624	A	19911217	US 1989-352140	19890515 <--
	CA 2044137	AA	19910414	CA 1990-2044137	19901011 <--
	WO 9105563	A1	19910502	WO 1990-US5842	19901011 <--
	W: CA, FI, JP, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
EP	448687	A1	19911002	EP 1990-915625	19901011 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA	9008179	A	19910828	ZA 1990-8179	19901012 <--
NO	9102254	A	19910812	NO 1991-2254	19910612 <--
PRAI	US 1985-721330		19850409		<--
	US 1985-798239		19851114		<--
	US 1986-879338		19860627		<--
	US 1987-65765		19870619		<--
	US 1989-352140		19890515		<--
	US 1989-421245		19891013		<--
	WO 1990-US5842		19901011		<--

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5003011	ICM	A61K037-02
	ICS	A61K009-48; A61K009-20; C07K007-06
	NCL	530328000

OS MARPAT 115:208611

AB Title compds. Ac-Z1-Z2-Z3-Ser-Z5-Z6-Z7-Z8-Z9-Z10 [Z1-Z3 = D-.beta.-naphthylalanine (Nal), D-p-X-Phe; Z5 = p-X-Phe; Z6 = D-Lys, D-Arg, .beta.-Nal, D-.beta.-Nal, D-Trp, D-p-X-Phe, D-Lys(R); Z7 = p-X1-Phe, cyclohexylalanine, Trp; Z8 = Arg, Lys, Lys(R); Z9 = Pro; Z10 = D-Ala-NH2, Gly-NH2, D-Ser, D-Ser-NH2; X = halo, H, NH2, NO2, OH, C1-3 alkyl; X1 = X, C2F5; R = H, C1-10 (cyclo)alkyl, aryl; with provisos], which are LH-RH antagonists useful in the treatment of hormone-dependent cancers of the breast, prostate, and ovary, were prepared Thus Ac-D-.beta.-Nal-D-Phe-D-Phe-Ser-Tyr-D-Arg-Phe-Arg-Pro-D-Ala-NH2 (I) was synthesized using a Beckman 990B peptide synthesizer starting from benzhydrylamine-polystyrene resin and Boc-D-Ala-OH, Boc-Pro-OH, Boc-Arg(Tos)-OH, Boc-Phe-OH, Boc-D-Arg(Tos)-OH, Boc-Tyr-OH, Boc-Ser(Bzl)-OH, Boc-D-Phe-OH, and Boc-D-.beta.-Nal-OH. The resin-bound peptide was deprotected at the N-terminal end, acetylated, and treated with a HF solution containing anisole and dithiothreitol to give I. I injected s.c. at 15 .mu.g/day (for 6 days) into female mice implanted with human MCF-7 mammary tumor decreased the size of the tumor to 34% of the control

tumor.

ST seryl decapeptide prepn LHRH antagonist; neoplasm inhibitor seryl decapeptide

IT Neoplasm inhibitors  
(serine-containing decapeptides)

IT 3978-80-1 7764-95-6 13139-15-6 13734-34-4 13836-37-8 15761-39-4  
18942-49-9 23680-31-1 57292-44-1 61315-61-5 76985-10-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, in preparation of LH-RH antagonists)

IT 136830-00-7DP, benzhydrylamine resin-bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, in preparation of LH-RH antagonists)

IT 5241-64-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 57521-78-5P 57773-63-4P 96394-82-0P 106881-54-3P 106881-55-4P  
108736-35-2P 110014-26-1P 110014-29-4P 110014-30-7P  
110014-34-1P 110014-36-3P 136829-91-9P 136829-92-0P 136829-93-1P  
136829-94-2P 136829-95-3P 136829-96-4P 136829-97-5P 136829-98-6P  
136829-99-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as LH-RH antagonist)

IT 9034-40-6DP, LH-RH, analogs  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as LH-RH antagonists)

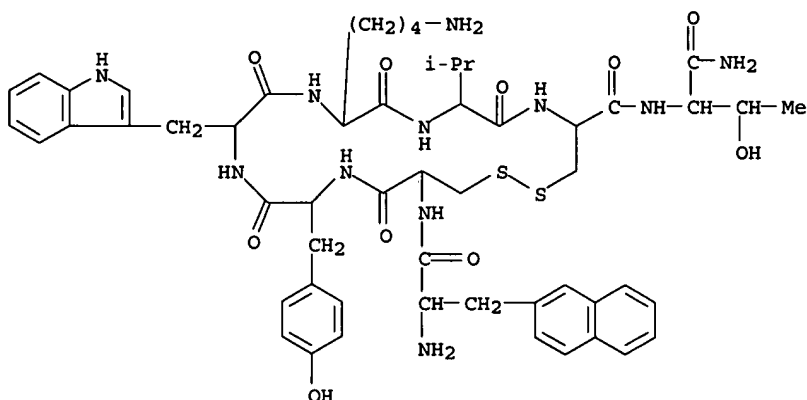
IT 67-64-1, Acetone, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of LH-RH antagonists)

IT 136830-01-8D, benzhydrylamine resin-bound  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(resin cleavage of, in preparation of LH-RH antagonists)

IT 108736-35-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as LH-RH antagonist)

RN 108736-35-2 HCAPLUS

CN L-Threoninamide, 3-(2-naphthalenyl)-D-alanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2.fwdarw.7)-disulfide (9CI) (CA INDEX NAME)



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